

Beverly

Access DB# 97537

## SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Maury Audet Examiner #: 79808  
 Art Unit: 1654 Phone Number: 305-5039  
 Mail Box & Bldg/Room Locat.: CM1-11D13; 11D04 Results Format Preferred: PAPER

Date: 6/25/03  
 Serial Number: 10/088,707

If more than one search is submitted, please prioritize searches in order of need.

Rec'd 7/8/03

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Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched.

Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: \_\_\_\_\_

Inventors (please provide full names): \_\_\_\_\_

Earliest Priority Filing Date: 7/30/99

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

- I Please search insulin w/ compound of claim 42 (elected species) (as X) (amides of bile acid/salt) (a-c-24)
- II If do not find insulin w/ please search other compounds of cl. 33 (47 diff.) w/ as 'X' w/ comp of cl. 42
- III Inventor search w/ also.

TX, MAURY

\*Note attached reference to Ruff et. al. Teach very similar structure w/ colectonin derivative attached as 'X'.

## STAFF USE ONLY

## Type of Search

## Vendors and cost where applicable

Searcher: Beverly C 499 AA Sequence (R) STN ☒

Searcher Phone #: \_\_\_\_\_ AA Sequence (R) Dialog \_\_\_\_\_

Searcher Location: \_\_\_\_\_ Structure (R) ECOSYS Quasic/Orbital \_\_\_\_\_

Date Searcher Picked Up: \_\_\_\_\_ Bibliographic Dr. Link \_\_\_\_\_

Date Completed: 07-01-03 Litigation 91 Lexis/Nexis \_\_\_\_\_

Searcher Prep & Review Time: \_\_\_\_\_ Fulltext \_\_\_\_\_ Sequence Systems \_\_\_\_\_

Clerical Prep Time: \_\_\_\_\_ Patent Family \_\_\_\_\_ WWW/Internet \_\_\_\_\_

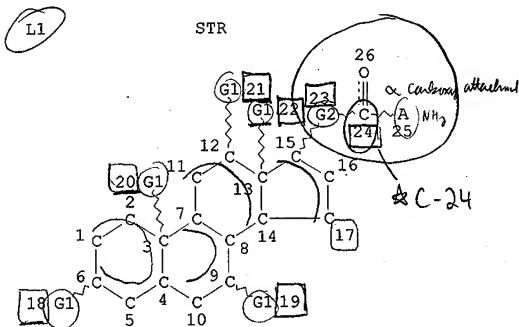
Online Time: \_\_\_\_\_ Other \_\_\_\_\_ Other (specify) \_\_\_\_\_

Audet, M.  
10/088807

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FILE 'REGISTRY' ENTERED AT 15:28:39 ON 01 JUL 2003  
1 S INSULIN/CN

PD 7/30/99



VAR G1=OH/H/ET/ME/I-PR/N-PR/I-BU/N-BU/S-BU/T-BU

REP G2=(2-8) C

NODE ATTRIBUTES:

NSPEC IS RC AT 25

DEFAULT MLEVEL IS ATOM

DEFAULT ELEVEL IS LIMITED

GRAPH ATTRIBUTES:

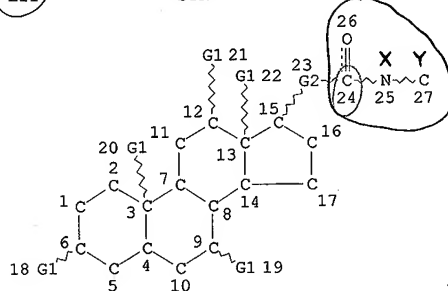
RSPEC I

NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE

L2 [12394] SEA FILE=REGISTRY SSS FUL L1

L11 STR



CO2H 28

VAR G1=OH/H/ET/ME/I-PR/N-PR/I-BU/N-BU/S-BU/T-BU

REP G2=(2-6) C

NODE ATTRIBUTES:

CONNECT IS X2 RC AT 1

CONNECT IS X2 RC AT 2

10/088807

CONNECT IS X2 RC AT 5  
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DEFAULT MLEVEL IS ATOM  
DEFAULT ELEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC I  
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STEREO ATTRIBUTES: NONE

L12 (1294) SEA FILE=REGISTRY SUB=L2 (SSS) FUL L11  
L18 484 SEA FILE=REGISTRY ABB=ON PLU=ON L12 AND NR=4  
L19 287 SEA FILE=REGISTRY ABB=ON PLU=ON L18 AND 1/NC

(FILE 'HCAPLUS' ENTERED AT 15:35:05 ON 01 JUL 2003)

L20 2261 S L19  
L21 49 S L20 AND (L9 OR INSULIN OR PROINSULIN)

=> sel hit L21 1-49 rn  
E1 THROUGH E12 ASSIGNED

L21 ANSWER (1 OF 49) HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2002:609878 HCAPLUS  
DOCUMENT NUMBER: 137:159343  
TITLE: Method for administering insulin  
INVENTOR(S): Modi, Pankaj  
PATENT ASSIGNEE(S): Generex Pharmaceuticals Incorporated, Can.  
SOURCE: U.S., 11 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6432383	B1	20020813	US 2000-538830	20000330
PRIORITY APPLN. INFO.:			US 2000-538830	20000330

AB A mixed micellar pharmaceutical formulation includes a micellar proteinic pharmaceutical agent, an alkali metal lauryl sulfate, alkali metal salicylate, a pharmaceutically acceptable edetate and at least one absorption enhancing compds. The absorption enhancing compds. are selected from the group consisting of lecithin, hyaluronic acid, pharmaceutically acceptable salts of hyaluronic acid, octylphenoxypolyethoxyethanol, glycolic acid, lactic acid, chamomile ext., cucumber ext., oleic acid, linolenic acid, borage oil, evening primrose oil, trihydroxy oxocholanyl glycine, glycerin, polyglycerin, lysine, polylysine, triolein and mixts. thereof. The amt. of each absorption enhancing compd. is present in a concn. of 1-10% by wt. of the total formulation, and the total concn. of absorption enhancing compds. are < 50% by wt. of the formulation. A method for administering insulin to the buccal mucosa by spraying using a metered dose inhaler is also disclosed. For example, a buffer soln. was prepd. using 0.5 g sodium lauryl sulfate, 0.5 g sodium salicylate and 0.25 g disodium edetate

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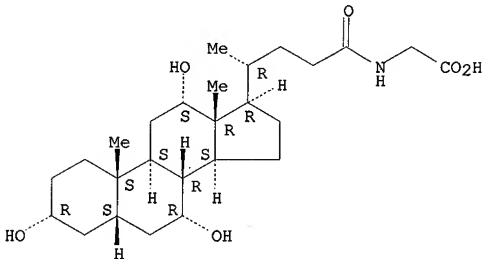
dissolved in 10 mL of water. The soln. was mixed with 8 mg (200 units) insulin to form micellar insulin. To this micellar soln. 0.5 g borage oil was added and the soln. was mixed vigorously to form a mixed micellar insulin soln. (about 20 units/mL).

IT 9004-10-8, Insulin, biological studies  
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(micelles for oral administration of insulin)  
RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 475-31-0  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(micelles for oral administration of insulin)  
RN 475-31-0 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 2 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2002:314743 HCAPLUS  
DOCUMENT NUMBER: 136:345786  
TITLE: Sustained release delivery system containing an aq. bicellar matrix containing a phospholipid  
INVENTOR(S): Kestel, Frederic Amnon  
PATENT ASSIGNEE(S): Advanced Delivery Systems Aps, Den.  
SOURCE: PCT Int. Appl., 56 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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Searcher : Shears 308-4994

10/088807

WO 2002032395 A2 20020425 WO 2001-IL966 20011018  
WO 2002032395 A3 20021219

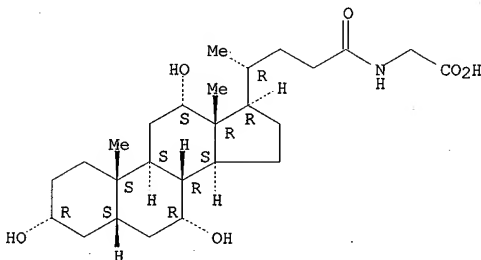
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002010894 A5 20020429 AU 2002-10894 20011018  
PRIORITY APPLN. INFO.: IL 2000-139177 A 20001020  
WO 2001-IL966 W 20011018

AB The invention relates to a sustained release delivery system for the delivery of an active agent to a warm-blooded animal and to uses thereof. The delivery system comprises an aq. bicellar matrix that is liq. at temps. below ambient temp. and forms a biodegradable gel at body temp. of said animal and an active agent, and optionally further comprises pharmaceutically acceptable additive, carrier and/or diluent. The aq. bicellar matrix is preferably a mixt. of a lipid, preferably phospholipid, and a detergent in water. The sustained release of toluidine blue was detd. from a bicellar phase contg. HMPG and DHPC (dihexanoylphosphatidylcholine).

IT 475-31-0, Glycocholic acid  
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(sustained release delivery system contg. an aq. bicellar matrix contg. a phospholipid)  
RN 475-31-0 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 9004-10-8, Insulin, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(sustained release delivery system contg. an aq. bicellar matrix contg. a phospholipid)  
RN 9004-10-8 HCAPLUS

10/088807

CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L21 ANSWER 3 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:230450 HCAPLUS

DOCUMENT NUMBER: 136:350716

TITLE: Influence of microgravity on plasma levels of gastroenteropancreatic peptides: A case study  
AUTHOR(S): Riepl, Rudolf L.; Drummer, Christian; Lehnert, Peter; Gerzer, Rupert; Otto, Barbel  
CORPORATE SOURCE: Medizinische Klinik Innenstadt of the Ludwig-Maximilians-University of Munich, Cologne, Germany

SOURCE: Aviation, Space and Environmental Medicine (2002), 73(3), 206-210

CODEN: ASEMCG; ISSN: 0095-6562

PUBLISHER: Aerospace Medical Association

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB Fasting plasma samples were gained during the EUROMIR-94 mission from a European Space Agency (ESA) astronaut who experienced no signs of space motion sickness in orbit. Plasma concns. of 9 gastroenteropancreatic peptides were measured with sensitive and specific RIAs. Fasting plasma levels of motilin, pancreatic polypeptide (PP), vasoactive intestinal peptide (VIP), and secretin were increased and plasma level of cholecystokinin (CCK) was decreased by acute exposure of the astronaut to microgravity. Chronic (4 wk) exposure caused an enhancement of plasma CCK, motilin, neurotensin, VIP, and insulin whereas plasma concns. of PP, secretin, gastrin, and somatostatin showed no changes. During the 25-d stay on MIR station plasma levels of CCK, motilin, and neurotensin increased. Short-time body rotations caused an elevation of plasma levels of PP but decreased plasma motilin. As the influence of microgravity on the peptide levels was not uniform, an effect due to other factors (e.g., change in fluid balance or body wt.) is unlikely. Moreover, adaptive changes of some peptides occurred during the stay in orbit. The release of PP and motilin seems to be very sensitive to rotation forces. These results have to be confirmed in more subjects in space to be able to link changes of gastroenteropancreatic peptide release to alterations of gastrointestinal functions.

IT 475-31-0, Cholyglycine 9004-10-8, Insulin  
, biological studies

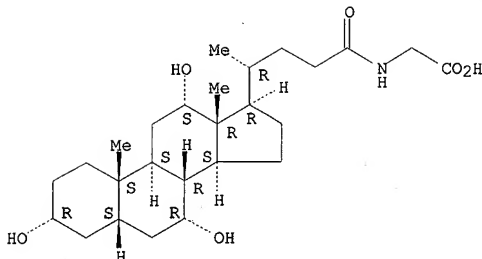
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(microgravity effect on human plasma gastroenteropancreatic peptides)

RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/088807



RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE  
FOR THIS RECORD. ALL CITATIONS AVAILABLE  
IN THE RE FORMAT

L21 ANSWER 4 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:185616 HCAPLUS

DOCUMENT NUMBER: 136:252482

TITLE: Preparation of aqueous clear solution dosage  
forms with bile acids

INVENTOR(S): Yoo, Seo Hong

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of  
U. S. 6,251,428.

CODEN: USXXCO

DOCUMENT TYPE:

LANGUAGE: Patent  
English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002031558	A1	20020314	US 2001-778154	20010205
US 6251428	B1	20010626	US 1999-357549	19990720
PRIORITY APPLN. INFO.:			US 1998-94069P	P 19980724
			US 1999-357549	A2 19990720
			US 2000-180268P	P 20000204

AB Compns. for pharmaceutical and other uses comprise clear aq. solns. of bile acids which do not form any detectable ppts. over selected ranges of pH values of the aq. soln. The compns. comprise (i) water, (ii) a bile acid component in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and (iii) either or both an aq. sol. starch conversion product and an aq. sol. non-starch polysaccharide. The compn. remains in soln. without forming a ppt. over a range of pH values and, according to one embodiment, remains in soln. for all pH values obtainable in an aq. system. The compn. may further contain a pharmaceutical compd., such as insulin, heparin, bismuth

Searcher : Shears 308-4994

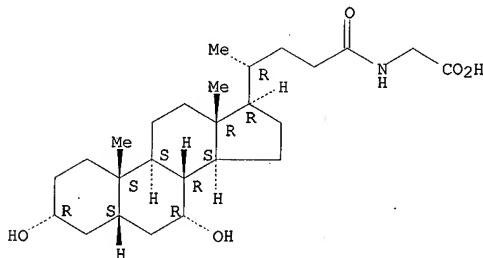
Used as  
2nd in  
103

Compn.  
only

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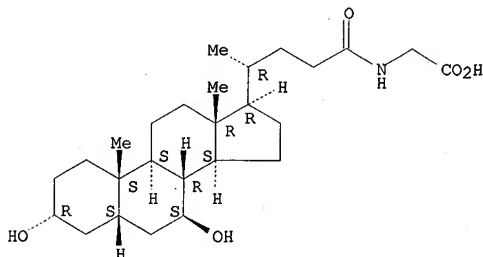
- comps., amantadine and rimantadine. For example, soln. dosage forms that did not show any pptn. at any pH were prepd. contg. ursodeoxycholic acid (UDCA) 22 g, 1N NaOH 75 mL, chenodeoxycholic acid (CDCA) 3 g, maltodextrin 875 g, bismuth citrate 4 g, citric acid or lactic acid as needed, and purified water to make 1 L.
- IT 640-79-9, Glycochenodeoxycholic acid 64480-66-6, Glycoursodeoxycholic acid  
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(prepn. of stable aq. solns. contg. bile acids for therapy)
- RN 640-79-9 HCAPLUS
- CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



- RN 64480-66-6 HCAPLUS
- CN Glycine, N-[(3.alpha.,5.beta.,7.beta.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



- IT 9004-10-8, Insulin, biological studies  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

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(prepn. of stable aq. solns. contg. bile acids for therapy)  
 RN 9004-10-8 HCAPLUS  
 CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L21 ANSWER 5 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:122837 HCAPLUS  
 DOCUMENT NUMBER: 136:189346  
 TITLE: Medical electropowders for inhalers  
 INVENTOR(S): Nilsson, Thomas; Nilsson, Lars-Gunnar  
 PATENT ASSIGNEE(S): Microdrug A.-G., Switz.  
 SOURCE: PCT Int. Appl., 54 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002011803	A1	20020214	WO 2001-SE1682	20010727
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SE 2000002822	A	20020129	SE 2000-2822	20000804
SE 516555	C2	20020129		
AU 2001082743	A5	20020218	AU 2001-82743	20010727
EP 1309369	A1	20030514	EP 2001-961481	20010727
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			SE 2000-2822	A 20000804
			WO 2001-SE1682	W 20010727

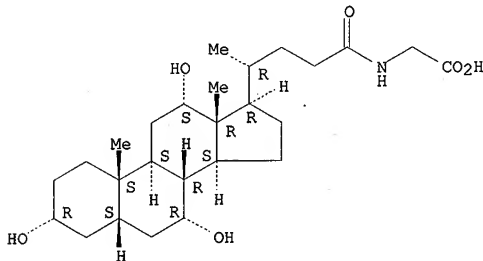
AB A method and a process are disclosed for prepn. of medical electro-powders. The electro-powder results from prepn. of chem. and biol. substances to form electro-powders suitable for electrostatic charging and dosing for functionality in a dry powder inhaler device. The electro-powder resulting from the method and process forms an active powder substance or a dry powder medical formulation with a fine particle fraction representing of the order 50 or more of the content having a size ranging between 0.5-5 .mu.m and provides electrostatic properties with an abs. specific charge per mass after charging of the order  $0.1 \times 10^{-6}$  to  $25 \times 10^{-6}$  C/g and presenting a charge decay rate const.  $Q_{50} > 0.1$  s with a tap d. of less than 0.9 g/mL and a water activity aw of less than 0.5. In the processing the active substance is a generally pharmacol. active chem. or biol. substance, for instance a polypeptide or any other corresponding substance selected alone or mixed or blended together with one or more excipients being a compd. to improve electrostatic properties of the medical dry powder substance or dry powder medical

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formulation. Further the electro-powder may even be formed as a micro-encapsulation by coating micronized powder with the excipient in such a way that the active substance is capsulated whereby the powder electrostatic properties mainly comes from the excipient. Terbutaline sulfate, used for asthma treatment, was micronized and analyzed for particle size.

IT 475-31-0, Glycocholic acid  
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(medical electropowders for inhalers)  
RN 475-31-0 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 6 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2002:72799 HCAPLUS  
DOCUMENT NUMBER: 136:107571  
TITLE: Oral delivery of macromolecules  
INVENTOR(S): Byun, Youngro; Lee, Yong-kyu  
PATENT ASSIGNEE(S): S. Korea  
SOURCE: U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of U.S. 6,245,753.  
CODEN: USXXCO

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002010153	A1	20020124	US 2001-845827	20010430
US 6245753	B1	20010612	US 1999-300173	19990427
WO 2002087597	A1	20021107	WO 2001-KR1723	20011012

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102 (c)

No →  
H<sub>2</sub>O  
insulin  
or peptide  
conj.  
or  
C-24

10/088807

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO,  
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 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,  
 TD, TG

WO 2002089820 A1 20021114 WO 2001-KR1722 20011012  
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 RU, TJ, TM  
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 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,  
 TD, TG

PRIORITY APPLN. INFO.:

US 1999-300173 A2 19990427  
 KR 1998-19469 A 19980528  
 US 2001-845827 A 20010430  
 US 2001-852131 A 20010509

AB Polysaccharides, which are widely used as an anticoagulant drugs, esp. heparin, are clin. administered only by i.v. or s.c. injection because of their strong hydrophilicity and high neg. charge.

Amphiphilic heparin derivs. were synthesized by conjugation to bile acids, sterols, and alkanolic acids, resp. These heparin derivs. were slightly hydrophobic, exhibited good soly. in water, and have high anticoagulant activity. These slightly hydrophobic heparin derivs. are efficiently absorbed in the gastrointestinal tract and can be used in oral dosage forms. Methods of using these amphiphilic heparin derivs. and similarly modified macromols. for oral administration are also disclosed. Heparin-deoxycholic acid (DOCA) conjugates were prepd. by the reaction of DOCA with N-hydroxylsuccinimide in the presence of DCC followed by reaction with heparin. The water-sol. product (i.e., heparin-DOCA) was dialyzed for 1 day against water using a membrane and then freeze dried. The heparin-DOCA was further purified by reversed-phase chromatog. The anticoagulant activity of the compd. was detd.

IT 9004-10-8DP, Insulin, reaction products with hydrophobic agents

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (oral delivery of macromols.)

RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 360-65-6D, Glycodeoxycholic acid, reaction products with polysaccharides 475-31-0D, Glycocholic acid, reaction products with polysaccharides 640-79-9D, Glycochenodeoxycholic acid, reaction products with polysaccharides  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (oral delivery of macromols.)

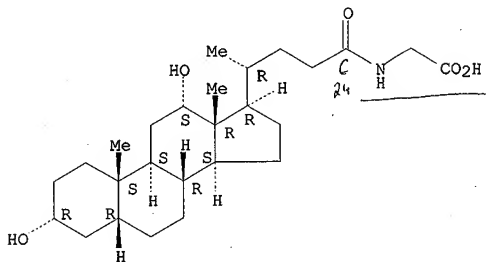
RN 360-65-6 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-

10/088807

24-yl]- (9CI) (CA INDEX NAME)

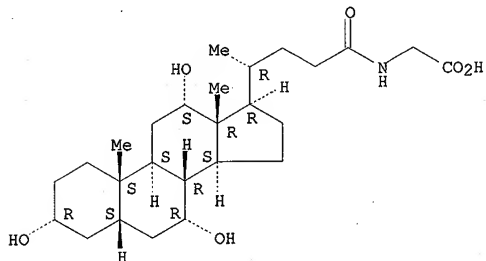
Absolute stereochemistry.



RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

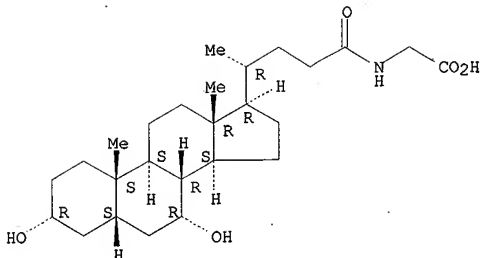


RN 640-79-9 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/088807



L21 ANSWER 7 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2001:808253 HCAPLUS  
 DOCUMENT NUMBER: 135:348902  
 TITLE: Aerosol formulations for buccal and pulmonary application  
 INVENTOR(S): Modi, Pankaj  
 PATENT ASSIGNEE(S): Generex Pharmaceuticals Incorporated, Can.  
 SOURCE: U.S., 11 pp., Cont.-in-part of U.S. Ser. No. 251,464.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6312665	B1	20011106	US 1999-386284	19990831
US 6436367	B1	20020820	US 1999-251464	19990217
WO 2000037051	A1	20000629	WO 1999-CA1231	19991216
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JF, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1140019	A1	20011010	EP 1999-962009	19991216
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2002532536	T2	20021002	JP 2000-589162	19991216
NZ 512188	A	20021025	NZ 1999-512188	19991216
AU 760445	B2	20030515	AU 2000-18518	19991216
US 6375975	B1	20020423	US 2000-519285	20000306
US 6451286	B1	20020917	US 2000-574504	20000519
US 2003035831	A1	20030220	US 2002-222699	20020816
PRIORITY APPLN. INFO.:			US 1998-113239P	P 19981221
			US 1999-251464	A2 19990217

10/088807

US 1999-386284 A 19990831  
WO 1999-CA1231 W 19991216  
US 2000-519285 A2 20000306  
US 2000-574504 A2 20000519

AB A mixed micellar aerosol pharmaceutical formulation is provided. The formulation comprises a pharmaceutical agent, an alkali metal alkyl sulfate, at least three micelle-forming compds., a phenol and a propellant. The propellant provides enhanced absorption of the pharmaceutical agent in the buccal region. A process of making and a method of administering the compn are also included. The aerosol formulations of invention resulted in comparable blood glucose level with injection formulations in diabetic volunteers.

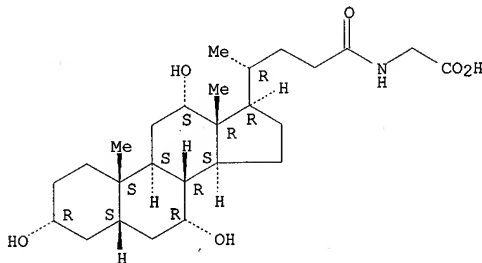
IT 475-31-0 9004-10-8, Insulin, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(aerosol formulations for buccal and pulmonary application)

RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE  
FOR THIS RECORD. ALL CITATIONS AVAILABLE  
IN THE RE FORMAT

L21 ANSWER 8 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:730527 HCAPLUS

DOCUMENT NUMBER: 135:278035

TITLE: Method for administering insulin to  
the buccal region

INVENTOR(S): Modi, Pankaj

PATENT ASSIGNEE(S): Genex Pharmaceuticals Inc., Can.

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

10/088807

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072278	A2	20011004	WO 2001-IB564	20010221
WO 2001072278	A3	20020411		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GE, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2000-538829 A 20000330

AB A mixed micellar pharmaceutical formulation includes a micellar proteinic pharmaceutical agent, an alkali metal C8 to C22 alkyl sulfate, alkali metal salicylate, a pharmaceutically acceptable edetate and at least one absorption enhancing compds. The absorption enhancing compds. are selected from the group consisting of lecithin, hyaluronic acid, pharmaceutically acceptable salts of hyaluronic acid, octylphenoxypolyethoxyethanol, glycolic acid, lactic acid, chamomile ext., cucumber ext., oleic acid, linolenic acid, borage oil, evening of primrose oil, trihydroxy oxo cholanylglycine, glycerin, polyglycerin, lysine, polylysine, triolein and mixts. thereof. The amt. of each absorption enhancing compd. is present in a concn. of from 1 to 10 wt./wt. of the total formulation, and the total concn. of absorption enhancing compds. are less than 50 wt./wt. of the formulation. A micellar soln. contained insulin 50 units, sodium lauryl sulfate 4.4, sodium salicylate 4.4, alkali metal edetate 2.2, sodium hyaluronate 1.1%, and Phospholipon-H 10 mg. Mixed micellar liposomal insulin formulation was prepd. from the above micellar soln. by addn. of phospholipin-H and iso-Pr alc. and high speed stirring for 30 min. The mixed micellar soln. was administered orally to volunteers. The soln. decreased the blood glucose level better than insulin injection.

IT 9004-10-8, Insulin, biological studies

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(method for administering insulin to buccal region)

RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 5661-86-9D, trihydroxy oxo deriv.

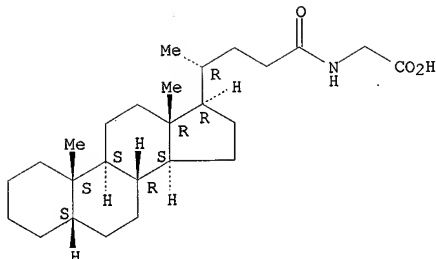
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(method for administering insulin to buccal region)

RN 5661-86-9 HCAPLUS

CN Glycine, N-[(5.beta.)-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/088807



L21 ANSWER 9 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2001:687330 HCAPLUS  
 DOCUMENT NUMBER: 135:262222  
 TITLE: Mixed liposome pharmaceutical formulation with  
 amphiphiles and phospholipids  
 Inventor(S): Modi, Pankaj  
 Patent Assignee(S): Genex Pharmaceuticals, Inc., Can.  
 SOURCE: U.S., 12 pp., Cont.-in-part of U.S. 6,193,997.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6290987	B1	20010918	US 1999-391664	19990907
US 6193997	B1	20010227	US 1998-161447	19980927
BR 9915761	A	20010724	BR 1999-15761	19990927
WO 2001017506	A1	20010315	WO 2000-CA323	20000324
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, BF, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1217988	A1	20020703	EP 2000-912302	20000324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003508483	T2	20030304	JP 2001-521297	20000324
PRIORITY APPLN. INFO.: <u>US 1998-161447</u> <u>A2 19980927</u>				
US 1999-391664 A 19990907				
WO 2000-CA323 W 20000324				

AB A mixed liposome pharmaceutical formulation with multilamellar vesicles is provided. The formulation comprises a pharmaceutical agent, water, an alkali metal alkyl sulfate, at least one membrane mimetic amphiphile, and at least one phospholipid. When aerosol

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delivery is intended, the formulation also comprises a propellant and a phenol. A metered dose dispenser contg. the formulation, as well as a method of administering the formulation, are also provided. For example, insulin crystals were dissolved in presence of 0.3M HCl to obtain 100 U/mL insulin. To 10 mL of insulin soln., 50 mg sodium lauroyl sulfate was added. In 50 mL of water, 50 mg trihydroxy-oxo-cholanyl-glycine and 50 mg polydecanol 20-oleyl ether were added and dissolved and then mixed with the insulin soln. The mixt. was sprayed under pressure into a 1 wt.% soln. of phospholipid GLA to form mixed micelles. This procedure gave a mixed amphiphile insulin soln. with 50 U/mL. To 10 mL of the insulin soln., 100 mg of sodium lauryl sulfate was added and dissolved completely. In 50 mL of water, 100 mg sodium hyaluronate, 0.5 mL glycolic acid and 0.5 mL propylene glycol were added and dissolved and then mixed with the insulin soln. This mixt. was then sprayed under pressure into a 1 wt.% soln. of Phospholipon-H satd. lecithin, to form mixed micelles. The topical insulin formulation, within the scope of the present invention, at an equiv. dosage, is comparable with the injected insulin.

IT 9004-10-8, Insulin, biological studies  
68714-82-9

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(mixed liposome compns. contg. membrane mimetic amphiphiles and phospholipids)

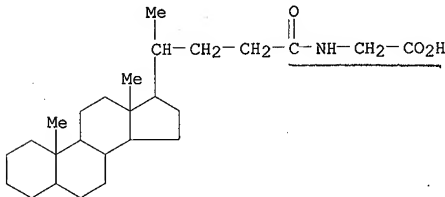
RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 68714-82-9 HCAPLUS

CN Glycine, N-(trihydroxy-24-oxocholan-24-yl)- (9CI) (CA INDEX NAME)



3 (D1-OH)

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE  
FOR THIS RECORD. ALL CITATIONS AVAILABLE  
IN THE RE FORMAT

L21 ANSWER 10 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:676576 HCAPLUS

DOCUMENT NUMBER: 135:231706

TITLE: Pharmaceutical compositions for buccal and

Searcher : Shears 308-4994

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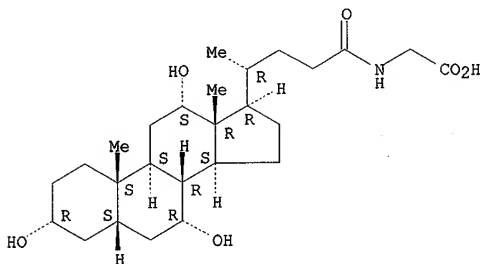
INVENTOR(S): pulmonary application  
Modi, Pankaj  
PATENT ASSIGNEE(S): Generex Pharmaceuticals Inc., Can.  
SOURCE: PCT Int. Appl., 28 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 7  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066085	A2	20010913	WO 2001-IB515	20010221
WO 2001066085	A3	20020411		
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p> <p>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG</p>				
US 6375975	B1	20020423	US 2000-519285	20000306
EP 1261320	A2	20021204	EP 2001-919686	20010221
<p>R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR</p>				
PRIORITY APPLN. INFO.:				
			US 2000-519285	A 20000306
			US 1998-113239P	P 19981221
			US 1999-251464	A2 19990217
			US 1999-386284	A2 19990831
			WO 2001-IB515	W 20010221
AB	<p><u>Pharmaceutical compns</u> comprising a macromol. pharmaceutical agent in mixed micellar form are disclosed. The <u>mixed micelles</u> are formed from an <u>alkali metal alkyl sulfate</u>, and at least 3 different micelle-forming compds. Micelle size ranges between about 1 and 10 nm. A preferred method for administering the present compn. is through the <u>buccal region of the mouth</u>. A soln. of powd. insulin (100 mg) in 10 mL water was prepd. and mixed with sodium lauryl sulfate 50, deoxycholate 36, trihydroxyoxocholanylglycine 50, and dibasic sodium phosphate 20 mg. This mixt. was then mixed with 250 mg glycerin, 40 mg m-cresol, and 40 mg phenol.</p>			
IT	<p>9004-10-8, Insulin, biological studies RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. for buccal and pulmonary application)</p>			
RN	9004-10-8 HCAPLUS			
CN	Insulin (9CI) (CA INDEX NAME)			
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***				
IT	<p>475-31-0 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. for buccal and pulmonary application)</p>			
RN	475-31-0 HCAPLUS			

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CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 11 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:581685 HCAPLUS

DOCUMENT NUMBER: 135:157683

TITLE: Preparation of aqueous clear solution dosage forms with bile acids

INVENTOR(S): Yoo, Seo Hong

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056547	A2	20010809	WO 2001-US3745	20010205
WO 2001056547	A3	20020718		
WO 2001056547	B1	20030220		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1255566	A2	20021113	EP 2001-908862	20010205
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
PRIORITY APPLN. INFO.:			US 2000-180268P	P 20000204
			WO 2001-US3745	W 20010205
AB	Compns. for pharmaceutical and other uses comprising clear aq.			

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solns. of bile acids which do not form any detectable ppts. over selected ranges of pH values of the aq. soln. and methods of making such solns. The compns. of the invention comprise water; a bile acid in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and either or both an aq. sol. starch conversion product and a aq. sol. non-starch polysaccharide. The compn. remains in soln. without forming a ppt. over a range of pH values and, according to one embodiment, remains in soln. for all pH values obtainable in an aq. system. The compn., according to some embodiments, may further contain a pharmaceutical compd. in a pharmaceutically effective amt. Non-limiting examples of pharmaceutical compds. include insulin, heparin, bismuth compds., amantadine and rimantadine. A syrup compn. contained ursodeoxycholic acid 20 g, 1N NaOH 60 mL, corn syrup solid 1050 g, Bi citrate 4g, citric acid or lactic acid q.s. and purified water to 1L.

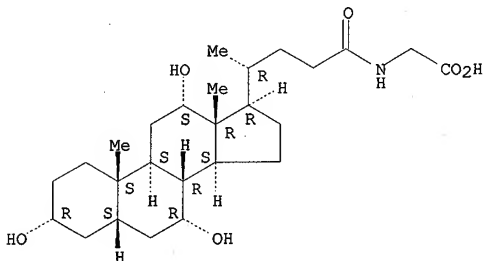
IT 475-31-0, Glycocholic acid 64480-66-6,  
Glycoursodeoxycholic acid

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(aq. clear soln. dosage forms with bile acids)

RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

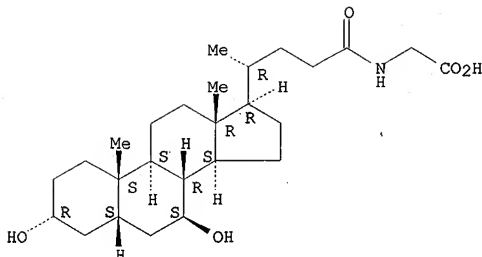


RN 64480-66-6 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.beta.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/088807



L21 ANSWER 12 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2001:355059 HCAPLUS  
 DOCUMENT NUMBER: 134:357576  
 TITLE: Preparation of mixed micellar delivery system  
 for pharmaceutical proteins  
 INVENTOR(S): Modi, Pankaj  
 PATENT ASSIGNEE(S): Generex Pharmaceuticals Inc., Can.  
 SOURCE: U.S., 13 pp., Cont.-in-part of U.S. Ser. No.  
 21,114.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6231882	B1	20010515	US 1998-216733	19981221
US 6017545	A	20000125	US 1998-21114	19980210
BR 9804295	A	20000328	BR 1998-4295	19981027
WO 9940932	A1	19990819	WO 1999-CA106	19990205
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9925053	A1	19990830	AU 1999-25053	19990205
AU 750197	B2	20020711		
EP 1053011	A1	20001122	EP 1999-904638	19990205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
NZ 506024	A	20020201	NZ 1999-506024	19990605
US 6221378	B1	20010424	US 1999-386285	19990831
US 6350458	B1	20020226	US 2000-543988	20000406
PRIORITY APPLN. INFO.: <u>US 1998-21114</u> <u>A2 19980210</u> US 1998-216733 A 19981221				

10/088807

WO 1999-CA106 W 19990205  
US 1999-386285 A2 19990831

AB A mixed micellar pharmaceutical formulation includes (1) a micellar proteinic pharmaceutical agent, i.e., heparin, hirulog, hirudin, interferons, interleukins, cytokines, and polyclonal antibodies, chemotherapeutic agents, glycoproteins, bacterial toxoids, hormones, antibiotics, platelet inhibitors, DNA, RNA, antisense oligonucleotides, steroids, hypnotics, and pain killers, e.t.c., (2) an alkali metal C8-22 alkyl sulfate, (3) alkali metal salicylate, (4) a pharmaceutically acceptable edetate and (5) at least one absorption enhancing compds. The absorption enhancing compds. are selected from the group consisting of lecithin, hyaluronic acid, pharmaceutically acceptable salts of hyaluronic acid, octylphenoxypolyethoxyethanol, glycolic acid, lactic acid, chamomile ext., cucumber ext., oleic acid, linolenic acid, borage oil, evening primrose oil, trihydroxy oxo cholanyl glycine, glycerin, polyglycerin, lysine, polylysine, triolein and mixts. thereof. The amt. of each absorption enhancing compd. is present in a concn. of 1-10% by wt. of the total formulation, and the total concn. of absorption enhancing compds. are < 50% by wt. of the formulation. For example, a micellar insulin soln. was prepd. using 0.5 g sodium lauryl sulfate, 0.5 g Na salicylate, and 0.25 g disodium edetate dissolved in 10 mL of water. To this soln. 40 mg (1000 units) of insulin was added and dissolved completely while stirring, to give about 100 units/mL insulin oral soln. Compared to the injections, oral insulin gave a faster onset of action and lowered blood glucose levels without creating hypoglycemic condition. Due to the hepatic glucose prodn., there was a rebound effect. This is believed to be due to the incomplete absorption of insulin.

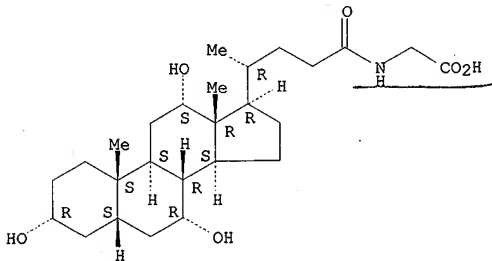
IT 475-31-0 9004-10-8, Insulin, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (prepn. of mixed micellar delivery system for proteinic drugs)

RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

10/088807

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN  
THE RE FORMAT

L21 ANSWER 13 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2001:185551 HCAPLUS  
DOCUMENT NUMBER: 134:242646  
TITLE: Proteinic drug delivery system using membrane  
mimetics  
INVENTOR(S): Modi, Pankaj  
PATENT ASSIGNEE(S): Generex Pharmaceuticals Inc., Can.  
SOURCE: PCT Int. Appl., 39 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001017506	A1	20010315	WO 2000-CA323	20000324
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6290987	B1	20010918	US 1999-391664	19990907
EP 1217988	A1	20020703	EP 2000-912302	20000324
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2003508483	T2	20030304	JP 2001-521297	20000324
PRIORITY APPLN. INFO.:			US 1999-391664	A 19990907
			US 1998-161447	A2 19980927
			WO 2000-CA323	W 20000324

AB A mixed liposome pharmaceutical formulation with multilamellar vesicles, which formulation may be administered through the oral or nasal membranes, or by pulmonary access. The formulation includes a proteinic pharmaceutical agent, water, an alkali metal C8-22 alkyl sulfate 1-10 %, at least one membrane-mimetic amphiphile and at least one phospholipid. The amt. of each membrane mimetic amphiphile and phospholipid is present in a concn. of 1-10 % of the total formulation, and the total concn. of membrane mimetic amphiphiles and phospholipids is < 50 % of the formulation. A process for making the formulation, a container housing the formulation, and a method of administering the formulation are also disclosed. The method of administration includes mixing the formulation with a propellant and administering the mixt. orally using a metered dose dispenser. A mixed amphiphile insulin soln. was prepd. from an insulin soln., sodium lauryl sulfate, water, trihydroxy-oxo-cholanylglycine, polydecanol 20-oleyl ether, and phospholipid GLA (glycolic lactic acid), and orally administered by spraying the soln. to diabetic human volunteers.

10/088807

The results showed that the oral insulin formulation, within the scope of the present invention, at an equiv. dosage, is comparable with the injected insulin.

IT 9004-10-8, Insulin, biological studies

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(liposome comps. suitable for oral topical administration contg. proteinic drugs and alkali metal alkyl sulfates and phospholipids and membrane-mimetic amphiphiles)

RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 5661-86-9D, trihydroxy oxo deriv., sodium salt

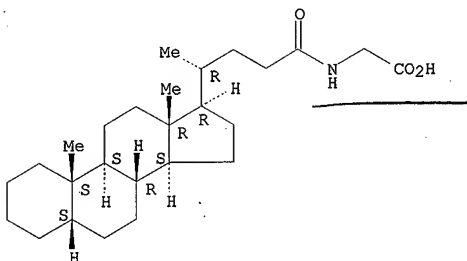
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(liposome comps. suitable for oral topical administration contg. proteinic drugs and alkali metal alkyl sulfates and phospholipids and membrane-mimetic amphiphiles)

RN 5661-86-9 HCAPLUS

CN Glycine, N-[(5.beta.)-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 14 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:136991 HCAPLUS

DOCUMENT NUMBER: 134:198075

TITLE: Triglyceride-free compositions and methods for enhanced absorption of hydrophilic therapeutic agents

INVENTOR(S): Patel, Mahesh V.; Chen, Feng-Jing

PATENT ASSIGNEE(S): Lipocine, Inc., USA

SOURCE: PCT Int. Appl., 113 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

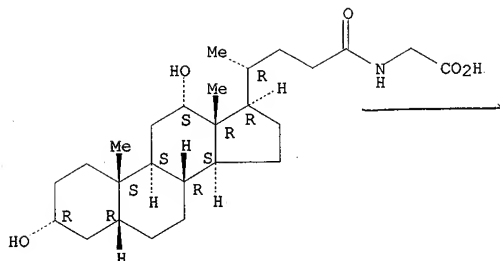
PATENT INFORMATION:

10/088807

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012155	A1	20010222	WO 2000-US18807	20000710
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6309663	B1	20011030	US 1999-375636	19990817
EP 1210063	A1	20020605	EP 2000-947184	20000710
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2003506476	T2	20030218	JP 2001-516502	20000710
US 2001024658	A1	20010927	US 2000-751968	20001229
US 6458383	B2	20021001		
PRIORITY APPLN. INFO.:			US 1999-375636 A	19990817
			WO 2000-US18807 W	20000710
AB	The present invention relates to triglyceride-free pharmaceutical compns., pharmaceutical systems, and methods for enhanced absorption of hydrophilic therapeutic agents. The compns. and systems include an <u>absorption enhancing carrier</u> , where the carrier is formed from a combination of at least two surfactants, at least one of which is hydrophilic. A hydrophilic therapeutic agent can be incorporated into the compn., or can be co-administered with the compn. as part of a pharmaceutical system. The invention also provides methods of treatment with hydrophilic therapeutic agents using these compns. and systems. For example, when a compn. contg. Cremophor RH40 0.30, Arlacel 186 0.20, Na taurocholate 0.18, and propylene glycol 0.32 g, resp., was used, the relative absorption of PEG 4000 as a model macromol. drug was enhanced by 991%.			
IT	360-65-6, Glycodeoxycholic acid 475-31-0, Glycocholic acid 640-79-9, Glycochenodeoxycholic acid <u>9004-10-8, Insulin, biological studies</u> 64480-66-6, Glycoursodeoxycholic acid 93790-70-6, Cholylsarcosine RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (compns. for enhanced absorption of hydrophilic drugs using combination of surfactants)			
RN	360-65-6 HCAPLUS			
CN	Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)			

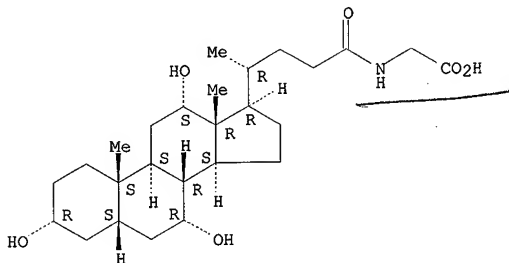
Absolute stereochemistry.

10/088807



RN 475-31-0 HCAPLUS  
 CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

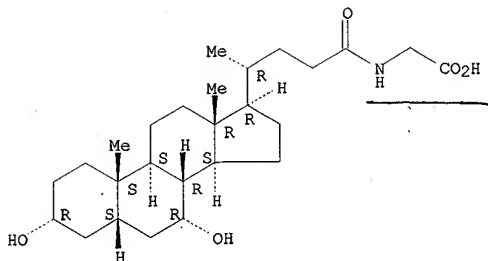
Absolute stereochemistry.



RN 640-79-9 HCAPLUS  
 CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/088807

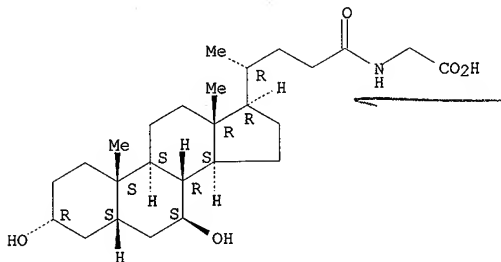


RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 64480-66-6 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.beta.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

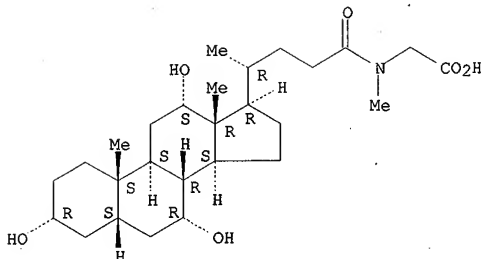
Absolute stereochemistry.



RN 93790-70-6 HCAPLUS  
CN Glycine, N-methyl-N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/088807



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 15 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:101167 HCAPLUS

DOCUMENT NUMBER: 134:168315

TITLE: Enhancement of bioavailability of peptides with file salts

INVENTOR(S): Morrison, James Duncan; Lucas, Michael Leslie; Wheeler, Sarah

PATENT ASSIGNEE(S): The University Court of the University of Glasgow, UK

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001009163	A2	20010208	WO 2000-GB2903	20000728
WO 2001009163	A3	20010907		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
GB 2355009	A1	20010411	GB 1999-17793	19990730
AU 2000061739	A5	20010219	AU 2000-61739	20000728
EP 1228093	A2	20020807	EP 2000-948177	20000728
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
PRIORITY APPLN. INFO.:			GB 1999-17793	A 19990730
			WO 2000-GB2903	W 20000728

APPL.

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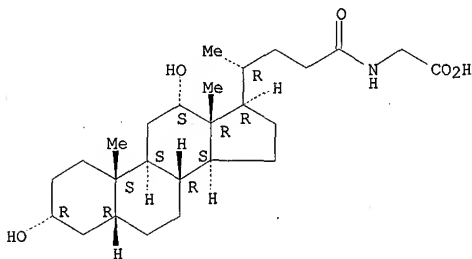
OTHER SOURCE(S): MARPAT 134:168315

- AB The present invention relates to improving and/or increasing the bioavailability of a biol. active substance, such as a peptide. In particular the present invention relates to the conjugation of the biol. active substance to a bile acid. The conjugated biol. active substance is suitable particularly for oral or parental administration. Ileal administration of 600.mu.g/kg gastrin
- 4 tetrapeptide conjugated to cholates resulted in a significant mean increase in gastric acid secretion of 1.84 .mu.mol over a 3 h collection period, while no increase in acid secretion was noticed by administration of tetragastrin alone or with sep. cholate.
- IT 9004-10-8, Insulin, biological studies  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(enhancement of bioavailability of peptides with bile salts)
- RN 9004-10-8 HCAPLUS
- CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

- IT 360-65-6D, Glycodeoxycholic acid, salts 474-74-8D, Glycolithocholic acid, salts 640-79-9D, Glycochenodeoxycholic acid, salts 64480-66-6D, Glycoursodeoxycholic acid, salts  
RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(enhancement of bioavailability of peptides with bile salts)
- RN 360-65-6 HCAPLUS
- CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

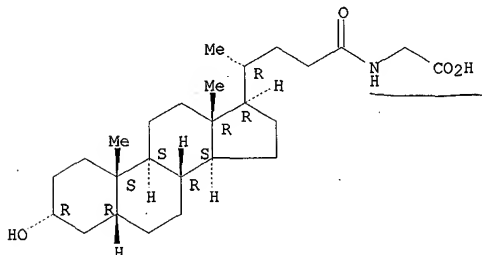


- RN 474-74-8 HCAPLUS
- CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3-hydroxy-24-oxocholan-24-yl]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

See if anything  
>

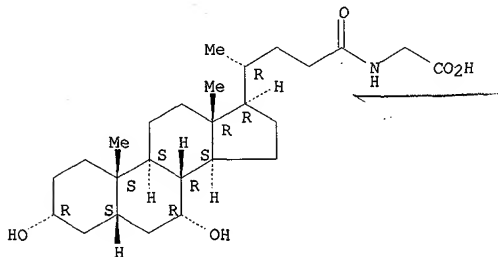
10/088807



RN 640-79-9 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

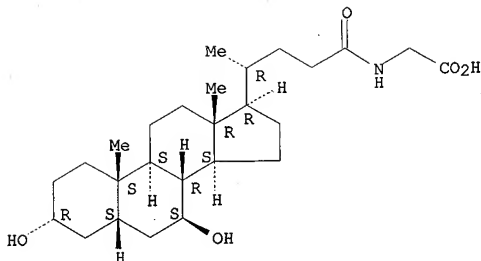


RN 64480-66-6 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.beta.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/088807



L21 ANSWER 16 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2000:441628 HCAPLUS  
 DOCUMENT NUMBER: 133:68969  
 TITLE: Assays for ligands for nuclear receptors using peptide sequences  
 INVENTOR(S): Blanchard, Steven Gerard; Kliever, Anthony; Lehmann, Jurgen; Parks, Derek J.; Stimmel, Julie Beth; Willson, Timothy Mark  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
 SOURCE: PCT Int. Appl., 62 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037077	A1	20000629	WO 1999-US30947	19991222
W:	AE, AL, AM, AT, AU, AZ, BG, BR, CA, CH, CN, CU, DE, DK, EE, ES, FI, GB, GD, GH, HR, IN, IS, JP, LK, LU, LV, MD, MN, MX, NO, RU, SD, SE			
RW:	GH, GM, KE, LS, MW, SD, SL, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, MR, NE, TD, TG			
CA 2356887	AA	20000629	CA 1999-2356887	19991222
EP 1140079	A1	20011010	EP 1999-967639	19991222
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2002532729	T2	20021002	JP 2000-589188	19991222
PRIORITY APPLN. INFO.:			US 1998-135097P	P 19981223
			WO 1999-US30947	W 19991222

OTHER SOURCE(S): MARPAT 133:68969  
 AB The present invention provides a method of identifying compds. for the treatment of diseases or disorders modulated by farnesoid X receptor (FXR), comprising the step of detg. whether the compd. interacts directly with FXR, wherein a compd. that interacts directly with FXR is a compd. for the treatment. A generic approach to assay development for nuclear receptors is presented, using purified ligand binding domains. The concept of generic assay development is extended to develop in vitro assays that detect

ligand binding by monitoring ligand-induced changes in receptor heterodimerization. This approach is demonstrated using both scintillation proximity and homogeneous time-resolved fluorimetry (HTRF). Another aspect of the invention is a nuclear receptor peptide assay for identifying ligands. This assay utilizes fluorescence resonance energy transfer (FRET) and can be used to test whether putative ligands bind to FXR. The FRET assay is based upon the principle that ligands induce conformational changes in nuclear receptors that facilitate interactions with coactivator proteins required for transcriptional activation. Binding of the FXR nuclear receptor can result in the alteration of expression of various genes that FXR aids in regulating, including genes involved in lipid absorption and digestion in the small intestine and lipid homeostasis in liver. FXR often functions as a heterodimer with the RXR receptor. The inventive method includes using this technol. to affect bile acid and cholesterol homeostasis such that, ultimately, cholesterol and lipid levels can be modified and in treating diseases in a mammal, including human, in which regulation of bile acid, cholesterol and lipid levels is important. For example, GW4064 (prepd. in a yield of 98%) was given to Fischer rats at a dose of 30 mg/kg for 7 days. At the end of study, serum triglyceride levels were decreased by 26% compared to a vehicle-treated controls. Nearly 20 genes were identified in the intestine that were regulated >1.5-fold by GW4064. The expression of roughly half of these genes was decreased by GW4064 treatment. All of these down-regulated genes are involved in either lipid absorption or proteolysis, including lipases, proteases, and a colipase.

IT 360-65-6 474-74-8 475-31-0  
640-79-9

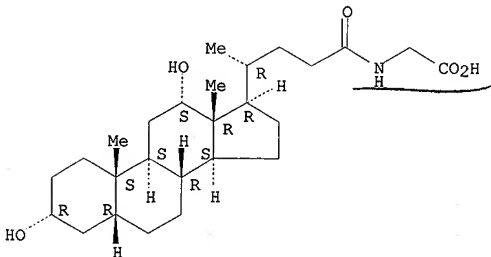
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process).

(identification of nuclear receptor ligands for treatment of diseases affected by cholesterol, triglycerides and bile acid levels)

RN 360-65-6 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

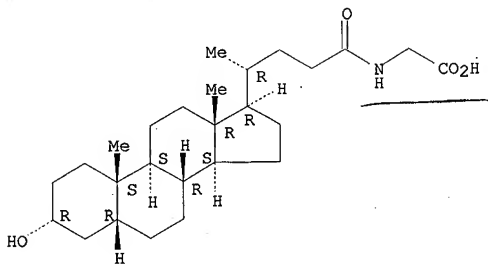
Absolute stereochemistry.



10/088807

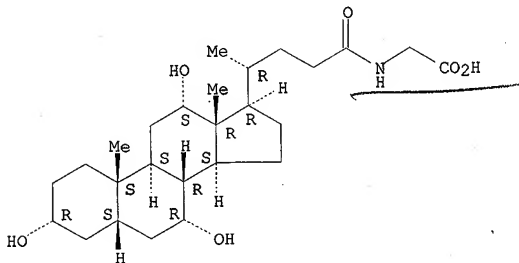
RN 474-74-8 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.)-3-hydroxy-24-oxocholan-24-yl]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



RN 475-31-0 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

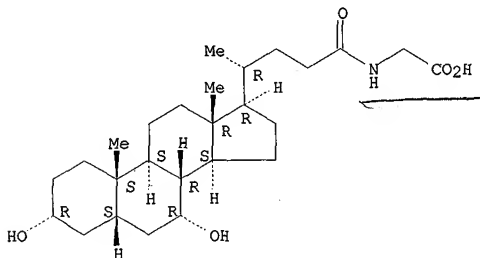
Absolute stereochemistry.



RN 640-79-9 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/088807



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 17 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2000:441602 HCAPLUS  
 DOCUMENT NUMBER: 133:63985  
 TITLE: Aerosol formulations for buccal and pulmonary application  
 INVENTOR(S): Modi, Pankaj  
 PATENT ASSIGNEE(S): Generex Pharmaceuticals Inc., Can.  
 SOURCE: PCT Int. Appl., 46 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037051	A1	20000629	WO 1999-CA1231	19991216
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6436367	B1	20020820	US 1999-251464	19990217
US 6312665	B1	20011106	US 1999-386284	19990831
EP 1140019	A1	20011010	EP 1999-962009	19991216
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2002532536	T2	20021002	JP 2000-589162	19991216
NZ 512188	A	20021025	NZ 1999-512188	19991216
AU 760445	B2	20030515	AU 2000-18518	19991216
PRIORITY APPLN. INFO.:			US 1998-113239P	P 19981221
			US 1999-251464	A 19990217
			US 1999-386284	A 19990831

AB A mixed micellar aerosol pharmaceutical formulation includes a micellar protein pharmaceutical agent, an alkali metal lauryl sulfate, at least three micelle forming compds., a phenol and a propellant. The micelle forming compds. are selected from the group consisting of lecithin, hyaluronic acid, pharmaceutically acceptable salts of hyaluronic acid, glycolic acid, lactic acid, chamomile ext., cucumber ext., oleic acid, linoleic acid, linolenic acid, monocolin, monooleates, monolaurates, borage oil, evening of primrose oil, menthol, trihydroxy oxocholanyl glycine and pharmaceutically acceptable salts thereof, glycerin, polyglycerin, lysine, polylysine, triolein, polyoxyethylene ethers and analogs thereof, polydocanol alkyl ethers and analogs thereof, chenodeoxycholate and deoxycholate. The amt. of each micelle forming compd. is present in a concn. of from 1 to 20 wt./wt. % of the total formulation, and the total concn. of micelle forming compds. are less than 50 wt./wt. % of the formulation. The propellant, e.g., a fluorocarbon propellant, provides enhanced absorption of the pharmaceutical agent, particularly in the buccal cavity. An example was given using insulin as the active ingredient.

RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(aerosol formulations for buccal and pulmonary application)

Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

The diagram shows a steroid nucleus with four fused rings (A, B, C, D). Substituents include a hydroxyl group at C-3, methyl groups at C-10 and C-13, and a side chain at C-17. The side chain consists of a methylene group, a chiral center with a methyl group and an 'R' group, and a terminal amide group. The amide group is attached to a chiral center that also has a methyl group and a carboxylic acid group. Stereochemistry is indicated with 'R' and 'S' labels and dashed/wedged bonds.

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(aerosol formulations for buccal and pulmonary application)

Insulin (9CI) (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN  
THE RE FORMAT

10/088807

L21 ANSWER 18 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2000:290817 HCAPLUS  
 DOCUMENT NUMBER: 132:326059  
 TITLE: Associates of macromolecules and complex aggregates for improved payload and controlled drug delivery  
 INVENTOR(S): Cevc, Gregor  
 PATENT ASSIGNEE(S): Idea Innovative Dermale Applikationen GmbH, Germany  
 SOURCE: PCT Int. Appl., 88 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000024377	A1	20000504	WO 1998-EP6750	19981023
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2309633	AA	20000504	CA 1998-2309633	19981023
AU 9914350	A1	20000515	AU 1999-14350	19981023
EP 1039880	A1	20001004	EP 1998-958234	19981023
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
BR 9814415	A	20001010	BR 1998-14415	19981023
JP 2002528406	T2	20020903	JP 2000-577988	19981023
NO 2000003287	A	20000823	NO 2000-3287	20000622
PRIORITY APPLN. INFO.:			WO 1998-EP6750	A 19981023
AB	This invention describes the principles and procedures suitable for developing, testing, manufg., and using combinations of various amphipathic, if necessary modified, macromols. (such as polypeptides, proteins, etc.) or other chain mols. (such as suitable, e.g. partly hydrophobic, polynucleotides or polysaccharides) with the aggregates which comprise a mixt. of polar and/or charged amphipathic mols. and form extended surfaces that can be freely suspended or supported. The methods can be utilized for the optimization of aggregates that, after assocn. with chain mols. exerting some activity or a useful function, are suitable for the application in vitro or in vivo, e.g., in the fields of drug delivery, diagnostics or biocatalysis. As special examples, mixts. of vesicular droplets consisting of lipids loaded (assocd.) with insulin, interferon, interleukin, nerve growth factor, calcitonin, and an Ig, etc., are described. Thus, ultradeformable and flexible vesicles (Transfersomes) were prepd. from soybean phosphatidylcholine 874.4 and sodium cholate 125.6 mg, and pH 7.1 9 mL phosphate buffer. To this suspension (5% total lipid content) was added 0.1, 0.5, 1, 2, 3, or 4 mg/insulin/100 mg total lipid.			
IT	360-65-6D, GlycodeoxyCholic acid, monovalent salts 475-31-0D, GlycoCholic acid, monovalent salts			

10/088807

9004-10-8, Insulin, biological studies

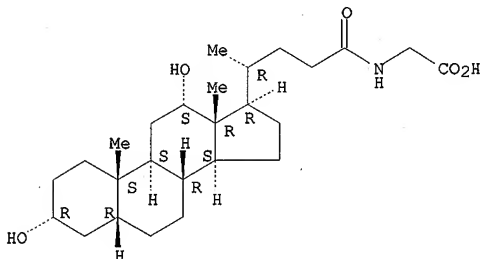
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(assoc. of macromols. and complex aggregates for improved  
payload and controlled drug delivery)

RN 360-65-6 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-  
24-yl]- (9CI) (CA INDEX NAME)

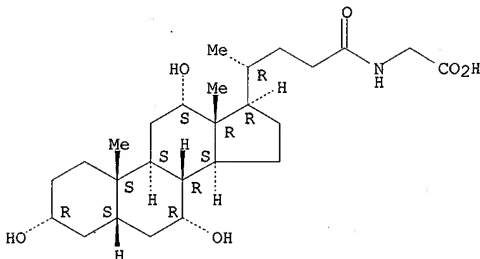
Absolute stereochemistry.



RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-  
24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN  
THE RE FORMAT

L21 ANSWER 19 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:227475 HCAPLUS

Searcher : Shears 308-4994

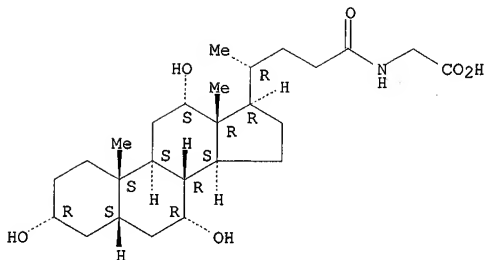
10/088807

DOCUMENT NUMBER: 132:270064  
 TITLE: Protein drug delivery system using membrane mimetics  
 INVENTOR(S): Modi, Pankaj  
 PATENT ASSIGNEE(S): GenereX Pharmaceuticals Inc., Can.  
 SOURCE: PCT Int. Appl., 38 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018371	A1	20000406	WO 1999-CA879	19990923
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6193997	B1	20010227	US 1998-161447	19980927
CA 2345075	AA	20000406	CA 1999-2345075	19990923
AU 9958435	A1	20000417	AU 1999-58435	19990923
AU 749892	B2	20020704		
EP 1115381	A1	20010718	EP 1999-945793	19990923
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2002525309	T2	20020813	JP 2000-571892	19990923
NZ 510191	A	20020927	NZ 1999-510191	19990923
BR 9915761	A	20010724	BR 1999-15761	19990927
PRIORITY APPLN. INFO.:			US 1998-161447	A 19980927
			WO 1999-CA879	W 19990923
AB	A mixed liposome pharmaceutical <u>formulation</u> with multilamellar vesicles, comprises a protein pharmaceutical agent, water, an alkali metal lauryl sulfate in a concn. of from 1 to 10 wt./wt.%, at least one membrane-mimetic amphiphile and at least one phospholipid. The amt. of each membrane mimetic amphiphile and phospholipid is present 1 to 10 wt./wt.% of the total formulation, and the total concn. of membrane mimetic amphiphiles and phospholipids is less than 50 wt./wt.% of the formulation. A compn. was prepd. contg. insulin soln., Na lauryl sulfate, trihydroxyoxocholanyl-glycine, and polydecanol 20-oleyl ether and this mixt. sprayed under pressure into a 1 wt.% soln. of phospholipid GLA (glycolic, lactic acid) to form mixed micelles.			
IT	475-31-0 475-31-0D, alkali metal salts 9004-10-8, Insulin, biological studies RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (protein drug delivery system using membrane mimetics)			
RN	475-31-0 HCAPLUS			
CN	Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)			

Absolute stereochemistry.

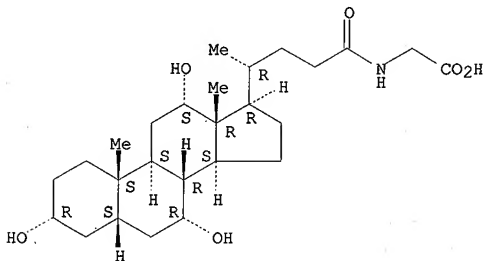
10/088807



RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 20 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:84582 HCAPLUS

DOCUMENT NUMBER: 132:141949

TITLE: Preparation of aqueous clear solution dosage forms with bile acids

INVENTOR(S): Yoo Seo Hong

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 45 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

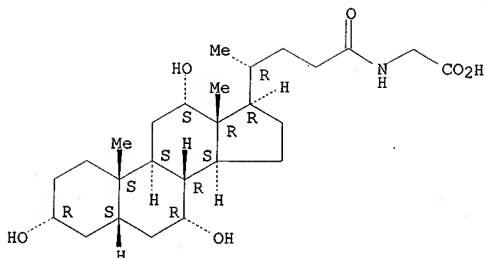
10/088807

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000004875	A2	20000203	WO 1999-US12840	19990720
WO 2000004875	A3	20010503		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2338457	AA	20000203	CA 1999-2338457	19990720
AU 9950819	A1	20000214	AU 1999-50819	19990720
AU 758679	B2	20030327		
EP 1113785	A2	20010711	EP 1999-935313	19990720
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9912395	A	20011016	BR 1999-12395	19990720
JP 2002522357	T2	20020723	JP 2000-560868	19990720
PRIORITY APPLN. INFO.: US 1998-94069P P 19980724 WO 1999-US12840 W 19990720				
AB	Comps. for pharmaceutical and other uses for prepg. clear aq. solns. contg. bile acids which do not form ppts. over selected ranges of pH values of the aq. soln. and methods of making such solns. are disclosed. The comps. of the invention comprise water; a bile acid in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and a high mol. wt. aq. sol. starch conversion product. The compn. remains in soln. without forming a ppt. over a range of pH values and, according to one embodiment, remains in soln. all pH values obtainable in an aq. system. The compn., according to some embodiments, may further contain a pharmaceutical compd. in a pharmaceutically effective amt. A pharmaceutical soln. which did not show any pptn. at any pH contained 3.alpha.-7.beta.-dihydroxy-5.beta.-cholic acid 200 mg, maltodextrin 5, preservatives q.s., flavoring agent q.s., sweetener q.s., and water q.s. 100 mL.			
IT	475-31-0, Glycocholic acid 9004-10-8, Insulin, biological studies 64480-66-6, Glycoursodeoxycholic acid RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (prepn. of aq. clear soln. dosage forms with bile acids)			
RN	475-31-0 HCAPLUS			
CN	Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)			

Absolute stereochemistry.

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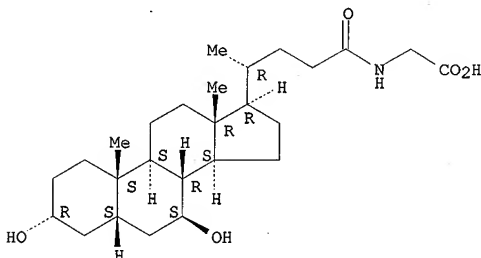


RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 64480-66-6 HCAPLUS ,  
CN Glycine, N-[(3.alpha.,5.beta.,7.beta.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 21 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1999:111185 HCAPLUS  
DOCUMENT NUMBER: 130:350656  
TITLE: Fast glycocholic acid concn. and diabetic hepatopathy  
AUTHOR(S): Pan, Yunlong; Shi, Xinfu; Cheng, Yingying; Zhu, Yan; Zhang, Zhengwen  
CORPORATE SOURCE: Yangzhou University Medical College Affiliated Hospital, Yangzhou, 225001, Peop. Rep. China  
SOURCE: Jiangsu Yiyao (1998), 24(9), 679-680  
CODEN: CIYADX; ISSN: 0253-3685  
PUBLISHER: Jiangsu Yiyao Bianjibu  
DOCUMENT TYPE: Journal  
LANGUAGE: Chinese

10/088807

AB Fast glycocholic acid concn. and hepatic enzyme spectra were examd. in 35 patients with diabetes (5 IDDM and 30 NIDDM) and 30 healthy adults to study the relationship with diabetic hepatopathy. The glycocholic acid in the diabetes patients was 119.73. $\pm$ .82.45 vs. 65.79. $\pm$ .58.52 mg/L of the control,  $P < 0.05$ ; GGT was 40.55. $\pm$ .32.91 vs. 11.86. $\pm$ .7.58 U/L,  $P < 0.05$ ; ALP (alk. phosphatase) was 75.96. $\pm$ .44.88 vs. 71.66. $\pm$ .13.12, LDH was 396.73. $\pm$ .259.73 vs. 335.30. $\pm$ .77.54 U/L, ALT was 22.07. $\pm$ .15.49 vs. 18.91. $\pm$ .6.26 U/L, and AST (aspartate transaminase) was 25.24. $\pm$ .15.45 vs. 26.10. $\pm$ .6.79 U/L,  $P > 0.05$ . Glycocholic acid concn. obsd. no significant differences between patients with or without cholelithiasis, other chronic complications, and received oral hypoglycemic or insulin therapy. The glycocholic acid level was pos. correlated with GGT and ALP,  $\gamma = 0.470$  and  $0.501$ ,  $P < 0.05$ . The results suggest the fast serum glycocholic acid is not related with diabetic chronic complications, which might be due to too few cases enrolled in this study.

IT 475-31-0, Glycocholic acid 9004-10-8,

Insulin, biological studies

RL: BOC (Biological occurrence); BSU (Biological study,

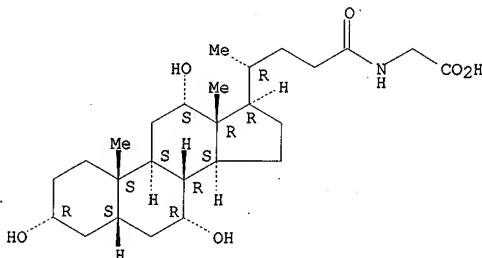
unclassified); BIOL (Biological study); OCCU (Occurrence)

(glycocholic acid and liver enzymes in human in relation to diabetic chronic complications)

RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L21 ANSWER 22 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:719127 HCAPLUS

DOCUMENT NUMBER: 129:335792

TITLE: Powder inhalants containing insulin and an absorption enhancer

INVENTOR(S): Backstrom, Kjell Goran Erik; Dahlback, Carl Magnus Olof; Edman, Peter; Johansson, Ann Charlotte Birgit

10/088807

PATENT ASSIGNEE(S): Astra Aktiebolag, Swed.  
SOURCE: U.S., 17 pp., Cont.-in-part of U.S. 5,506,203.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 5  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5830853	A	19981103	US 1996-582702	19960104
US 5506203	A	19960409	US 1994-265371	19940623
US 5506203	C1	20010206		
US 2001003739	A1	20010614	US 2000-731429	20001206
US 2001025037	A1	20010927	US 2001-783189	20010214

PRIORITY APPLN. INFO.:  
US 1994-265371 A2 19940623  
SE 1993-2198 A 19930624  
SE 1994-372 A 19940204  
US 1996-582702 A1 19960104  
US 1998-158554 A1 19980922

AB A method of treating a patient in need of insulin treatment, includes the steps of introducing into the lower respiratory tract of the patient an effective amt. of a therapeutic prep. in the form of a dry powder contg. (a) insulin and (b) an enhancer compd. which enhances the absorption of insulin in the lungs of the patient. The enhancer of the invention is preferably a surfactant, such as a salt of a fatty acid, a bile salt, or a phospholipid. The enhancer may be, for example, a sodium, potassium, or org. amine (e.g., lysine) salt of the fatty acid, and the fatty acid is preferably capric acid or another fatty acid of 8-16 carbon atoms. The preferred fatty acid salt is sodium caprate. The ratio of insulin to enhancer will preferably vary from about 9:1 to about 1:1.

IT 9004-10-8, Insulin, biological studies  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(powder inhalants contg. insulin and an absorption enhancer)

RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

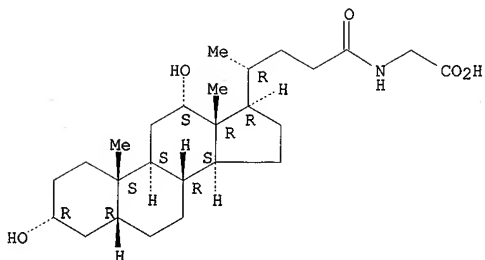
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 360-65-6D, Glycodeoxycholic acid, salts 475-31-0D, Glycocholic acid, salts 640-79-9D, Glycochenodeoxycholic acid, salts  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(powder inhalants contg. insulin and an absorption enhancer)

RN 360-65-6 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

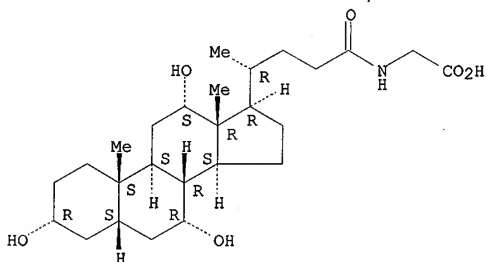
10/088807



RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

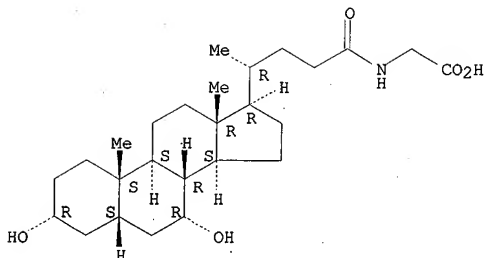


RN 640-79-9 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/088807



REFERENCE COUNT: 97 THERE ARE 97 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

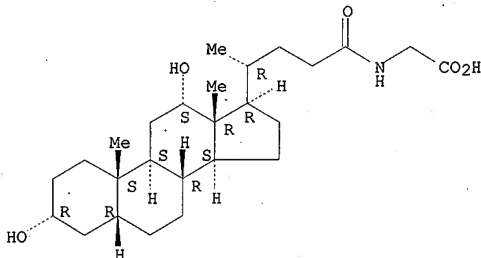
L21 ANSWER 23 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1998:289522 HCAPLUS  
DOCUMENT NUMBER: 128:326540  
TITLE: Therapeutic preparation for inhalation  
INVENTOR(S): Backstrom, Kjell Goran Erik; Dahlback, Carl  
Magnus Olof; Edman, Peter; Johansson, Ann  
Charlotte Birgit  
PATENT ASSIGNEE(S): Astra Aktiebolag, Swed.  
SOURCE: U.S., 16 pp., Cont.-in-part of U.S. 5,518,998.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 5  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5747445	A	19980505	US 1996-583205	19960104
ZA 9404378	A	19950324	ZA 1994-4378	19940620
ZA 9404379	A	19950324	ZA 1994-4379	19940620
US 5518998	A	19960521	US 1994-265372	19940623
US 5518998	C1	20010213		
LT 3445	B	19951025	LT 1994-1977	19940624
LT 3649	B	19960125	LT 1994-1976	19940624
NZ 328475	A	20010427	NZ 1994-328475	19940624
US 5658878	A	19970819	US 1995-471488	19950606
US 5952008	A	19990914	US 1997-858122	19970519
US 6306440	B1	20011023	US 1997-906825	19970806
US 6165976	A	20001226	US 1998-72717	19980505
PRIORITY APPLN. INFO.:			SE 1993-2198	A 19930624
			US 1994-265372	A2 19940623
			SE 1994-370	A 19940204
			SE 1994-371	A 19940204
			NZ 1994-268138	A1 19940623
			US 1994-265237	B3 19940623
			US 1995-468418	B1 19950606
			US 1995-471488	A1 19950606

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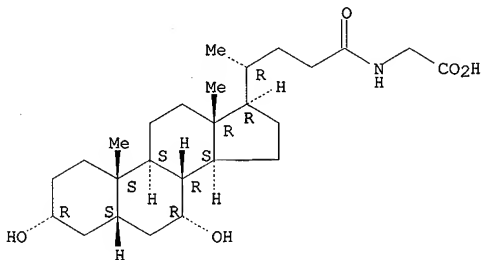
- US 1996-583205 A1 19960104
- AB A therapeutic prepn. for inhalation comprising **insulin** and a substance which enhances the absorption of **insulin** in the lower respiratory tract, is provided in the form of a powder prepn. suitable for inhalation. A powder mixt. contg. Na ursodeoxycholate, **insulin**, and lactose at the wt. ratio of 4:4:92 was administered to rats by inhalation and blood glucose levels were monitored.
- IT 360-65-6D, Glycodeoxycholic acid, salts 640-79-9D, Glycochenodeoxycholic acid, salts 9004-10-8, **Insulin**, biological studies
- RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (powder inhalants contg. **insulin** and absorption enhancer)
- RN 360-65-6 HCAPLUS
- CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



- RN 640-79-9 HCAPLUS
- CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/088807

RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 95 THERE ARE 95 CITED REFERENCES AVAILABLE  
FOR THIS RECORD. ALL CITATIONS AVAILABLE  
IN THE RE FORMAT

L21 ANSWER 24 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:65831 HCAPLUS

DOCUMENT NUMBER: 128:132442

TITLE: Composition for enhanced uptake of polar drugs  
from mucosal surfaces

INVENTOR(S): Illum, Lisbeth; Watts, Peter James

PATENT ASSIGNEE(S): Danbiosyst UK Ltd., UK; Illum, Lisbeth; Watts,  
Peter James

SOURCE: PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9801159	A2	19980115	WO 1997-GB1852	19970707
WO 9801159	A3	19980326		
W: AU, CA, GB, JP, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2257563	AA	19980115	CA 1997-2257563	19970707
AU 9734539	A1	19980202	AU 1997-34539	19970707
AU 722724	B2	20000810		
GB 2330533	A1	19990428	GB 1999-50	19970707
GB 2330533	B2	20001025		
EP 993305	A2	20000419	EP 1997-930663	19970707
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000515503	T2	20001121	JP 1998-504949	19970707
NO 9805956	A	19981218	NO 1998-5956	19981218
KR 2000023583	A	20000425	KR 1999-700028	19990106
PRIORITY APPLN. INFO.: GB 1996-14235 A 19960706				
WO 1997-GB1852 W 19970707				

AB A compn for administration to a mucosal surface of a mammal  
comprising a non-metabolizable bile salt analog and a therapeutic  
agent. Preferably the non-metabolizable bile salt analog is a  
non-naturally occurring conjugate of cholic acid and an amino acid,  
and in particular cholylsarcosine. Preferably the therapeutic agent  
is a polar mol. An example is given showing enhanced oral  
absorption of insulin by cholylsarcosine.

IT 93790-70-6P, Cholylsarcosine

RL: BPR (Biological process); BSU (Biological study, unclassified);  
SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological  
study); PREP (Preparation); PROC (Process); USES (Uses)

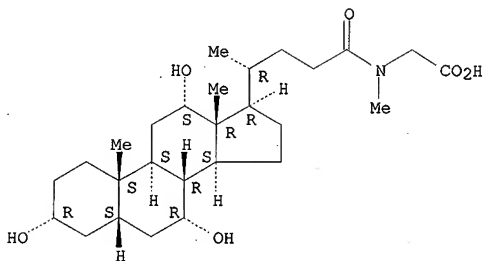
(compn. for enhanced uptake of polar drugs from mucosal surfaces)

RN 93790-70-6 HCAPLUS

CN Glycine, N-methyl-N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-  
trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

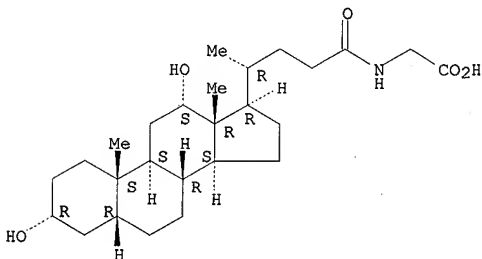
10/088807

Absolute stereochemistry.



IT 360-65-6, Glycodeoxycholic acid 9004-10-8,  
Insulin, biological studies  
RL: BPR (Biological process); BSU (Biological study, unclassified);  
THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES  
(Uses)  
(compn. for enhanced uptake of polar drugs from mucosal surfaces)  
RN 360-65-6 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-  
24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L21 ANSWER 25 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1998:55555 HCAPLUS  
DOCUMENT NUMBER: 128:132418  
TITLE: Hydrophobic preparations containing medium chain  
monoglycerides  
INVENTOR(S): New, Roger Randal Charles; Kirby, Christopher

10/088807

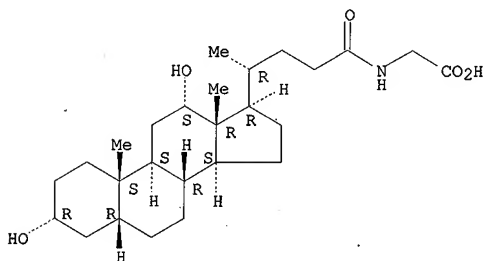
PATENT ASSIGNEE(S): John  
Cortecs Ltd., UK; New, Roger Randal Charles;  
Kirby, Christopher John  
SOURCE: PCT Int. Appl., 38 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9800169	A1	19980108	WO 1997-GB1775	19970702
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9705856	A	19990104	ZA 1997-5856	19970701
CA 2259233	AA	19980108	CA 1997-2259233	19970702
AU 9733526	A1	19980121	AU 1997-33526	19970702
AU 709013	B2	19990819		
EP 910411	A1	19990428	EP 1997-929411	19970702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
CN 1224360	A	19990728	CN 1997-196069	19970702
BR 9710179	A	19990810	BR 1997-10179	19970702
NZ 333115	A	20000623	NZ 1997-333115	19970702
JP 2000515130	T2	20001114	JP 1998-503931	19970702
US 6258377	B1	20010710	US 1998-218289	19981222
KR 2000022353	A	20000425	KR 1998-710781	19981229
NO 9806211	A	19990302	NO 1998-6211	19981230
MX 9900275	A	20000331	MX 1999-275	19990104
PRIORITY APPLN. INFO.:			GB 1996-13858	A 19960702
			WO 1997-GB1775	W 19970702
AB	Hydrophobic prepn. which are useful as, among other things, pharmaceutical delivery systems comprise: (i) an oil phase comprising one or more medium chain monoglycerides, such as Akoline MCM; (ii) <u>at least one amphiphile, preferably including a phospholipid such as phosphatidyl choline,</u> and (iii) a hydrophilic species, which may be a protein such as insulin or calcitonin or another macromol., solubilized or otherwise dispersed in the one or more glycerides. (The hydrophilic species is one that is not normally sol. in the glycerides). An example is given of prepn. of a formulation contg. calcitonin-phosphatidylcholine complex.			
IT	360-65-6D, Glycodeoxycholic acid, salts 474-74-8D, Glycolithocholic acid, salts 475-31-0D, Glycocholic acid, salts 640-79-9D, Glycochenodeoxycholic acid, salts 64480-66-6D, Glycoursodeoxycholic acid, salts RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (hydrophobic prepn. contg. medium chain monoglycerides)			
RN	360-65-6 HCAPLUS			

10/088807

CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

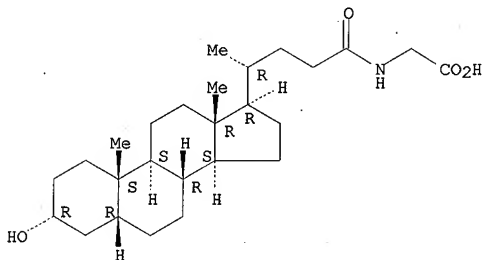
Absolute stereochemistry.



RN 474-74-8 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.)-3-hydroxy-24-oxocholan-24-yl]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

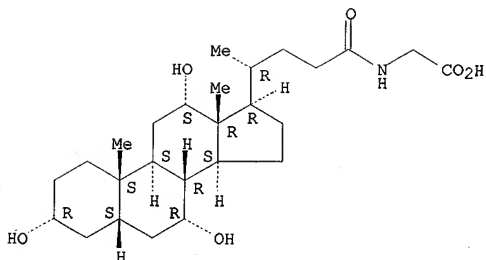


RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

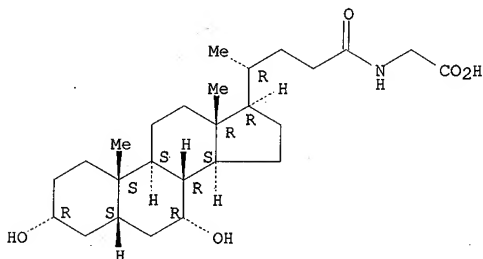
10/088807



RN 640-79-9 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

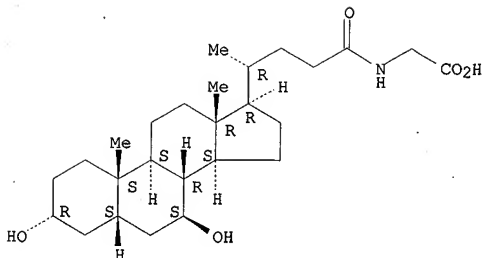


RN 64480-66-6 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.beta.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/088807



IT 9004-10-8, Insulin, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(hydrophobic prepn. contg. medium chain monoglycerides)  
RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN  
THE RE FORMAT

L21 ANSWER 26 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:15158 HCAPLUS

DOCUMENT NUMBER: 126:50999

TITLE: Liquid formulations for proteinic  
pharmaceuticals comprising at least 2 absorption  
enhancers

INVENTOR(S): Modi, Pankaj; Chandarana, Subash

PATENT ASSIGNEE(S): Modi, Pankaj, Can.; Chandarana, Subash

SOURCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9636352	A1	19961121	WO 1996-CA305	19960516
W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN			
US 5653987	A	19970805	US 1995-442358	19950516
CA 2210996	AA	19961121	CA 1996-2210996	19960516
CA 2210996	C	20010403		
AU 9656423	A1	19961129	AU 1996-56423	19960516
EP 813421	A1	19971229	EP 1996-913411	19960516

Searcher : Shears 308-4994

Nm  
Cmg.

10/088807

R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE, IE, FI  
PRIORITY APPLN. INFO.: US 1995-442358 A 19950516  
WO 1996-CA305 W 19960516

AB A liq. pharmaceutical agent formulation suitable for oral or nasal delivery comprises a protein pharmaceutical agent, water and at least two absorption enhancing compds. The adsorption enhancing compds. are selected from sodium salicylate, sodium lauryl sulfate, disodium EDTA, oleic acid, linoleic acid, monolein, lecithin, lysolecithin, deoxycholate, sodium deoxycholate, chenodeoxycholate, taurodeoxycholate, glycochenodeoxycholate, polyoxyethylene X-lauryl ether wherein X is from 9 to 20, sodium tauro-24, 25-dihydrofusidate, polyoxyethylene ether, polyoxyethylene sorbitan esters, p-t-octylphenoxypolyoxyethylene, N-lauryl-.beta.-D-maltopyranoside, 1-dodecylazacycloheptane-2-azone and phospholipids, wherein the amt. of each of the absorption enhancing compds. is present in a concn. of from 1 to 10 wt./wt% of the total formulation. Preferably each of the absorption enhancing compds. is present in a concn. of from 1.5 to 3.5 wt./wt%. The formulation is particularly adapted to oral delivery of insulin. A preferred insulin formulation contains about 2 wt.% each of chenodeoxycholate, deoxycholate and polyoxyethylene 9-lauryl ether absorption enhancers, an inorg. salt, e.g. sodium chloride, a protective polymer, e.g. gelatin, a protease inhibitor, e.g. bacitracin, and optionally an antioxidant, e.g. tocopherol.

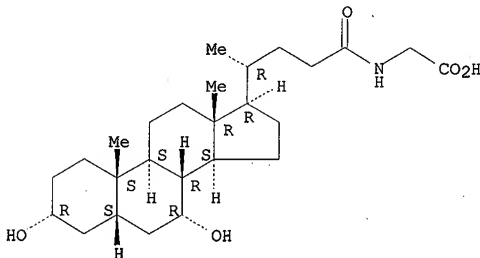
IT 640-79-9, Glycochenodeoxycholic acid 9004-10-8, Insulin, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (liq. formulations for protein pharmaceuticals contg. absorption enhancers)

] Cholate type  
NO  
need 'it'

RN 640-79-9 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L21 ANSWER 27 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1996:748345 HCAPLUS

Searcher : Shears 308-4994

10/088807

DOCUMENT NUMBER: 126:19332  
 TITLE: Preparation of peptides as modulators of amyloid aggregation  
 INVENTOR(S): Findeis, Mark A.; Benjamin, Howard; Garnick, Marc B.; Geffer, Malcolm L.; Hundal, Arvind; Kasman, Laura; Musso, Gary; Signer, Ethan R.; Wakefield, James; et al.  
 PATENT ASSIGNEE(S): Pharmaceutical Peptides Incorporated, USA  
 SOURCE: PCT Int. Appl., 105 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9628471	A1	19960919	WO 1996-US3492	19960314
W: AU, CA, JP RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5817626	A	19981006	US 1995-404831	19950314
US 5854215	A	19981229	US 1995-475579	19950607
AU 9652524	A1	19961002	AU 1996-52524	19960314
EP 815134	A1	19980107	EP 1996-908805	19960314
EP 815134	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11514333	T2	19991207	JP 1996-527816	19960314
AT 218583	E	20020615	AT 1996-908805	19960314
AU 759036	B2	20030403	AU 2000-35389	20000519
PRIORITY APPLN. INFO.:				
			US 1995-404831	A 19950314
			US 1995-475579	A 19950607
			US 1995-548998	A 19951027
			AU 1996-52524	A3 19960314
			WO 1996-US3492	W 19960314

AB Compds. that modulate the aggregation of amyloidogenic proteins or peptides are disclosed. The modulators of the invention can promote amyloid aggregation or, more preferably, can inhibit natural amyloid aggregation. In a preferred embodiment, the compds. modulate the aggregation of natural .beta. amyloid peptides (.beta.-AP). In a preferred embodiment, the .beta. amyloid modulator compds. of the invention are comprised of an A.beta. aggregation core domain and a modifying group coupled thereto such that the compd. alters the aggregation or inhibits the neurotoxicity of natural .beta. amyloid peptides when contacted with the peptides. Furthermore, the modulators are capable of altering natural .beta.-AP aggregation when the natural .beta.-APs are in a molar excess amt. relative to the modulators. Pharmaceutical compns. comprising the compds. of the invention, and diagnostic and treatment methods for amyloidogenic diseases using the compds. of the invention, are also disclosed. These peptide compds. are bound to natural .beta.-amyloid peptides to facilitate diagnosis of a .beta.-amyloidogenic disease, in particular Alzheimer's disease, and are useful for treating a disorder assocd. with amyloidosis including, e.g. familial amyloid polyneuropathy or cardiomyopathy, isolated cardiac amyloid, systemic senile amyloidosis, scrapie, bovine spongiform encephalopathy, and Creutzfeldt-Jakob disease.

10/088807

Thus, N-biotinyl-DAEFRHDSGYEVHHQKLVFFAEDVGSNKGAIIGLMVGGVV-OH (N-biotinyl-.beta.-AP1-40), prepd. by the solid phase synthesis using a N.alpha.-Fmoc-based protection strategy and Fmoc-Val-Wang resin, at 1% markedly inhibited aggregation of the natural .beta.-amyloid peptide (.beta.-AP1-40).

IT 183745-90-6P 183745-92-8P 183746-23-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

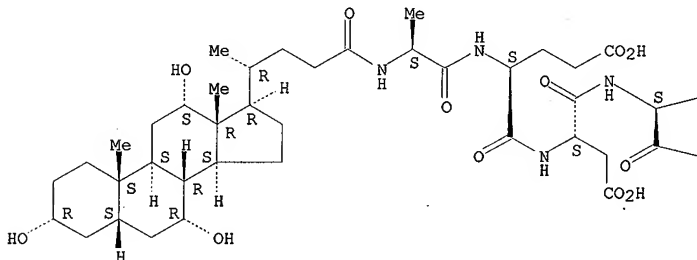
(prepn. of peptides as modulators of amyloid aggregation for treating amyloidosis-assocd. disorders)

RN 183745-90-6 HCAPLUS

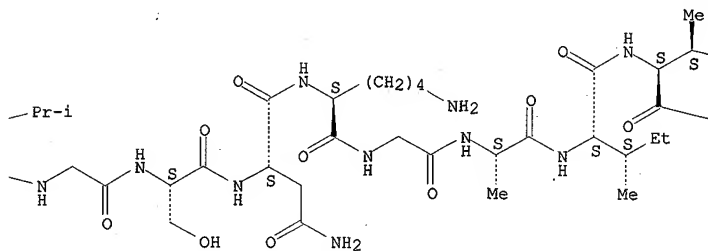
CN L-Methionine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]-L-alanyl-L.alpha.-glutamyl-L.alpha.-aspartyl-L-valylglycyl-L-seryl-L-asparaginyll-L-lysylglycyl-L-alanyl-L-isoleucyl-L-isoleucylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

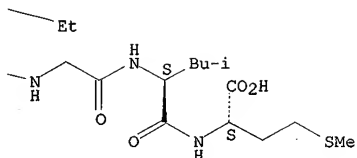
PAGE 1-A



PAGE 1-B



PAGE 1-C

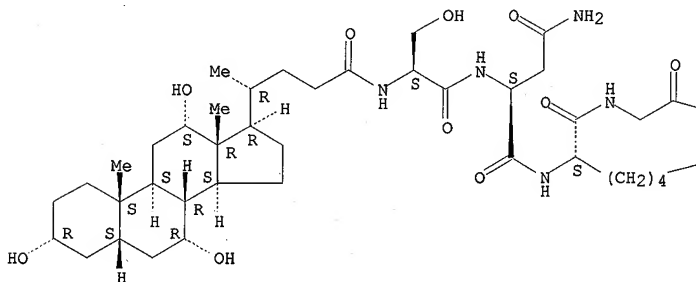


RN 183745-92-8 HCAPLUS

CN L-Valine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]-L-seryl-L-asparaginyl-L-lysylglycyl-L-alanyl-L-isoleucyl-L-isoleucylglycyl-L-leucyl-L-methionyl-L-valylglycylglycyl-L-valyl- (9CI) (CA INDEX NAME)

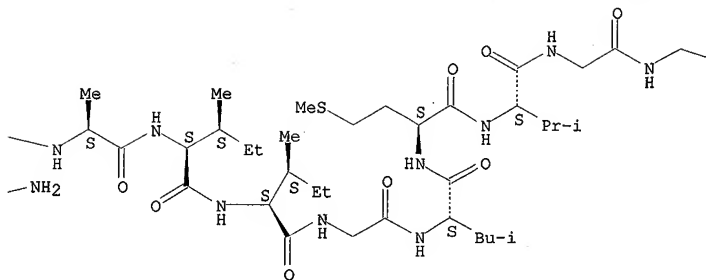
Absolute stereochemistry.

PAGE 1-A

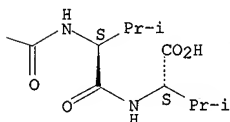


10/088807

PAGE 1-B



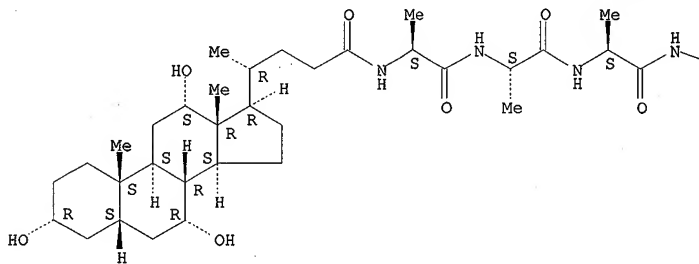
PAGE 1-C

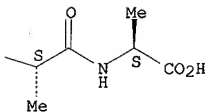


RN 183746-23-8 HCAPLUS  
 CN L-Alanine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]-L-alanyl-L-alanyl-L-alanyl-L-alanyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

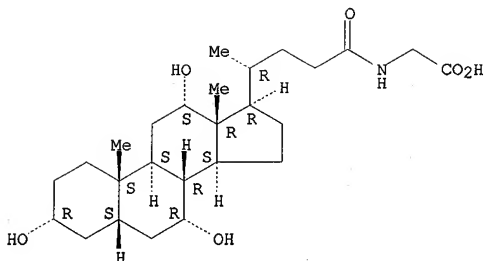




L21 ANSWER 28 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1996:522158 HCAPLUS  
 DOCUMENT NUMBER: 125:204274  
 TITLE: Tracheal absorption for pulmonary delivery of peptide and protein drugs  
 AUTHOR(S): Morimoto, K.; Uehara, Y.; Iwanaga, K.; Kakemi, M.  
 CORPORATE SOURCE: Dep. of Pharmaceutics, Osaka University of Pharmaceutical Sciences, Takatsuki, 569-11, Japan  
 SOURCE: Proceedings of the International Symposium on Controlled Release of Bioactive Materials (1996), 23rd, 489-490  
 CODEN: PCRMEY; ISSN: 1022-0178  
 PUBLISHER: Controlled Release Society, Inc.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Permeations of hydrophilic and macromol. drugs contg. peptide and protein through tracheal epithelium were the same or relatively higher compared with nasal and intestinal tissues. Permeabilities of Gly-L-Phe and insulin were enhanced by peptidase inhibitors. Absorption through tracheal mucosa may be important on the pulmonary delivery for peptide and protein drugs.  
 IT 475-31-0, Glycocholic acid  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (tracheal absorption for pulmonary delivery of peptide and protein drugs)  
 RN 475-31-0 HCAPLUS  
 CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/088807



L21 ANSWER 29 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1996:476916 HCAPLUS  
 DOCUMENT NUMBER: 125:123763  
 TITLE: Powder formulations containing melezitose as a diluent  
 INVENTOR(S): Baeckstroem, Kjell; Johansson, Ann; Linden, Helena  
 PATENT ASSIGNEE(S): Astra Aktiebolag, Swed.  
 SOURCE: PCT Int. Appl., 21 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619207	A1	19960627	WO 1995-SE1541	19951219
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9510753	A	19960624	ZA 1995-10753	19951218
CA 2206803	AA	19960627	CA 1995-2206803	19951219
AU 9643592	A1	19960710	AU 1996-43592	19951219
AU 702898	B2	19990311		
EP 799030	A1	19971008	EP 1995-942342	19951219
EP 799030	B1	20020724		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV				
CN 1171049	A	19980121	CN 1995-196965	19951219
CN 1080114	B	20020306		
BR 9510422	A	19980707	BR 1995-10422	19951219
HU 77648	A2	19980728	HU 1998-493	19951219
HU 217975	B	20000528		
JP 10510828	T2	19981020	JP 1995-519731	19951219
RU 2144819	C1	20000127	RU 1997-112496	19951219

10/088807

EE 3381	B1	20010416	EE 1997-135	19951219
CZ 288487	B6	20010613	CZ 1997-1946	19951219
TW 474823	B	20020201	TW 1995-84113557	19951219
EP 1224929	A2	20020724	EP 2001-130870	19951219
EP 1224929	A3	20021218		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV				
AT 220900	E	20020815	AT 1995-942342	19951219
PL 183944	B1	20020830	PL 1995-320751	19951219
ES 2177674	T3	20021216	ES 1995-942342	19951219
US 6004574	A	19991221	US 1996-617753	19960318
NO 9702660	A	19970610	NO 1997-2660	19970610
FI 9702654	A	19970619	FI 1997-2654	19970619

PRIORITY APPLN. INFO.:

SE 1994-4468	A	19941222
EP 1995-942342	A3	19951219
WO 1995-SE1541	W	19951219

AB A powder formulation for the administration of medically useful polypeptides, comprises the polypeptides with melezitose as diluent. For example, 12 parts insulin was dissolved in distd. water and 4 parts Na taurocholate (absorption enhancer) was added. Melezitose 84 parts was added to the above mixt. and pH was adjusted to 7.4. The soln. was concd. by evapn. of the water and the obtained solid cake was crushed, sieved, and micronized in a jet mill. The micronized powder was agglomerated and filled into a dry powder inhaler.

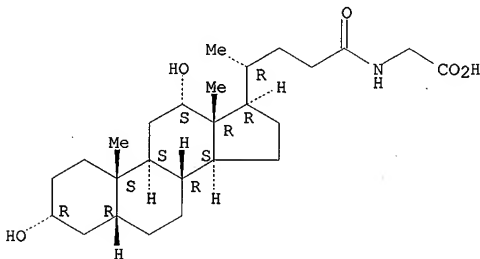
IT 360-65-6D, Glycodeoxycholic acid, salts 475-31-0D, Glycocholic acid, salts 640-79-9D, Glycochenodeoxycholic acid, salts 9004-10-8, Insulin, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (powder formulations contg. biol. active polypeptides and absorption enhancers and melezitose diluent)

RN 360-65-6 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

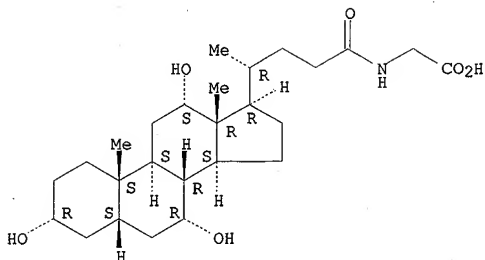


RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

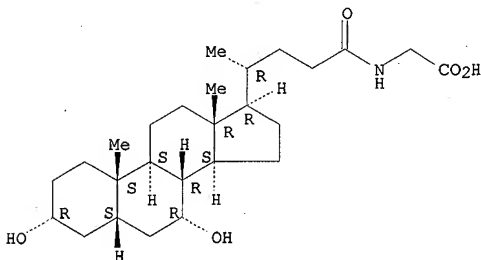
10/088807

Absolute stereochemistry.



RN 640-79-9 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L21 ANSWER 30 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:254843 HCAPLUS

DOCUMENT NUMBER: 124:325197

TITLE: Effects of polyacrylic polymers on the degradation of insulin and peptide drugs by chymotrypsin and trypsin

AUTHOR(S): Bai, Jane P. F.; Chang, L. L.; Guo, J. H.  
CORPORATE SOURCE: College Pharmacy, University Minnesota, Minneapolis, MN, 55455, USA

SOURCE: Journal of Pharmacy and Pharmacology (1996), 48(1), 17-21

PUBLISHER: CODEN: JPPMAB; ISSN: 0022-3573  
Royal Pharmaceutical Society of Great Britain

DOCUMENT TYPE:

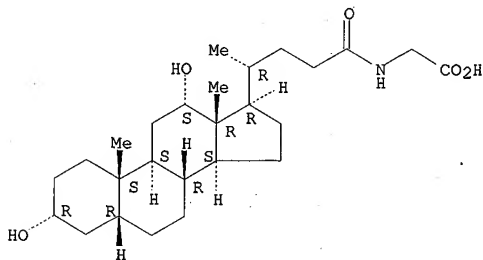
Journal

LANGUAGE:

English

- AB The purpose of this study was to det. whether carbopol polymers, polyacrylic acid polymers, can inhibit luminal degrdn. of insulin, calcitonin and insulin-like growth factor I (IGF-I) by trypsin and chymotrypsin and to understand whether reducing the pH of the incubation medium by these polymers results in inhibition. Further, the effects of carbopol polymers on the in-situ absorption of insulin were studied in rats. In saline, carbopol polymers at 1 and 4% (wt./vol.%) inhibited close to 100% of trypsin and chymotrypsin activities against insulin. In 50 mM Tris buffer, carbopol polymers, including 934P, 974P and 971P, at 0.1% only weakly inhibited degrdn. of calcitonin and insulin by both enzymes; however, as the polymer concn. increased to 0.4%, degrdn. of insulin, calcitonin, and IGF-I by both enzymes was complete or almost complete. When the Tris buffer was increased to 100 mM, no inhibition was obsd. at 0.1%. Detn. of the final pH of the incubation medium in the presence of polymers revealed that the inhibitory effects of carbopol polymers correlated with the final pH. When the incubation medium has no or low buffer capacity to buffer the protons released by carbopol polymers, these polymers are able to reduce the pH much lower than the optimum pH for the enzyme activities, and thus inhibit proteolytic degrdn. When the buffer capacity of the incubation medium increases, the inhibitory effects of carbopol polymers weaken. In-situ absorption of insulin revealed that carbopol polymers improved insulin absorption and induced a significantly greater decline in blood glucose levels. It is concluded that carbopol polymers with strong bioadhesive properties also can inhibit luminal degrdn. of peptide hormones, offering multiple advantages for their uses in oral drug delivery.
- IT 360-65-6, Glycodeoxycholic acid 475-31-0, Glycocholic acid  
 RL: BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence) (polyacrylic polymers effect on degrdn. of insulin and peptide drugs by chymotrypsin and trypsin)
- RN 360-65-6 HCAPLUS
- CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

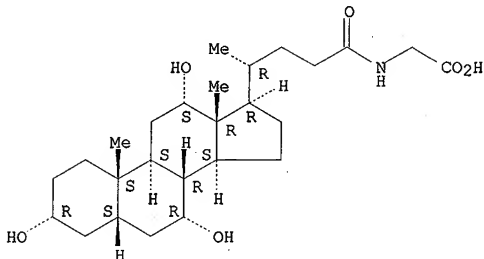
Absolute stereochemistry.



10/088807

RN 475-31-0 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 9004-10-8, Insulin, biological studies  
RL: BPR (Biological process); BSU (Biological study, unclassified);  
THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES  
(Uses)  
(polyacrylic polymers effect on degrdn. of insulin and  
peptide drugs by chymotrypsin and trypsin)  
RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L21 ANSWER 31 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1995:753643 HCAPLUS  
DOCUMENT NUMBER: 123:152922  
TITLE: Transparent liquid for encapsulated drug  
delivery  
INVENTOR(S): Yiv, Seang H.  
PATENT ASSIGNEE(S): Ibah, Inc., USA  
SOURCE: PCT Int. Appl., 66 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9514037	A1	19950526	WO 1994-US13394	19941116
W:	AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ			
RW:	KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			

10/088807

CA 2176927	AA 19950526	CA 1994-2176927	19941116
AU 9512917	A1 19950606	AU 1995-12917	19941116
AU 692506	B2 19980611		
EP 736041	A1 19961009	EP 1995-904099	19941116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE			
JP 09510182	T2 19971014	JP 1994-514649	19941116
US 5707648	A 19980113	US 1995-406935	19950517
PRIORITY APPLN. INFO.:			
		US 1993-153846	19931117
		WO 1994-US13394	19941116

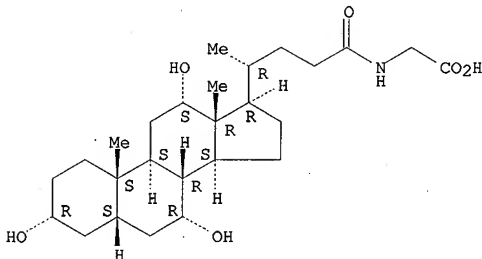
AB A stable transparent multi-component compn. useful for the delivery of water sol. active agents to animals is provided. The compns. are formulated with a mixt. of an oil phase, an aq. phase, and a surfactant system, along with the active agent to be delivered to the animal. The compns. are specially formulated to be compatible with capsules such as gelatin and starch capsules. The aq. phase of the compns. contains a substantial amt. of polyethylene glycol and can optionally also contain a plasticizer. Preferred active agents are proteinaceous materials. Calcein bioavailability from a transparent liq. contg. Captex 200 12, Imwitor 308 29.8, Tween 80 19.2, PEG 400 32.4, sorbitol 1.6, water 3% wt./wt., and 100 mM calcein soln. in 10 mM Tris pH 7.4 3% wt./wt., resp., was studied.

IT 475-31-0, Glycocholic acid 9004-10-8,  
Insulin, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(transparent liq. compns. for encapsulated drug delivery)

RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L21 ANSWER 32 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1995:621799 HCAPLUS  
DOCUMENT NUMBER: 123:17921  
TITLE: Nasal aqueous gels and pellets containing peptides

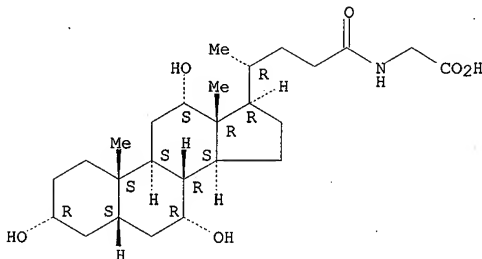
10/088807

INVENTOR(S): Zirinis, Phedon  
PATENT ASSIGNEE(S): Slama, Gerard, Fr.  
SOURCE: Fr. Demande, 12 pp.  
CODEN: FRXXBL  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2710529	A1	19950407	FR 1993-11589	19930929
FR 2710530	A1	19950407	FR 1993-13714	19931117
FR 2710530	B1	19951222		

PRIORITY APPLN. INFO.: FR 1993-11589 19930929  
AB Aq. nasal gels and pellets contain peptides or derivs. thereof, a surfactant, and a gelling agent, with a pH which is neutral. Human insulin 500 UI was dissolved in 5 mL 0.1N HCl and the soln. was adjusted to pH = 7.1 with NaOH followed by addn. of 75 mg Na glycocholate and 200 mg Me cellulose, then the vol. brought up to 20 mL with water. Thus, 3 h after administration of 2 units/kg insulin to rats, blood glucose level decreased by 50%.  
IT 475-31-0, Glycocholic acid 9004-10-8, Insulin, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (nasal aq. gels and pellets contg. peptides)  
RN 475-31-0 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L21 ANSWER 33 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1995:370993 HCAPLUS  
DOCUMENT NUMBER: 122:155674  
TITLE: Polymeric precipitants for the crystallization of macromolecules

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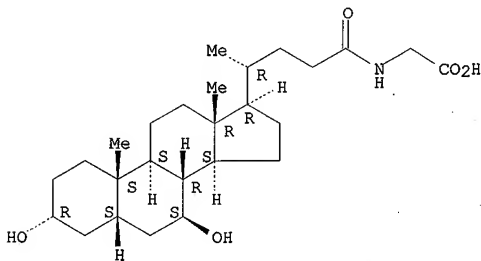
AUTHOR(S): Patel, Sam; Cudney, Bob; McPherson, Alex  
CORPORATE SOURCE: Department Biochemistry, University California,  
Riverside, CA, 92521, USA  
SOURCE: Biochemical and Biophysical Research  
Communications (1995), 207(2), 819-28  
CODEN: BBRCA9; ISSN: 0006-291X  
PUBLISHER: Academic  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Nine different water sol. polymers reported to strongly affect the  
properties and structure of water were evaluated for their use in  
crystg. a series of 24 different proteins, viruses, and conventional  
small mols. All of the polymers produced crystals of some of the  
mols. and viruses tested, and of the 24 mols. tested, 14 were  
crystd. In a no. of cases, crystals of the mols. and viruses were  
obtained under very different conditions than were ever previously  
used. Because the selection of polymers employed here represents  
only a sampling of those available to experimenters, we conclude  
that the potential range of such polymers useful in macromol. and  
small mol. crystn. may be very broad.  
IT 9004-10-8, Insulin, processes 64480-66-6  
, Glycoursodeoxycholic acid  
RL: PEP (Physical, engineering or chemical process); PROC (Process)  
(polymeric precipitants for the crystn. of macromols.)  
RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 64480-66-6 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.beta.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 34 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:491484 HCAPLUS

DOCUMENT NUMBER: 121:91484

TITLE: Cyclodextrins as protection agents against  
enhancer damage in nasal delivery systems II.  
Effect on in vivo absorption of insulin  
and histopathology of nasal membrane

10/088807

AUTHOR(S): Gill, I. Jabbal; Fisher, A. N.; Hinchcliffe, M.;  
Whetstone, J.; Farraj, N.; De Ponti, R.; Illum,  
L.  
CORPORATE SOURCE: Danbiosyst UK Ltd, Albert Einstein Centre,  
Highfields Science Park, Nottingham, NG7 2TN, UK  
SOURCE: European Journal of Pharmaceutical Sciences  
(1994), 1(5), 237-48  
CODEN: EPSCED; ISSN: 0928-0987

DOCUMENT TYPE: Journal  
LANGUAGE: English

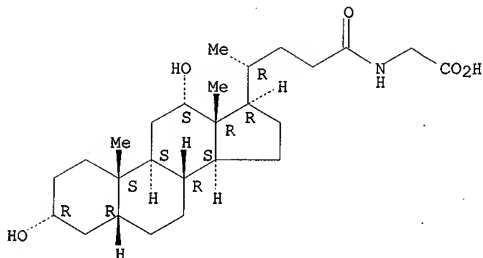
AB An in vivo rat model was used to study the nasal absorption of insulin in the presence of selected enhancers [Laureth 9 (L9), glycodeoxycholate (GDC) and L-alpha-lysophosphatidylcholine (LPC)] either alone or in combination with 2-hydroxypropyl-beta-cyclodextrin (HP.beta.C) or gamma-cyclodextrin (CD). All the enhancers when administered alone with insulin produced about 50% decrease in the blood glucose concns., an indirect measure of the absorption of insulin across the rat nasal mucosa. In the presence of cyclodextrins, the enhancing effect of L9 was maintained, whereas that of GDC and LPC was considerably reduced, but the duration of action of insulin was prolonged. Concomitantly, the histol. effect of these agents on the rat nasal epithelium was studied using a perfusion fixation technique. The absorption of insulin did not consistently correlate with the histol. observations and the results obtained in previous hemolysis studies. However, the histol. and hemolysis observations complemented each other in that the formulations [L9:HP.beta.C (1:4), GDC:gamma-CD (1:2) and LPC:HP.beta.C (1:12)] which caused the least damage to the epithelial membrane had been shown to completely prevent hemolysis. The combination of L9 and possibly LPC with cyclodextrins may provide formulations which have almost the required balance between activity and safety, for nasal delivery of insulin and could possibly be used as an adjunct to s.c. therapy.

IT 360-65-6, Glycodeoxycholate  
RL: BIOL (Biological study)  
(insulin absorption by nose in relation to,  
histopathol. study in)  
RN 360-65-6 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Non-  
Conj.

10/088807



IT 9004-10-8, Insulin, biological studies  
RL: BIOL (Biological study)  
(nasal absorption of, cyclodextrins enhancement of, histopathol.  
study in)  
RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L21 ANSWER 35 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:491473 HCAPLUS

DOCUMENT NUMBER: 121:91473

TITLE: Lowering of toxicity using cyclodextrins in  
combination with nasal enhancers, in vitro and  
in vivo studies

AUTHOR(S): De Ponti, R.; Martini, A.; Crivellente, M.;  
Artico, R.; Rialdi, G.; Rivella, A.; Fisher, A.  
N.; Gill, I. Jabbal; Farraj, N. F.; et al.

CORPORATE SOURCE: New Drug Delivery Syst., Pharm. Dev. Res. and  
Dev., Milan, 20159, Italy

SOURCE: Minutes Int. Symp. Cyclodextrins, 6th (1992),  
514-21. Editor(s): Hedges, Allan R. Ed. Sante:  
Paris, Fr.

CODEN: 60BCAL

CONFERENCE

LANGUAGE: English

AB The interaction of some absorption enhancers with a simulated biol.  
membrane, made from L- $\alpha$ -dipalmitoylphosphatidylcholine (DPPC),  
has been studied by differential scanning calorimetry (DSC) first:  
the gel-liq. crystal transition of the DPPC bilayer structure is  
easily detectable and the destructuring effects that mols. like  
absorption enhancers can produce are shown by a different thermal  
pattern. The addn. of  $\alpha$ -, 2-HP- $\beta$ - and  
 $\gamma$ -cyclodextrins ( $\alpha$ .CD; HP. $\beta$ .CD;  $\gamma$ .CD) have proved  
to change the transition temp. to the initial value, suggesting that  
the destructuring action of the enhancers can be reduced. Such  
effects have been evaluated with Laureth-9 (L9), glycodeoxycholate  
(GDC), lysophosphatidylcholine (LPC), benzalkonium chloride (BC) and  
deoxycholic acid (DCH). The protecting effect of HP. $\beta$ .CD, and  
 $\gamma$ .CD, has also been demonstrated in vivo for L9 and GDC using  
an erythrocyte hemolysis model. Nasal absorption studies in the rat

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have shown no significant changes in the promotion of absorption by L9 when HP.beta.CD was added. Histopathol. of the rat nasal mucosa has provided evidence that CDs were able to protect significantly the nasal epithelium from the effect of L9. The surface tension activity of some enhancers has been studied and it has been found that CDs shift the crit. micellar concn. (CMC) to higher values. The role of CMC shifting in the protection effect is not clear. Apart from the complexation between the enhancer and CDs, some other mechanism may be involved: this could possibly be interactions between the CDs and the components of the nasal epithelium.

IT 9004-10-8, Insulin, biological studies

RL: BIOL (Biological study)

(nasal absorption of, enhancers for, toxicity of, cyclodextrins prevention of)

RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 360-65-6, Glycodeoxycholic acid

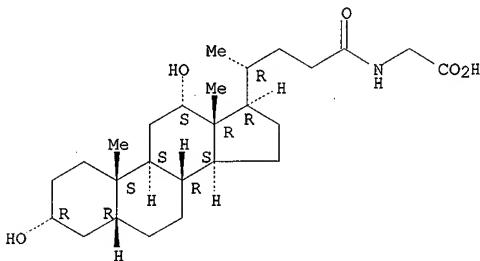
RL: PRP (Properties)

(toxicity of, to nose as absorption enhancer, cyclodextrins prevention of)

RN 360-65-6 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 36 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:667328 HCAPLUS

DOCUMENT NUMBER: 119:267328

TITLE: Modulating effects of bile salt hydrophobicity on bile secretion of the major protein of the bile lipoprotein complex

AUTHOR(S): Domingo, Nicole; Chanussot, Francoise; Botta, Danielle; Reynier, Marie Odile; Crotte, Christian; Hauton, Jacques; Lafont, Huguette

CORPORATE SOURCE: Unite 130, INSERM, Marseille, Fr.

SOURCE: Lipids (1993), 28(10), 883-7

CODEN: LPDSAP; ISSN: 0024-4201

DOCUMENT TYPE: Journal

10/088807

LANGUAGE: English

AB Bile lipids are secreted in assocn. with a newly identified major apoprotein called anionic polypeptide fraction-Ca-binding protein (APF-CBP), which is synthesized in the hepatocytes and has been detected in both bile and plasma and characterized. The secretion of the lipids in bile depends both on the concn. and the hydrophobicity of the bile salts (BS) secreted. The present study was undertaken to det. whether the synthesis and the secretion of APF-CBP are similarly regulated by BS, using 2 methods. The synthesis and secretion of labeled, newly synthesized APF-CBP by isolated rat hepatocytes were monitored by solid-phase immunoassay. For this purpose, hepatocytes were incubated with either glycodeoxycholate (GDC) or taurocholate (TC). The synthesis and secretion of labeled, newly synthesized APF-CBP by perfused rat liver were measured by ELISA upon perfusing the liver with either GDC or TC. The authors found that (1) the synthesis and the secretion of APF-CBP were increased during either TC or GDC perfusion, but the increase was more pronounced with TC; (2) in GDC perfusion the APF-CBP levels measured were more closely related to the levels of bile salts and not to phospholipid levels, (3) when the 2 bile salts were perfused in reverse order, i.e., first GDC and then TC, the secretion of APF-CBP in bile decreased when GDC was perfused, but increased when TC was perfused. Similar results were obtained in expts. with isolated hepatocytes. The data suggest that the hydrophobicity of the BS used in the infusion modulates the synthesis and secretion of APF-CBP. In the liver, the pool of APF-CBP can be modified by BS and responds rapidly to BS stimulation.

IT 9004-10-8, Insulin, biological studies

RL: BIOL (Biological study)

(major protein of bile lipoprotein complex secretion in bile response to, bile salt hydrophobicity in relation to)

RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 360-65-6

RL: BIOL (Biological study)

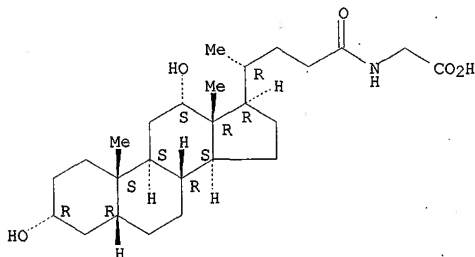
(major protein of bile lipoprotein complex secretion in bile response to, hydrophobicity in relation to)

RN 360-65-6 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/088807



L21 ANSWER 37 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1993:407804 HCAPLUS  
DOCUMENT NUMBER: 119:7804  
TITLE: Inhibitory effects of bile acids on cholesterol biosynthesis in cultured hepatocytes  
AUTHOR(S): Kim, Sung Wan  
CORPORATE SOURCE: Dep. Biochem., Kangweon Natl. Univ., Chuncheon, 200-701, S. Korea  
SOURCE: Han'guk Yongyang Siklyong Hakhoechi (1992), 21(5), 496-501  
CODEN: HYSHDL; ISSN: 0253-3154  
DOCUMENT TYPE: Journal  
LANGUAGE: Korean

AB The present work tested the inhibitory effects of bile acids on the cholesterol biosynthesis and the activity of HMG-CoA reductase in cultured rat hepatocytes. The uptake of bile acids by hepatocytes was increased according to the different bile acid concns. and culture times. The rate of cholesterol synthesis in cells decreased inversely to the bile acid concns. and culture times. As expected, insulin injection (4 units/100 g body wt.) showed an enhancing effect on cholesterol synthesis and HMG-CoA reductase activity. The addn. of bile acids to the medium of insulin-treated hepatocytes also showed a suppressing effect. This effect was directly confirmed in isolated hepatic microsomes by a test of HMG-CoA reductase activity. In a test of Na<sup>+</sup>,K<sup>+</sup>-ATPase activity in the isolated hepatocyte membrane, only cholic acid did not stimulate the enzyme system. The reason of such a difference is not obvious, but this result indicates that cholic acid could be absorbed by simple diffusion.

IT 9004-10-8, Insulin, biological studies

RL: BIOL (Biological study)

(cholesterol formation and HMG-CoA reductase of hepatocytes increase by, bile acids inhibition of)

RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

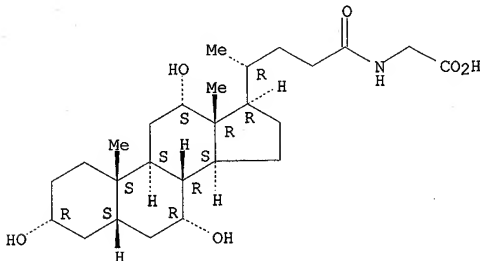
IT 475-31-0, Glycocholic acid

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

10/088807

(cholesterol formation by hepatocytes response to)  
RN 475-31-0 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-  
24-oxocholelan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 38 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1993:198219 HCAPLUS  
DOCUMENT NUMBER: 118:198219  
TITLE: Systemic delivery of polypeptides through the  
eye  
INVENTOR(S): Chiou, George C. Y.  
PATENT ASSIGNEE(S): Orbon Corp., USA  
SOURCE: U.S., 28 pp. Cont.-in-part of U.S. Ser. No.  
326,200, abandoned.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5182258	A	19930126	US 1989-412979	19890926
US 5278142	A	19940111	US 1992-966877	19921026
US 5283236	A	19940201	US 1992-966706	19921026
PRIORITY APPLN. INFO.:			US 1989-326200	19890320
			US 1989-376200	19890320
			US 1989-412979	19890926

AB A compn. comprising a systemically active polypeptide and a permeation-enhancing agent is administered to the eyes, where the drug passes into the nasolacrimal duct and becomes absorbed into the circulation. Thus, 25 .mu.L of a phosphate-buffered saline soln. contg. 1% insulin and 1% absorption enhancer, such as saponin, fusidic acid, polyoxyethylene lauryl ether, EDTA, Na glycocholate, decamethonium, and Tween 20, was instilled to the eyes of rabbits and the insulin peak concns. in blood and blood glucose concns. were detd. Saponin was the most effective absorption enhancer, providing a peak insulin concn. of 63.0 ng/mL and a 60% decrease in blood glucose concn.

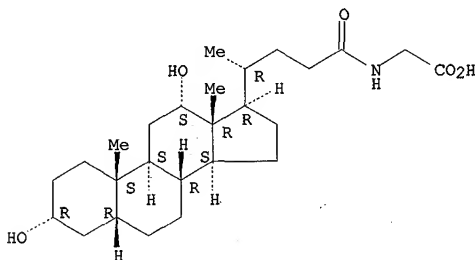
10/088807

IT 9004-10-8, Insulin, biological studies  
RL: BIOL (Biological study)  
(ophthalmic compn. contg. absorption enhancer and)  
RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

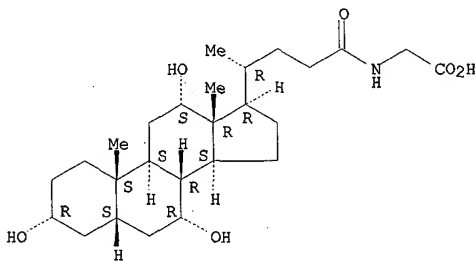
IT 360-65-6, Glycodeoxycholic acid 475-31-0,  
Glycocholic acid  
RL: BIOL (Biological study)  
(ophthalmic compn. contg., as absorption enhancer for polypeptide  
drugs)  
RN 360-65-6 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-  
24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 475-31-0 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-  
24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/088807

ACCESSION NUMBER: 1993:66952 HCAPLUS  
 DOCUMENT NUMBER: 118:66952  
 TITLE: Apparatus and methods for administering medicaments by direct contact to the buccal mucosa  
 INVENTOR(S): Stanley, Theodore H.  
 PATENT ASSIGNEE(S): University of Utah, USA  
 SOURCE: U.S., 22 pp. Cont.-in-part of U.S. 4,863,737.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 9  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5122127	A	19920616	US 1989-403743	19890905
US 4671953	A	19870609	US 1985-729301	19850501
EP 487520	A1	19920603	EP 1989-909497	19890816
EP 487520	B1	19950412		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 05501539	T2	19930325	JP 1989-504878	19890816
JP 2801050	B2	19980921		
AU 641127	B2	19930916	AU 1989-40704	19890816
AT 120953	E	19950415	AT 1989-909497	19890816
CA 1338978	A1	19970311	CA 1989-609378	19890824
AU 9050352	A1	19910408	AU 1990-50352	19890905
AU 645966	B2	19940203		
EP 493380	A1	19920708	EP 1990-902584	19890905
EP 493380	B1	19971029		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 5132114	A	19920721	US 1989-402881	19890905
JP 05501854	T2	19930408	JP 1990-502779	19890905
CA 1339075	A1	19970729	CA 1989-610329	19890905
AT 159658	E	19971115	AT 1990-902584	19890905
NO 9200565	A	19920213	NO 1992-565	19920213
DK 9200193	A	19920214	DK 1992-193	19920214
NO 9200856	A	19920406	NO 1992-856	19920304
NO 9200855	A	19920410	NO 1992-855	19920304
NO 9200854	A	19920427	NO 1992-854	19920304
DK 9200300	A	19920505	DK 1992-300	19920305
AU 9460697	A1	19940623	AU 1994-60697	19940427

PRIORITY APPLN. INFO.:

US 1985-729301	A2	19850501
US 1987-60045	A2	19870608
EP 1989-909497	A	19890816
WO 1989-US3518	W	19890816
US 1989-403743	A	19890905
WO 1989-US3801	A	19890905
WO 1990-US4368	W	19900803

AB A mucosal dome is described for dose-to-effect transmucosal drug administration. The drug is placed in a chamber inside the device, which is directly to the surface of the buccal mucosa. The delivery rate of the drug is controlled by adjusting the contact area between the drug and mucosa, or by adding a penetration enhancer to the drug. The device was used for the transbuccal delivery of insulin to dogs. An soln. (pH 8.3-8.6; NaOH) contg. 450 U insulin/mL and 8.8% Na cholate (penetration enhancer) was used. The contact area was 1.89 cm<sup>2</sup>.

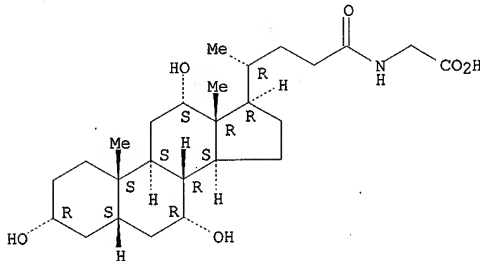
10/088807

IT 9004-10-8, Insulin, biological studies  
RL: BIOL (Biological study)  
(mucosal delivery of, buccal device for)  
RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 475-31-0D, salts  
RL: USES (Uses)  
(penetration enhancer, for mucosa buccal drug delivery)  
RN 475-31-0 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



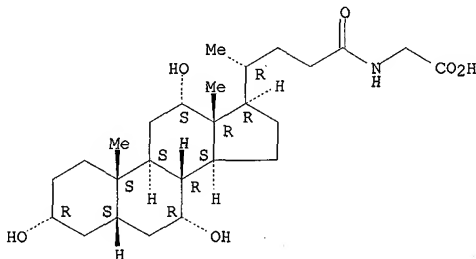
L21 ANSWER 40 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1992:440969 HCAPLUS  
DOCUMENT NUMBER: 117:40969  
TITLE: Conjunctival penetration of insulin  
and peptide drugs in the albino rabbit  
AUTHOR(S): Hayakawa, Eiji; Chien, Du Shieng; Inagaki,  
Kazuhiro; Yamamoto, Akira; Wang, Wei; Lee,  
Vincent H. L.  
CORPORATE SOURCE: Sch. Pharm., Univ. South. California, Los  
Angeles, CA, 90033, USA  
SOURCE: Pharmaceutical Research (1992), 9(6), 769-75  
CODEN: PHREEB; ISSN: 0724-8741  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB An in vitro model was used to evaluate the conjunctival penetration  
of three peptides, [D-ala2]metenkephalinamide (YAGFM, MW 647),  
substance P (MW 1348), and insulin (MW 5778), in  
comparison with two nonpeptides, atenolol (MW 266) and timolol (MW  
433). All three peptides were hydrolyzed to varying extents during  
penetration across the conjunctiva. The permeability coeff. for  
intact YAGFM and insulin was 4.5 and 4.6 .mu.m/s, resp.  
These values were about two to five times lower than those for  
atenolol and timolol. No permeability coeff. could be calcd. for  
substance P, since its transconjunctival flux never reached steady  
state. The conjunctival penetration of YAGFM and insulin

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was improved by about two and three times, resp., with the addn. of 1% Na glycocholate. Increasing the Na glycocholate concn. was more effective than changing the type of bile salt in improving the conjunctival penetration of insulin. The max. factor of improvement was 12, as the Na glycocholate concn. was raised to 4%. The way in which Na deoxycholate, glycocholate, and taurocholate affected the conjunctival penetration of atenolol, timolol, and insulin suggests that these three bile salts improved mainly the transcellular penetration of the compds. studied.

IT 475-31-0 Glycocholic acid  
RL: BIOL (Biological study)  
(insulin and peptide drug penetration of mucous membrane enhancement by)  
RN 475-31-0 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 9004-10-8, Insulin, biological studies  
RL: BIOL (Biological study)  
(mucous membrane penetration by, bile salts enhancement of)  
RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L21 ANSWER 41 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1992:262569 HCAPLUS

DOCUMENT NUMBER: 116:262569

TITLE: pharmaceuticals containing proteins, peptides, acids, and/or surfactants for lung absorption  
INVENTOR(S): Yoshida, Tsuguchika; Seki, Toshimitsu; Okumura, Katsuhiko; Komada, Fusao

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

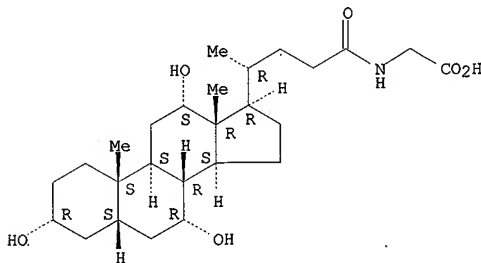
10/088807

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 04041421	A2	19920212	JP 1990-149545	19900607
PRIORITY APPLN. INFO.:				JP 1990-149545	19900607
AB	Aq. or powd. <u>pharmaceuticals</u> for lung absorption (e.g. inhalant aerosols) of proteins, peptides, and/or their derivs. contain surfactants and show pH 3-4 as aq. solns. An aq. soln. (10 .mu.L) contg. 3 U/kg <u>insulin</u> and 50 mM <u>glycocholic acid salt</u> was administered directly to trachea of rats to show .apprx.70% availability, vs. .apprx.10%, for a soln. (pH 7) contg. <u>insulin</u> itself. Human insulin 5, citric acid 40.7, Na citrate 4.3, and sorbitan trioleate 100 mg were mixed under dry N2 and charged in containers with 6 g 2:3 mixt. of CCL3F and CHCl2F to give an aerosol.				
IT	9004-10-8, <u>Insulin</u> , biological studies RL: BIOL (Biological study) (inhalant aerosols contg. acids and/or surfactants and, with good bioavailability)				
RN	9004-10-8 HCAPLUS				
CN	Insulin (9CI) (CA INDEX NAME)				

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 475-31-0D, Glycocholic acid, salts  
RL: BIOL (Biological study)  
(protein inhalant aerosols contg., with good bioavailability)  
RN 475-31-0 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 42 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1990:125099 HCAPLUS  
 DOCUMENT NUMBER: 112:125099  
 TITLE: Effects of absorption enhancers on human nasal tissue ciliary movement in vitro  
 AUTHOR(S): Hermens, Walter A. J. J.; Hooymans, Piet M.; Verhoef, J. Coos; Merkus, Frans W. H. M.  
 CORPORATE SOURCE: Dep. Clin. Pharm. Toxicol., Maasland Hosp., Sittard, 6130 MB, Neth.  
 SOURCE: Pharmaceutical Research (1990), 7(2), 144-6

10/088807

CODEN: PHREEB; ISSN: 0724-8741

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB Na taurodihydrofusidate (I) is one of the most promising absorption enhancers for nasal delivery of peptide drugs. Drugs and additives in nasal formulations should not interfere with the self-cleaning capacity of the nose by the ciliary epithelium. Measured in vitro on human adenoid tissue with a photoelec. method. I induced ciliostasis at concns. of .gtoreq.0.3% (wt./vol.). I (0.3%) is less ciliostatic than laureth-9 (0.3%) or deoxycholate (0.3%). Glyco- and taurocholate (0.3%) show only very mild effects on nasal ciliary movement. Human insulin (1%) has no ciliostatic potency in vitro, whereas a combination of human insulin (1%) and I (1%) is ciliostatic but not as potent as I (1%) alone.

IT 475-31-0, Glycocholic acid

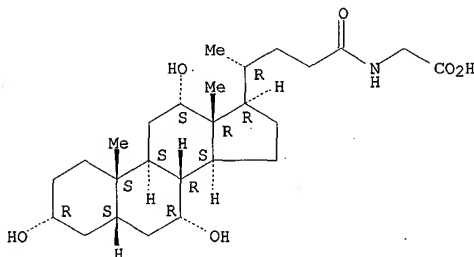
RL: BIOL (Biological study)

(absorption enhancer, in nose of human, ciliary movement response to)

RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 43 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1989:13573 HCAPLUS

DOCUMENT NUMBER:

110:13573

TITLE:

Intranasal compositions containing pharmaceutical peptides, natural bile acids, and solid bases

INVENTOR(S):

Sekine, Kunio; Araki, Daisuke; Suzuki, Yoshiki

PATENT ASSIGNEE(S):

Teijin Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

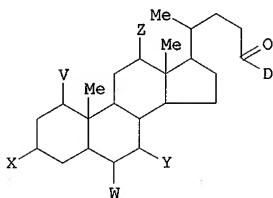
KIND DATE

APPLICATION NO. DATE

Searcher : Shears 308-4994

10/088807

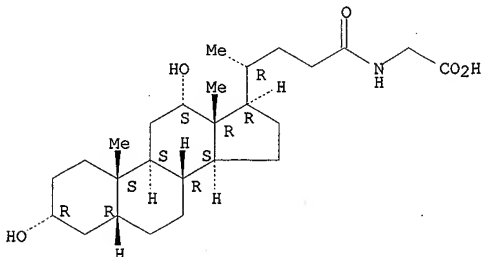
JP 63002932 A2 19880107 JP 1986-144949 19860623  
 PRIORITY APPLN. INFO.: JP 1986-144949 19860623  
 OTHER SOURCE(S): MARPAT 110:13573  
 GI



I

- AB Intranasal powd. pharmaceuticals contain (1) physiol. active polypeptides, (2) a solid water-absorbing base, and (3) a natural bile acid or its salts as an absorption accelerator I (D = OH, NHCH<sub>2</sub>CO<sub>2</sub>H, NHCH<sub>2</sub>CH<sub>2</sub>SO<sub>3</sub>H; V = H or .beta.-HO; W = H, .alpha.-OH, .beta.-OH; X, Y, and Z = H, .alpha.-OH or .beta.-OH, O; however, D = OH or NHCH<sub>2</sub>CH<sub>2</sub>SO<sub>3</sub>H if X, Y, and Z = OH and V = W = H). Salmon calcitonin 0.1 and Na cholate 29.8mg were dissolved in 250 .mu.L H<sub>2</sub>O, mixed with 500 mg microcryst. cellulose, freeze-dried, and sifted to obtain 46-149 .mu.m particles. The intranasal administration of the powder to rabbits decreased plasma Ca levels by 12.3, 17.0, and 5.5% at 0.5, 2.0, and 6.0 h, resp., whereas the decreases in the control without Na cholate were 10.6, 5.3, and 3.1% at the same time intervals.
- IT 360-65-6, Glycodeoxycholic acid 640-79-9  
 64480-66-6  
 RI: BIOL (Biological study)  
 (pharmaceutical intranasal formulation contg.)
- RN 360-65-6 HCAPLUS
- CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

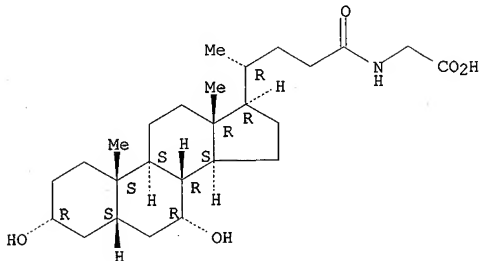
Absolute stereochemistry.



10/088807

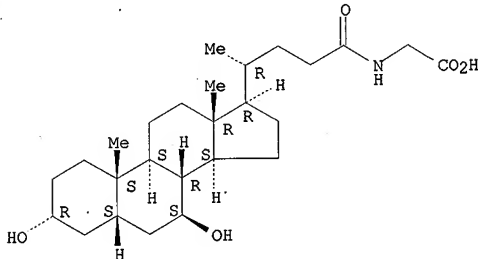
RN 640-79-9 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 64480-66-6 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.beta.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 9004-10-8, Insulin, biological studies  
RL: BIOL (Biological study)  
(pharmaceutical intranasal formulation contg. bile acids and)  
RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L21 ANSWER 44 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1988:88369 HCAPLUS  
DOCUMENT NUMBER: 108:88369  
TITLE: Comparison of nasal, rectal, buccal, sublingual  
and intramuscular insulin efficacy and

10/088807

AUTHOR(S): the effects of a bile salt absorption promoter  
Aungst, Bruce J.; Rogers, Nancy J.; Shefter, Eli  
CORPORATE SOURCE: Med. Prod. Dep., E. I. du Pont de Nemours and  
Co., Wilmington, DE, USA  
SOURCE: Journal of Pharmacology and Experimental  
Therapeutics (1988), 244(1), 23-8  
CODEN: JPETAB; ISSN: 0022-3565  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB A method was developed to quantitate insulin absorption, and insulin absorptions from various noninjection sites of administration were compared. Log dose/effect curves were established for i.m. insulin in adult male rats. The effects measured were the max. change in plasma glucose concn. and the cumulative percentage of change in plasma glucose concns. from 0 to 4 h. Both log dose/effect curves gave similar results when calcd. the efficacy of other routes, relative to i.m. Nasal, buccal, sublingual, and rectal absorption sites were isolated by ligation procedures or with phys. barriers. Rectal insulin was more efficacious than nasal, buccal, and sublingual insulin, when administered without an absorption-promoting adjuvant. However, the efficacy relative to i.m. insulin was low for each route, probably due to a combination of slow membrane permeation and metab. at the absorption site. Administration in a soln. contg. 5% sodium glycocholate, an absorption-promoting adjuvant, increased insulin efficacy by each route. The rank order was nasal > rectal > buccal > sublingual, with nasal and rectal insulin being roughly half as efficacious as i.m. insulin. Orally administered insulin, at doses 5-fold higher than administered by other routes, and with Na glycocholate, produced no hypoglycemic response.

IT 9004-10-8, Insulin, biological studies  
RL: BIOL (Biological study)  
(absorption of, bile salt and dose and route of administration effect on)

RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

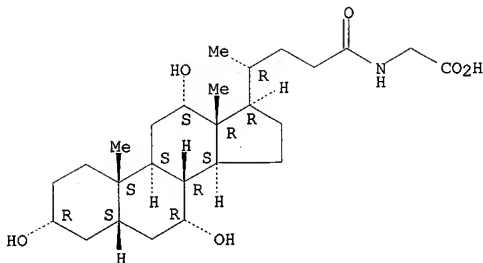
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 475-31-0  
RL: BIOL (Biological study)  
(insulin adsorption stimulation by, administration route in relation to)

RN 475-31-0 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/088807



L21 ANSWER 45 OF 49 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1986:1158 HCAPLUS  
DOCUMENT NUMBER: 104:1158  
TITLE: Nasal absorption of insulin:  
enhancement by hydrophobic bile salts  
AUTHOR(S): Gordon, G. S.; Moses, A. C.; Silver, R. D.;  
Flier, J. S.; Carey, M. C.  
CORPORATE SOURCE: Charles A. Dana Res. Inst., Boston, MA, 02215,  
USA  
SOURCE: Proceedings of the National Academy of Sciences  
of the United States of America (1985), 82(21),  
7419-23  
CODEN: PNASA6; ISSN: 0027-8424  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Therapeutically useful amts. of insulin [ 9004-10-8] are absorbed by the nasal mucosa of human beings when administered as a nasal spray (with the common bile salts). By employing a series of bile salts with subtle differences in the no., position, and orientation of their nuclear hydroxyl functions and alterations in side chain conjugation, adjuvant potency for nasal insulin absorption has been shown to correlate pos. with increasing hydrophobicity of the bile salts' steroid nucleus. As inferred from studies employing various concns. of unconjugated deoxycholate [83-44-3] and a const. dose of insulin, insulin absorption begins at the aq. crit. micellar concns. of the bile salt and becomes maximal when micelle formation is well established. Bile salts may act as absorption adjuvants by (1) producing high juxtamembrane concns. of insulin monomers via solubilization in mixed bile salt micelles and (2) forming reverse micelles within nasal membranes, through which insulin monomers can diffuse through polar channels from the nares into the blood stream.  
IT 9004-10-8, biological studies  
RL: BIOL (Biological study)  
(absorption of, by nose, bile salt enhancement of)  
RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

10/088807

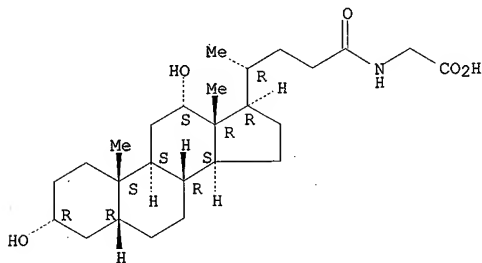
IT 360-65-6 475-31-0

RL: BIOL (Biological study)  
(insulin absorption enhancement by, in nose)

RN 360-65-6 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,12.alpha.)-3,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

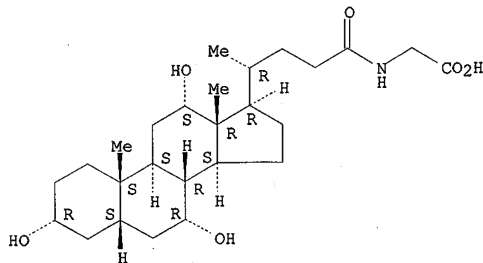
Absolute stereochemistry.



RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 46 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1985:84426 HCAPLUS

DOCUMENT NUMBER: 102:84426

TITLE: Pharmaceutical compositions containing

insulin

INVENTOR(S):

Kidron, Miriam; Ziv, Ehud; Bar-On, Hanoch;

Eldor, Amiram

PATENT ASSIGNEE(S):

Hadassah Medical Organization, Israel

SOURCE:

Eur. Pat. Appl., 19 pp.

CODEN: EPXXDW

Searcher : Shears

308-4994

in CAOLD

also

40/44

Compton  
only

10/088807

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY AGC. NUM. COUNT: 1  
 PATENT INFORMATION:

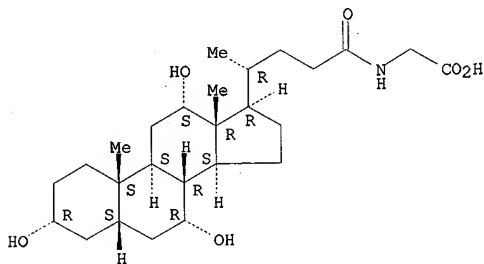
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 127535	A2	19841205	EP 1984-401049	19840521
EP 127535	A3	19870114		
EP 127535	B1	19900103		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
IL 68769	A1	19860228	IL 1983-68769	19830523
DK 8402294	A	19841124	DK 1984-2294	19840509
DK 167240	B1	19930927		
US 4579730	A	19860401	US 1984-608462	19840509
CA 1223200	A1	19870623	CA 1984-454266	19840514
AT 49125	E	19900115	AT 1984-401049	19840521
JP 60069028	A2	19850419	JP 1984-104386	19840523
JP 06078238	B4	19941005		
PRIORITY APPLN. INFO.:		IL 1983-68769	19830523	
		EP 1984-401049	19840521	

AB An oral insulin [9004-10-8] pharmaceutical  
 contains a bile acid or its alkali metal salt and a protease  
 [9001-92-7] inhibitor. The compn. is enteric-coated to assure  
 passage through the stomach and release in the intestine where it is  
 quickly absorbed and transported through the portal system to the  
 liver. Thus, enteric-coated capsules contained 100 IU  
 insulin, 15 mg Na cholate [361-09-1] and 1000 KIU aprotinin  
 [9087-70-1]. In expts. on dogs and rats, the effect of intestinal  
 administration of insulin on blood glucose levels was  
 similar to the effect of insulin injected into the  
 animals. The effect was similar was insulin was given  
 orally to the dog or directly into the intestine of the rat.

IT 475-31-0 640-79-9  
 RL: BIOL (Biological study)  
 (oral insulin pharmaceuticals contg. protease  
 inhibitors and)

RN 475-31-0 HCAPLUS  
 CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-  
 24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

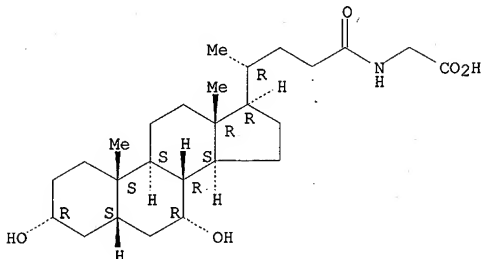
Absolute stereochemistry.



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RN 640-79-9 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 9004-10-8, biological studies  
RL: BIOL (Biological study)  
(oral pharmaceuticals contg. bile acids and protease inhibitors and)  
RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L21 ANSWER 47 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1983:69399 HCAPLUS

DOCUMENT NUMBER: 98:69399

TITLE: Biochemical and pharmacological analyses on mechanism of conjugated bile acids formation in hepatocytes. I. Characteristics of uptake of taurine, glycine and cholic acid by freshly isolated hepatocytes and hepatocytes in primary culture

AUTHOR(S): Ohkuma, Seitaro

CORPORATE SOURCE: Dep. Pharmacol., Kyoto Prefect. Univ. Med., Kyoto, Japan

SOURCE: Kyoto-furitsu Ika Daigaku Zasshi (1982), 91(12), 1243-69

CODEN: KFIZAO; ISSN: 0023-6012

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

AB Characteristics of uptake of <sup>3</sup>H-labeled taurine, glycine, and cholic acid by freshly isolated rat hepatocytes prepd. by a collagenase perfusion method and rat hepatocytes in primary culture for 24 h were detd. The kinetics and the effects of inhibitors on [<sup>3</sup>H]taurine uptake in both fresh and cultured cells showed that it consists of both an unsaturable and a saturable component, depending on temp. The saturable one is Na<sup>+</sup>- and energy-dependent and carrier-mediated. The kinetic parameters for saturable [<sup>3</sup>H]taurine uptake were different in fresh and cultured hepatocytes.

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[3H]glycine apparently binds to the cell surface but is not transported in either fresh or cultured hepatocytes. [3H]cholic acid was accumulated in fresh hepatocytes by both unsaturable and saturable systems depending on the temp. The saturable system was energy-dependent, carrier-mediated, and Na<sup>+</sup>-independent. However, although [3H]cholic acid was transported by both saturable and unsaturable systems in cultured hepatocytes, the saturable system was Na<sup>+</sup>-dependent. The kinetic parameters for the saturable transport system are given.

IT 475-31-0 640-79-9

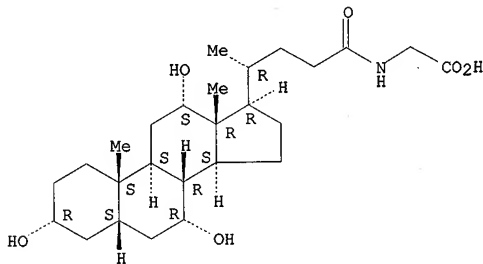
RL: BIOL (Biological study)

(cholic acid transport response to, in fresh and cultured hepatocytes)

RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

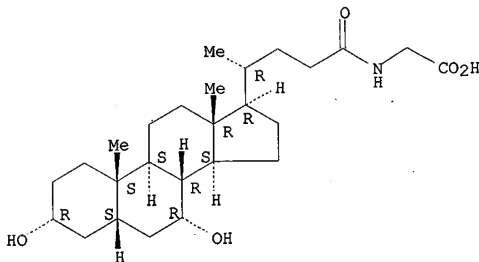
Absolute stereochemistry.



RN 640-79-9 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.)-3,7-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 9004-10-8, biological studies

10/088807

RL: BIOL (Biological study)

(.alpha.-aminoisobutyrate and taurine transport and formation of taurine-conjugated bile acids response to, in fresh and cultured hepatocytes)

RN 9004-10-8 HCAPLUS

CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L21 ANSWER 48 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1981:188230 HCAPLUS

DOCUMENT NUMBER: 94:188230

TITLE: Noncovalent coating of antibodies on solid substrates

INVENTOR(S): Rutner, Herman; Dodd, Thomas F.

PATENT ASSIGNEE(S): Becton, Dickinson and Co., USA

SOURCE: U.S., 4 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

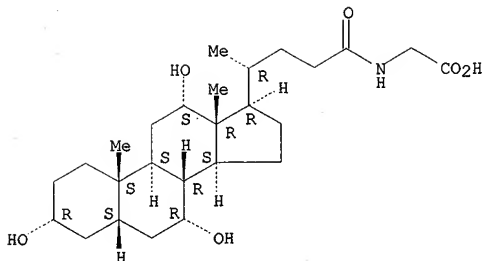
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	-----	-----	-----	-----
US 4256724	A	19810317	US 1978-879801	19780221
PRIORITY APPLN. INFO.:			US 1978-879801	19780221
AB	Antibodies to lipophilic haptens and antigens are monocovalently coated on polystyrene or polypropylene test tubes for use in solid-phase immunoassays by including in the antibody coating soln. an inorg. salt (e.g. (NH <sub>4</sub> ) <sub>2</sub> SO <sub>4</sub> ) to increase the ionic strength of the soln. Antiserum against conjugated bile acids was placed in test tubes, then the coating soln. contg. 22% (NH <sub>4</sub> ) <sub>2</sub> SO <sub>4</sub> and 2.7% NaCl was added. The mixt. was incubated overnight at 4.degree. then aspirated. The tubes were treated with postcoat soln. (0.1% PEG in 0.01M K phosphate, pH 7.4). Binding of labeled antigen was increased from 3-9% (without coating soln. addn.) to 40% (with coating soln. addn.). Examples are given of other coating solns. and antiserum-coated solid-phase prepn. for T <sub>4</sub> and insulin radioimmunoassays.			
IT	475-31-0 9004-10-8, analysis RL: ANT (Analyte); ANST (Analytical study) (detr. of, by solid-phase radioimmunoassay, antibody-coated test tubes prepn. for)			
RN	475-31-0 HCAPLUS			
CN	Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)			

Absolute stereochemistry.

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RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L21 ANSWER 49 OF 49 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1977:177342 HCAPLUS

DOCUMENT NUMBER: 86:177342

TITLE: Pharmaceutical preparation of insulin  
for rectal application

INVENTOR(S): Kawada, Hiroitsu; Maeno, Hiroo; Kawamura,  
Shigeo; Ohata, Isao; Ichikawa, Kunihide  
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
SOURCE: Ger. Offen., 25 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2641819	A1	19770407	DE 1976-2641819	19760917
JP 52041210	A2	19770330	JP 1975-116028	19750926
JP 55008485	B4	19800304		
JP 55008486	B4	19800304	JP 1975-117810	19750930
JP 52044222	A2	19770407		
GB 1563311	A	19800326	GB 1976-38069	19760914
FR 2325386	A1	19770422	FR 1976-27875	19760916
FR 2325386	B1	19790112		
CA 1050426	A1	19790313	CA 1976-261342	19760916
BE 846599	A1	19770324	BE 1976-170952	19760924
DK 7604318	A	19770327	DK 1976-4318	19760924
SE 7610595	A	19770327	SE 1976-10595	19760924
NO 7603296	A	19770329	NO 1976-3296	19760924
NO 146044	B	19820413		
NO 146044	C	19820804		
AT 7607133	A	19771115	AT 1976-7133	19760927
FR 2371926	B1	19810619	FR 1977-35193	19771123
FR 2371926	A1	19780623		
PRIORITY APPLN. INFO.:			JP 1975-116028	19750926

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JP 1975-117810

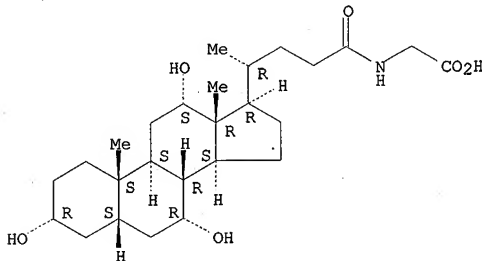
19750930

AB Pharmaceutical insulin [9004-10-8] preps. for rectal administration comprise insulin, a base, and, as an absorption accelerator, either a polyoxyethylene-type nonionic surfactant with hydrophilic-lipophilic balance (HLB) value 6-19; an anionic, cationic or ampholytic surfactant; a bile acid; or a bile acid alkali metal salt. For example, a dispersion of 2 g Na taurocholate [145-42-6] and 8000 units insulin in 98 g corn oil was placed in 1 mL amts. in soft capsules for rectal administration. Some of the new compns. administered to rabbits at 0.5-2 units of insulin/kg produced the same or greater decreases in blood sugar as 0.5 units/kg i.m. doses, and others produced similar results with doses of 1-5 units/kg.

IT 475-31-0  
RL: BIOL (Biological study)  
(in insulin compns. for rectal use, as absorption accelerator)

RN 475-31-0 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 9004-10-8, biological studies  
RL: BIOL (Biological study)  
(in pharmaceuticals for rectal use)

RN 9004-10-8 HCAPLUS  
CN Insulin (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

FILE 'REGISTRY' ENTERED AT 15:39:39 ON 01 JUL 2003

L9 1 SEA FILE-REGISTRY ABB=ON PLU=ON INSULIN/CN  
L22 12 SEA FILE-REGISTRY ABB=ON PLU=ON (9004-10-8/BI OR 475-31-0/BI OR 360-65-6/BI OR 640-79-9/BI OR 64480-66-6/B I OR 474-74-8/BI OR 5661-86-9/BI OR 93790-70-6/BI OR 183745-90-6/BI OR 183745-92-8/BI OR 183746-23-8/BI OR 68714-82-9/BI)

(L23) (11) SEA FILE-REGISTRY ABB=ON PLU=ON (L22) NOT (L9) ? Cont. Unavailable

FILE 'CAOLD' ENTERED AT 15:42:54 ON 01 JUL 2003  
L24 48 S (L23)

- L24 ANSWER 1 OF 48 CAOLD COPYRIGHT 2003 ACS  
 AN CA65:9406d CAOLD  
 TI bile salts and Ca absorption.  
 AU Webling, D. D'A.; Holdsworth, E. S.  
 IT 145-42-6 516-35-8 516-50-7 516-90-5 601-92-3  
 640-79-9 6009-98-9 7693-13-2 10342-34-4
- L24 ANSWER 2 OF 48 CAOLD COPYRIGHT 2003 ACS  
 AN CA65:9319f CAOLD  
 TI solvent systems for thin-layer chromatography of bile acids  
 AU Gregg, James A.  
 IT 128-13-2 360-65-6 434-13-9 474-25-9  
 474-74-8 516-35-8 516-90-5 640-79-9
- L24 ANSWER 3 OF 48 CAOLD COPYRIGHT 2003 ACS  
 AN CA65:4370a CAOLD  
 TI intestinal bile salt transport-structure-activity relation and other properties  
 AU Lack, Leon; Weiner, I. M.  
 IT 81-25-4 360-65-6 475-31-0 516-35-8  
 516-50-7 640-79-9 2958-04-5 3415-45-0 5571-91-5  
 13042-28-9 13042-29-0 13042-33-6 13042-35-8 13046-39-4  
 13222-48-5 13407-56-2 104376-96-7
- L24 ANSWER 4 OF 48 CAOLD COPYRIGHT 2003 ACS  
 AN CA64:17914f CAOLD  
 TI bile acids and steroids - (CLXVII) metabolism of lithocholic acid in chickens and rabbits  
 AU Johansson, Gunnar  
 IT 434-13-9 474-74-8
- L24 ANSWER 5 OF 48 CAOLD COPYRIGHT 2003 ACS  
 AN CA64:16393h CAOLD  
 TI competitive inhibition of intestinal bile salt absorption  
 AU Holt, Peter R.; Borelli, C.  
 IT 360-65-6 474-25-9 516-50-7
- L24 ANSWER 6 OF 48 CAOLD COPYRIGHT 2003 ACS  
 AN CA64:14645f CAOLD  
 TI bile acids and sterols - (LXXIII) bile of Conger myriaster  
 AU Yukawa, Masashi  
 IT 475-31-0 516-35-8 2486-18-2 2955-27-3 6058-15-7  
 6127-76-0
- L24 ANSWER 7 OF 48 CAOLD COPYRIGHT 2003 ACS  
 AN CA64:8622e CAOLD  
 TI detn. of bile acids by direct densitometry of thin-layer chromatograms  
 AU Semenuk, G.; Beher, W. T.  
 IT 83-49-8 360-65-6 434-13-9 474-25-9  
 475-31-0 516-50-7 547-75-1 13042-33-6
- L24 ANSWER 8 OF 48 CAOLD COPYRIGHT 2003 ACS  
 AN CA64:5554f CAOLD  
 TI spectrophotometric detn. of bile acids sepd. by thin-layer chromatography  
 AU Forth, Wolfgang; Doenecke, P.; Glasner, H.

10/088807

IT 83-44-3 360-65-6 434-13-9 474-25-9 516-35-8  
516-50-7

L24 ANSWER 9 OF 48 CAOLD COPYRIGHT 2003 ACS

AN CA64:2824a CAOLD

TI configuration and crystal structure of glutacondialdehyde

AU Ruhemann, Heinrich

TI x-ray diffraction powder data for steroids - (VI)

AU Parsons, Jonathan; Wong, S. T.; Beher, W. T.

IT 64-82-4 474-74-8 474-86-2 481-20-9 564-78-3  
566-93-8 570-53-6 821-42-1 1229-33-0 1424-09-5 1425-09-8  
1474-20-0 1639-43-6 1780-97-8 1816-78-0 2061-86-1  
2080-86-6 2297-30-5 2868-02-2 3253-69-8 3593-85-9  
5040-97-1 5424-40-8 5566-13-2 5676-40-4 5888-04-0  
5888-06-2 5888-07-3 5888-08-4 5888-09-5 5888-10-8  
5888-16-4 6038-22-8 6038-23-9 6038-26-2 6038-28-4  
6038-30-8 6038-31-9 6038-32-0 6038-33-1 6038-34-2  
6038-38-6 6056-19-5 96970-80-8

L24 ANSWER 10 OF 48 CAOLD COPYRIGHT 2003 ACS

AN CA63:18557e CAOLD

TI cleavage of bile acid conjugates by cell-free ext. from Clostridium perfringens

AU Nair, Padmanabhan P.; Gordon, M.; Gordon, S.; Reback, J. F.;

Mendeloff, A. I.

TI effect of deoxyribonuclease on isolated polytene chromosomes

AU Lezzi, Markus

IT 83-44-3 434-13-9 474-25-9 474-74-8  
475-31-0 516-35-8 516-50-7 516-90-5  
640-79-9

L24 ANSWER 11 OF 48 CAOLD COPYRIGHT 2003 ACS

AN CA63:7250f CAOLD

TI inhibition of electron transport and coupled phosphorylation in liver mitochondria by cholanic bile acids and their conjugates

AU Lee, Michael John; Whitehouse, M. W.

IT 360-65-6 516-35-8 516-50-7 516-90-5 517-37-3  
521-06-2 547-98-8 2958-04-5 2958-05-6 6818-02-6 14605-22-2

L24 ANSWER 12 OF 48 CAOLD COPYRIGHT 2003 ACS

AN CA63:4594a CAOLD

TI function of specific bile acids in cholesterol esterase activity

AU Vahouny, George V.; Weersing, S.; Treadwell, C. R.

IT 303-43-5 360-65-6 434-13-9 25312-65-6

L24 ANSWER 13 OF 48 CAOLD COPYRIGHT 2003 ACS

AN CA62:13602g CAOLD

TI reversible and irreversible mechanisms for intestinal amino acid absorption

AU Jequier, J. Cl.; Robinson, J. W. L.; Felber, J. P.

IT 360-65-6

L24 ANSWER 14 OF 48 CAOLD COPYRIGHT 2003 ACS

AN CA62:4307h CAOLD

TI analysis of fatty acids and derivs. by gas chromatography

AU Supina, Walter R.

TI detn. of volatile org. anesthetics in blood

10/088807

AU Lowe, Harry J.; Beckham, L. M.  
TI thin-layer chromatography of bile lipids  
AU Nakayama, Fumio; Oishi, M.; Sakaguchi, N.; Miyake, H.  
IT 360-65-6 601-34-3 2273-95-2

L24 ANSWER 15 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA62:3046a CAOLD  
TI detn. of bile acids from human bile by thinlayer chromatography  
AU Frosch, B.; Wagener, H.  
IT 360-65-6 516-35-8 516-50-7 640-79-9

L24 ANSWER 16 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA62:807e CAOLD  
TI thin-layer-chromatographic sepn. of bile acids  
AU Frosch, B.; Wagener, H.  
IT 360-65-6 474-74-8 516-90-5  
640-79-9

L24 ANSWER 17 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA61:16539d CAOLD  
TI bile acids and steroids - (CXLVIII) application of gel filtration of  
bile acids to studies of lipid-complexes in bile  
AU Norman, Anne  
IT 360-65-6 474-74-8 516-90-5

L24 ANSWER 18 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA61:12639d CAOLD  
TI detn. of the glycine- and taurine-conjugated chenodeoxycholic acid  
AU Frosch, B.; Wagener, H.; Hennig, E.  
IT 360-65-6 640-79-9

L24 ANSWER 19 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA61:11118b CAOLD  
TI metabolites of lithocholic acid-24-14C in human bile and feces  
AU Norman, Anne; Palmer, R. H.  
IT 474-74-8 516-90-5 1534-35-6 1553-56-6

L24 ANSWER 20 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA61:8616h CAOLD  
TI detn. of glycine- or taurine-conjugated deoxycholic acid  
AU Frosch, B.; Hennig, E.; Wagener, H.  
IT 360-65-6

L24 ANSWER 21 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA61:7513e CAOLD  
TI detn. of the free thyroxine content of serum  
AU Lee, Norman D.; Henry, R. J.; Golub, O. J.  
IT 360-65-6 3823-68-5

L24 ANSWER 22 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA61:6025d CAOLD  
TI analysis of steroids - (IV) thin-layer chromatography and  
densitometry of bile components  
AU Hara, Shoji; Takeuchi, M.; Tachibana, M.; Chihara, G.  
IT 360-65-6 516-90-5 640-79-9 14605-22-2

L24 ANSWER 23 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA61:4787g CAOLD

10/088807

TI bile acids in infants and children  
AU Poley, J. Rainer; Dower, J. C.; Owen, C. A., Jr.; Stickler, G. B.  
IT 516-90-5 2955-27-3 64480-66-6

L24 ANSWER 24 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA61:2166g CAOLD  
TI detn. of bile acids by thin-layer chromatography  
AU Frosch, B.; Wagener, H.  
IT 360-65-6 640-79-9

L24 ANSWER 25 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA61:1135b CAOLD  
TI hemolytic effects of steroids  
AU Palmer, Robert H.  
IT 474-74-8 859-97-2

L24 ANSWER 26 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA58:10555h CAOLD  
TI lysis of Echinococcus granulosus by surface-active agents in bile  
and the role of this phenomenon in detg. host specificity to  
helminths  
AU Smyth, J. D.  
IT 360-65-6

L24 ANSWER 27 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA58:7204g CAOLD  
TI effect of bile salts on cholesterol oxidn.  
AU Lee, Michael John; Whitehouse, M. W.  
IT 474-74-8 516-90-5 517-37-3 521-06-2  
640-79-9 2958-04-5 3415-45-0 5661-86-9  
13042-33-6 103672-67-9 106067-53-2

L24 ANSWER 28 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA57:15766h CAOLD  
TI pyrogenic and inflammatory properties of certain bile acids  
AU Palmer, Robert H.; Glickman, P. B.; Kappas, A.  
IT 474-74-8 516-90-5 517-33-9 640-97-1 641-81-6  
1249-75-8 4057-84-5 4651-67-6 6868-73-1

L24 ANSWER 29 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA56:13181h CAOLD  
TI thin-layer adsorption chromatography of free and conjugated bile  
acids on silicic acid  
AU Hoffmann, Alan F.  
IT 360-65-6 640-79-9

L24 ANSWER 30 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA56:7682i CAOLD  
TI infrared correlations in the bile acid series  
AU Levin, Samuel J.; Johnston, C. G.  
IT 360-65-6 640-79-9 1448-36-8 1553-56-6  
3245-38-3 7727-82-4 25312-65-6 25941-29-1 28332-53-8  
28535-81-1 52840-09-2 72690-56-3 101312-40-7 101312-41-8  
106499-87-0 106757-07-7 106757-09-9 106757-10-2 106862-78-6  
106862-79-7 107078-97-7 107078-98-8 107243-10-7 107243-11-8  
107243-37-8 107297-12-1 107380-52-9 107380-57-4 107436-86-2  
107492-85-3 107656-50-8 107740-30-7 107740-31-8 107740-32-9

10/088807

- L24 ANSWER 31 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA56:5096i CAOLD  
TI deacetylcephalosporin C  
AU Jeffery, Jonathan D.; Abraham, E. P.; Newton, G. G. F.  
IT 360-65-6
- L24 ANSWER 32 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA56:3757h CAOLD  
TI detn. of di- and trihydroxycholelanic acids in bile  
AU Singer, Edward J.; Fitschen, W. H.  
IT 360-65-6 72690-56-3
- L24 ANSWER 33 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA56:858b CAOLD  
TI bile-acid level in the blood - (I) examn. of blood bile acids by  
paper chromatography, (II) bile-acid level of the blood in liver  
disease, esp. in hepatic coma, (III) bile salt tolerance test  
AU Yamagishi, Asaro  
IT 640-79-9 4746-96-7
- L24 ANSWER 34 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA56:845g CAOLD  
TI histidine metabolism in urticaria pigmentosa  
AU Demis, D. Joseph; Brown, D. D.  
IT 360-65-6 640-79-9
- L24 ANSWER 35 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA55:23048b CAOLD  
TI infrared spectra of bile acids and peptide-conjugated bile acids  
AU Fischmeister, Ingrid  
IT 360-65-6 474-74-8 481-22-1 516-90-5  
547-98-8 1180-95-6 2972-96-5 3057-04-3 5661-86-9  
6042-32-6 6246-77-1 7170-94-7 16409-34-0 19462-13-6  
21555-87-3 23740-15-0 23740-16-1 23740-17-2 23740-18-3  
24404-83-9 26606-03-1 31823-53-7 47676-48-2 60696-62-0  
69519-35-3 115322-46-8 122569-21-5
- L24 ANSWER 36 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA55:18937b CAOLD  
TI metabolic studies of bile acids - (XXXVIII) supplement to the  
mechanism of bile acid formation  
AU Kawahara, Tatsuki  
IT 475-31-0 547-97-7 3415-45-0 80598-07-8
- L24 ANSWER 37 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA55:17804c CAOLD  
TI effect of intraluminal pressure on enterochromaffin cells in the rat  
duodenum  
AU Cole, Jack W.; Schneider, J.; McKalen, A.  
IT 360-65-6 516-50-7 13042-33-6
- L24 ANSWER 38 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA55:11677e CAOLD  
TI fate of dehydrocholate-C14 administered to rabbit with bile fistula  
AU Ogura, Michio; Wakutani, T.; Yamasaki, K.  
IT 475-31-0 3415-45-0 118924-70-2
- L24 ANSWER 39 OF 48 CAOLD COPYRIGHT 2003 ACS

10/088807

AN CA55:1861g CAOLD  
TI sepn. of bile acids by paper chromatography - (I-II)  
AU Kuroda, Masakiyo  
IT 360-65-6

L24 ANSWER 40 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA54:18653h CAOLD  
TI detn. of metals in blood serum by at. absorption spectroscopy - (I)  
Ca, (II) Mg  
AU Willis, John B.  
IT 360-65-6

L24 ANSWER 41 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA52:19341g CAOLD  
TI detn. of the total area of interfacial surfaces of an emulsion  
AU Yanishevskii, A. V.; Pavlushenko, I. S.  
IT 474-74-8

L24 ANSWER 42 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA52:19341f CAOLD  
TI monolayers of bile acids  
AU Ekwall, Per; Ekholm, R.  
IT 5661-86-9 25312-65-6 26606-03-1

L24 ANSWER 43 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA52:18624e CAOLD  
TI recording in chromatographic analysis of bile acids  
AU Johansson, Gillis; Karrman, K. J.; Norman, A.  
IT 360-65-6 474-74-8 516-50-7 516-90-5

L24 ANSWER 44 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA52:12007i CAOLD  
TI gelation of bile salt solns.  
AU Sobotka, Harry; Czczowiczka, N.  
IT 360-65-6

L24 ANSWER 45 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA52:11519g CAOLD  
TI surface-balance studies of bile acid monolayers - (I) cholanolic and  
glycocholanolic acid monolayers, (II) monolayers of lithocholic and  
glycolithocholic acids  
AU Ekwall, Per; Ekholm, R.; Norman, A.  
IT 474-74-8 5661-86-9 25312-65-6

L24 ANSWER 46 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA52:8370a CAOLD  
TI bile acids and steroids - (XLVIII) formation of deoxycholic acid  
from cholic acid  
AU Lindstedt, Sven; Sjovall, J.  
IT 360-65-6

L24 ANSWER 47 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA51:17965e CAOLD  
TI synthesis of conjugated ursodeoxycholic acid  
AU Kanazawa, Teiichi; Sato, T.  
IT 3057-04-3 10538-55-3 10538-59-7 64480-66-6 79066-13-0  
106526-71-0 117071-40-6

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L24 ANSWER 48 OF 48 CAOLD COPYRIGHT 2003 ACS  
AN CA51:10722H CAOLD  
TI bile acid content of human serum - (I) serum bile acids in patients  
with hepatic disease, (II) binding of cholanolic acids by human plasma  
proteins  
AU Rudman, Daniel; Kendall, F. E.  
IT 360-65-6 516-50-7 2097-89-4 2287-93-6 110222-46-3

FILE USPATFULL ENTERED AT 15:43:25 ON 01 JUL 2003  
L25 123 S L23 Bile salts  
L26 44 S L25 AND (L9 OR INSULIN OR PROINSULIN)

L26 ANSWER 1 OF 44 USPATFULL  
ACCESSION NUMBER: 2003:152382 USPATFULL  
TITLE: Pharmaceutical dosage forms for highly  
hydrophilic materials  
INVENTOR(S): Patel, Mahesh V., Salt Lake City, UT, UNITED  
STATES  
Chen, Feng-Jing, Salt Lake City, UT, UNITED  
STATES  
Krill, Steven L., Danbury, CT, UNITED STATES  
Venkateshvaran, Srinivasan, Salt Lake City, UT,  
UNITED STATES  
PATENT ASSIGNEE(S): LIPOCINE, INC. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003104048	A1	20030605
APPLICATION INFO.:	US 2002-158206	A1	20020529 (10)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 2001-898553, filed on 2 Jul 2001, GRANTED, Pat. No. US 6451339 Continuation of Ser. No. US 1999-258654, filed on 26 Feb 1999, GRANTED, Pat. No. US 6294192 Continuation-in-part of Ser. No. US 2001-877541, filed on 8 Jun 2001, PENDING Continuation-in-part of Ser. No. US 1999-345615, filed on 30 Jun 1999, GRANTED, Pat. No. US 6267985		

DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: THORPE NORTH WESTERN, 8180 SOUTH 700 EAST, SUITE  
200, P.O. BOX 1219, SANDY, UT, 84070

NUMBER OF CLAIMS: 37  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 1 Drawing Page(s)  
LINE COUNT: 2976  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Pharmaceutical dosage forms having a highly hydrophilic fill  
material and a shell encapsulating the fill material are disclosed  
and described. Generally, the shell has at least one plasticizing  
agent therein in order to provide the shell with an effective  
plasticity. In one aspect, the shell may have included therein an  
amount of plasticizing agent that is sufficient to provide the  
shell with an effective plasticity upon migration of a portion of  
the plasticizing agent into the fill material. In another aspect,  
the plasticizing agent may have a solubility in the fill material  
of less than about 10% w/w. In yet another aspect, a combination  
of a plasticizing agent, and a plasticizing agent having a  
solubility in the fill material of less than about 10% w/w, may be

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presented in a total amount sufficient to provide the shell with an effective plasticity upon migration of plasticizing agent into the fill material.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 2 OF 44 USPATFULL

ACCESSION NUMBER: 2003:145950 USPATFULL

TITLE: Method for the improvement of transport across adaptable semi-permeable barriers

INVENTOR(S): Cevc, Gregor, Gauting, GERMANY, FEDERAL REPUBLIC OF  
Richardson, Holger, Munchen, GERMANY, FEDERAL REPUBLIC OF  
Weiland-Waibel, Andrea, Hohenbrunn, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003099694	A1	20030529
APPLICATION INFO.:	US 2002-37480	A1	20020104 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. WO 2000-EP6367, filed on 5 Jul 2000, UNKNOWN		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	EDWARDS & ANGELL, LLP., P.O. BOX 9169, BOSTON, MA, 02209		
NUMBER OF CLAIMS:	84		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	14 Drawing Page(s)		
LINE COUNT:	2745		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to a method, a kit and a device for controlling the flux of penetrants across an adaptable semi-permeable porous barrier, the method comprising the steps of: preparing a formulation by suspending or dispersing said penetrants in a polar liquid in the form of fluid droplets surrounded by a membrane-like coating of one or several layers, said coating comprising at least two kinds of forms of amphiphilic substances with a tendency to aggregate, said penetrants being able to transport agents through the pores of said barrier or to enable agent permeation through the pores of said barrier after penetrants have entered the pores, selecting a dose amount of said penetrants to be applied on a predetermined area of said barrier to control the flux of said penetrants across said barrier, and applying the selected dose amount of said formulation containing said penetrants onto said area of said porous barrier.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 3 OF 44 USPATFULL

ACCESSION NUMBER: 2003:120802 USPATFULL

TITLE: Bioadhesive compositions and methods for enhanced intestinal drug absorption

INVENTOR(S): Teng, Ching-Leou, San Diego, CA, UNITED STATES  
Weinbach, Susan, San Diego, CA, UNITED STATES  
Tillman, Lloyd G., Carlsbad, CA, UNITED STATES  
Geary, Richard S., Carlsbad, CA, UNITED STATES

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Hardee, Gregory E., Rancho Santa Fe, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003083286	A1	20030501
APPLICATION INFO.:	US 2001-935316	A1	20010822 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	Michael P. Straher, Esquire., WOODCOCK WASHBURN LLP, One Liberty Place - 46th Floor, Philadelphia, PA, 19103		
NUMBER OF CLAIMS:	24		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	3 Drawing Page(s)		
LINE COUNT:	2307		
CAS INDEXING IS AVAILABLE FOR THIS PATENT.			
AB	Compositions and methods for enhanced intestinal drug absorption. The formulation comprises a first population of carrier particles comprising a drug and a bioadhesive compound and a second population of carrier particles comprising a penetration enhancer. The bioadhesive extends the residence time of the drug and its absorptive potential across the portion of the intestinal mucosa made permeable by the penetration enhancer.		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 4 OF 44 USPATFULL  
ACCESSION NUMBER: 2003:108867 USPATFULL  
TITLE: Immunomodulating compositions from bile  
INVENTOR(S): Rang, Romeo, Bucharest, ROMANIA  
PATENT ASSIGNEE(S): Lorus Therapeutics Inc., Toronto, CANADA (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6551623	B1	20030422
APPLICATION INFO.:	US 2000-479835		20000107 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 612921, now patented, Pat. No. US 6280774		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Witz, Jean C.		
LEGAL REPRESENTATIVE:	Nath, Gary M., Juneau, Todd L., Goldberg, Joshua B.		
NUMBER OF CLAIMS:	20		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	24 Drawing Figure(s); 21 Drawing Page(s)		
LINE COUNT:	3318		
CAS INDEXING IS AVAILABLE FOR THIS PATENT.			
AB	The present invention relates to a <u>composition</u> for use as an immunomodulator comprising small molecular weight components of less than 3000 daltons, and having the following properties: a) is extractable from bile of animals; b) is capable of stimulating monocytes and macrophages in vitro; c) is capable of modulating tumor necrosis factor production; d) contains no measurable IL-1a, IL-1b, TNF, IL-6, IL-8, IL-4, GM-CSF or IFN-gamma; e) has an anti-proliferative effect in a malignant mouse hybridoma cell		

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line; f) shows no cytotoxicity to human peripheral blood mononuclear cells; and g) is not an endotoxin. The invention also relates to a method of preparing the composition and its use as an immunomodulator.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 5 OF 44 USPATFULL

ACCESSION NUMBER: 2003:92739 USPATFULL  
TITLE: SOLID CARRIERS FOR IMPROVED DELIVERY OF  
HYDROPHOBIC ACTIVE INGREDIENTS IN PHARMACEUTICAL  
COMPOSITIONS  
INVENTOR(S): Patel, Mahesh V., Salt Lake City, UT, UNITED  
STATES  
Chen, Feng-Jing, Salt Lake City, UT, UNITED  
STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003064097	A1	20030403
	US 6569463	B2	20030527
APPLICATION INFO.:	US 2001-800593	A1	20010306 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1999-447690, filed on 23 Nov 1999, GRANTED, Pat. No. US 6248363		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	REED & EBERLE LLP, 800 MENLO AVENUE, SUITE 210, MENLO PARK, CA, 94025		
NUMBER OF CLAIMS:	91		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	4 Drawing Page(s)		
LINE COUNT:	3863		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides solid pharmaceutical compositions for improved delivery of a wide variety of pharmaceutical active ingredients contained therein or separately administered. In one embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier including a substrate and an encapsulation coat on the substrate. The encapsulation coat can include different combinations of pharmaceutical active ingredients, hydrophilic surfactant, lipophilic surfactants and triglycerides. In another embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier being formed of different combinations of pharmaceutical active ingredients, hydrophilic surfactants, lipophilic surfactants and triglycerides. The compositions of the present invention can be used for improved delivery of hydrophilic or hydrophobic pharmaceutical active ingredients, such as drugs, nutritionals, cosmeceuticals and diagnostic agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 6 OF 44 USPATFULL

ACCESSION NUMBER: 2003:57931 USPATFULL  
TITLE: Compositions and methods for non-parenteral  
delivery of oligonucleotides  
INVENTOR(S): Teng, Ching-Leou, San Diego, CA, UNITED STATES  
Cook, Phillip Dan, Fallbrook, CA, UNITED STATES

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Tillman, Lloyd, Carlsbad, CA, UNITED STATES  
Hardee, Gregory E., Rancho Sante Fe, CA, UNITED STATES  
Ecker, David J., Encinitas, CA, UNITED STATES  
Manoharan, Muthiah, Carlsbad, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003040497	A1	20030227
APPLICATION INFO.:	US 2001-29598	A1	20011221 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1999-315298, filed on 20 May 1999, PENDING Continuation of Ser. No. US 1998-108673, filed on 1 Jul 1998, PENDING Continuation-in-part of Ser. No. US 1997-886829, filed on 1 Jul 1997, ABANDONED		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	Michael P. Straher, Woodcock Washburn LLP, One Liberty Place-46th Floor, Philadelphia, PA, 19103		
NUMBER OF CLAIMS:	26		
EXEMPLARY CLAIM:	1		
LINE COUNT:	3600		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to compositions and methods which enhance the local and systemic uptake and delivery of oligonucleotides and nucleic acids via non-parenteral routes of administration. Pharmaceutical compositions comprising oligonucleotides disclosed herein include, for systemic delivery, emulsion and microemulsion formulations for a variety of applications and oral dosage formulations. It has also surprisingly been discovered that oligonucleotides may be locally delivered to colonic sites by rectal enemas and suppositories in simple solutions, e.g., neat or in saline. Such pharmaceutical compositions of oligonucleotides may further include one or more penetration enhancers for the transport of oligonucleotides and other nucleic acids across mucosal membranes. The compositions and methods of the invention are utilized to effect the oral, buccal, rectal or vaginal administration of an antisense oligonucleotide to an animal in order to modulate the expression of a gene in the animal for investigative, therapeutic, palliative or prophylactic purposes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 7 OF 44 USPATFULL  
ACCESSION NUMBER: 2002:272511 USPATFULL  
TITLE: Lipid-protein-sugar particles for delivery of nucleic acids  
INVENTOR(S): Kohane, Daniel S., Newton, MA, UNITED STATES  
Anderson, Daniel G., Framingham, MA, UNITED STATES  
Langer, Robert S., Newton, MA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002150626	A1	20021017
APPLICATION INFO.:	US 2001-981460	A1	20011016 (9)

Searcher : Shears 308-4994

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	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-240698P	20001016 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Choate, Hall & Stewart, Exchange Place, 53 State Street, Boston, MA, 02109	
NUMBER OF CLAIMS:	78	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	11 Drawing Page(s)	
LINE COUNT:	2004	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Lipid-protein-sugar particles (LPSPs) are provided as a vehicle for the delivery of nucleic acids. Any polynucleotide (e.g., DNA, RNA) may be encapsulated in a lipid-protein-sugar matrix to form microparticles. Preferably the diameter of the LPSP ranges from 50 nm to 10 micrometers. The particles may be prepared using any known lipid (e.g., DPPC), protein (e.g., albumin), or sugar (e.g., lactose). Methods of preparing the particles and administering the particles for gene therapy are also provided. Preferably the methods of preparing the LPSPs do not significantly damage the polynucleotide to be delivered.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 8 OF 44 USPATFULL

ACCESSION NUMBER: 2002:209088 USPATFULL  
 TITLE: Aerosol formulations for buccal and pulmonary application  
 INVENTOR(S): Modi, Pankaj, Ancaster, CANADA  
 PATENT ASSIGNEE(S): Generex Pharmaceuticals Inc., Toronto, CANADA (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6436367	B1	20020820
APPLICATION INFO.:	US 1999-251464		19990217 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-113239P	19981221 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Dees, Jose' G.	
ASSISTANT EXAMINER:	Choi, Frank	
LEGAL REPRESENTATIVE:	Anderson, Debra Z., Eckert Seamans Cherin & Mellott, LLC	
NUMBER OF CLAIMS:	20	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)	
LINE COUNT:	889	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A mixed micellar aerosol pharmaceutical formulation includes a micellar proteinic pharmaceutical agent, an alkali metal lauryl sulphate, at least three micelle forming compounds, a phenol and a propellant. The micelle forming compounds are selected from the group consisting of lecithin, hyaluronic acid, pharmaceutically acceptable salts of hyaluronic acid, glycolic acid, lactic acid,

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chamomile extract, cucumber extract, oleic acid, linoleic acid, linolenic acid, monoolein, monooleates, monolaurates, borage oil, evening of primrose oil, menthol, trihydroxy oxo cholanyl glycine and pharmaceutically acceptable salts thereof, glycerin, polyglycerin, lysine, polylysine, triolein, polyoxyethylene ethers and analogues thereof, polidocanol alkyl ethers and analogues thereof. The amount of each micelle forming compound is present in a concentration of from 1 to 20 wt./wt. % of the total formulation, and the total concentration of micelle forming compounds are less than 50 wt./wt. % of the formulation. The propellant, e.g. a fluorocarbon propellant, provides enhanced absorption of the pharmaceutical agent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 9 OF 44 USPATFULL  
ACCESSION NUMBER: 2002:201633 USPATFULL  
TITLE: Method for administering insulin  
INVENTOR(S): Modi, Pankaj, Ancaster, CANADA  
PATENT ASSIGNEE(S): Generex Pharmaceuticals Incorporated, Toronto, CANADA (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6432383	B1	20020813
APPLICATION INFO.:	US 2000-538830		20000330 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Low, Christopher S. F.		
ASSISTANT EXAMINER:	Mohamed, Abdel A.		
LEGAL REPRESENTATIVE:	Anderson, Debra Z., Eckert Seamans Cherin & Mellott, LLC		
NUMBER OF CLAIMS:	11		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)		
LINE COUNT:	966		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A mixed micellar pharmaceutical formulation includes a micellar proteinic pharmaceutical agent, an alkali metal lauryl sulphate, alkali metal salicylate, a pharmaceutically acceptable edetate and at least one absorption enhancing compounds. The absorption enhancing compounds are selected from the group consisting of lecithin, hyaluronic acid, pharmaceutically acceptable salts of hyaluronic acid, octylphenoxypolyethoxyethanol, glycolic acid, lactic acid, chamomile extract, cucumber extract, oleic acid, linolenic acid, borage oil, evening of primrose oil, trihydroxy oxo cholanylglycine, glycerin, polyglycerin, lysine, polylysine, triolein and mixtures thereof. The amount of each absorption enhancing compound is present in a concentration of from 1 to 10 wt./wt. % of the total formulation, and the total concentration of absorption enhancing compounds are less than 50 wt./wt. % of the formulation. A method for administering insulin to the buccal mucosa using a metered dose inhaler is also disclosed. ) *Compare.*

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 10 OF 44 USPATFULL  
ACCESSION NUMBER: 2002:149190 USPATFULL

Searcher : Shears 308-4994

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TITLE: Therapeutic compositions for intranasal  
administration which include ketorolac  
INVENTOR(S): Santus, Giancarlo, Milano, ITALY  
Bottoni, Giuseppe, Bergamo, ITALY  
Bilato, Ettore, Padova, ITALY  
PATENT ASSIGNEE(S): RECORDATI S.A., CHEMICAL AND PHARMACEUTICAL  
COMPANY (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002077346	A1	20020620
APPLICATION INFO.:	US 2001-903665	A1	20010713 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1995-383707, filed on 1 Feb 1995, PATENTED Continuation of Ser. No. US 1992-875700, filed on 29 Apr 1992, ABANDONED		

	NUMBER	DATE
PRIORITY INFORMATION:	IT 1991-MI2024	19910722
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	DARBY & DARBY P.C., 805 Third Avenue, New York, NY, 10022	
NUMBER OF CLAIMS:	18	
EXEMPLARY CLAIM:	1	
LINE COUNT:	678	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

AB An analgesic/anti-inflammatory pharmaceutical dosage form which  
comprises an effective amount of an active ingredient selected  
from the group consisting of racemic 5-benzoyl-2,3-dihydro-1H-  
pyrrolizine-1-carboxylic acid, optically active forms thereof and  
pharmaceutically acceptable salts thereof, in combination with a  
pharmaceutically acceptable excipient or diluent, said dosage form  
being an intranasally administrable dosage form.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 11 OF 44 USPATFULL  
ACCESSION NUMBER: 2002:55008 USPATFULL  
TITLE: Clear oil-containing pharmaceutical compositions  
containing a therapeutic agent  
INVENTOR(S): Chen, Feng-Jing, Salt Lake City, UT, UNITED  
STATES  
Patel, Mahesh V., Salt Lake City, UT, UNITED  
STATES  
Fikstad, David T., Salt Lake City, UT, UNITED  
STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002032171	A1	20020314
APPLICATION INFO.:	US 2001-877541	A1	20010608 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1999-345615, filed on 30 Jun 1999, GRANTED, Pat. No. US 6267985 Continuation-in-part of Ser. No. US 2000-751968, filed on 29 Dec 2000, PENDING Continuation-in-part of Ser. No. US 1999-375636, filed on 17 Aug 1999, GRANTED, Pat. No. US		

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6309663  
DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: Mark A. Wilson, REED & ASSOCIATES, 3282 Alpine Road, Portola Valley, CA, 94028  
NUMBER OF CLAIMS: 205  
EXEMPLARY CLAIM: 1  
LINE COUNT: 4418

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to pharmaceutical compositions and methods for improved solubilization of triglycerides and improved delivery of therapeutic agents. Compositions of the present invention include a carrier, where the carrier is formed from a combination of a triglyceride and at least two surfactants, at least one of which is hydrophilic. Upon dilution with an aqueous medium, the carrier forms a clear, aqueous dispersion of the triglyceride and surfactants.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 12 OF 44 USPATFULL

ACCESSION NUMBER: 2002:54399 USPATFULL

TITLE: Preparation of aqueous clear solution dosage forms with bile acids

INVENTOR(S): Yoo, Seo Hong, Wyckoff, NJ, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002031558	A1	20020314
APPLICATION INFO.:	US 2001-778154	A1	20010205 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1999-357549, filed on 20 Jul 1999, GRANTED, Pat. No. US 6251428		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-94069P	19980724 (60)
	US 2000-180268P	20000204 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	BAKER BOTTS L.L.P., 44TH FLOOR, 30 ROCKEFELLER PLAZA, NEW YORK, NY, 10112-4498	
NUMBER OF CLAIMS:	87	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	12 Drawing Page(s)	
LINE COUNT:	2250	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compositions for pharmaceutical and other uses comprising clear aqueous solutions of bile acids which do not form any detectable precipitates over selected ranges of pH values of the aqueous solution and methods of making such solutions. The compositions of the invention comprise water; a bile acid in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and either or both an aqueous soluble starch conversion product and an aqueous soluble non-starch polysaccharide. The composition remains in solution without forming a precipitate over a range of pH values and, according to one embodiment, remains in solution for all pH values obtainable

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in an aqueous system. The composition, according to some embodiments, may further contain a pharmaceutical compound in a pharmaceutically effective amount. Non-limiting examples of pharmaceutical compounds include insulin, heparin, bismuth compounds, amantadine and rimantadine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 13 OF 44 USPATFULL

ACCESSION NUMBER: 2002:17273 USPATFULL

TITLE: Oral delivery of macromolecules

INVENTOR(S): Byun, Youngro, Gwangju, KOREA, REPUBLIC OF  
Lee, Yong-Kyu, Gwangju, KOREA, REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002010153	A1	20020124
APPLICATION INFO.:	US 2001-845827	A1	20010430 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1999-300173, filed on 27 Apr 1999, GRANTED, Pat. No. US 6245753		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	ALAN J HOWARTH, PO BOX 1909, SANDY, UT, 84091		
NUMBER OF CLAIMS:	22		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	8 Drawing Page(s)		
LINE COUNT:	831		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Polysaccharides, which are widely used as an anticoagulation drugs, especially heparin, are clinically administered only by intravenous or subcutaneous injection because of their strong hydrophilicity and high negative charge. Amphiphilic heparin derivatives were synthesized by conjugation to bile acids, sterols, and alkanolic acids, respectively. These ~~heparin~~ derivatives were slightly hydrophobic, exhibited good solubility in water, and have high anticoagulation activity. These slightly hydrophobic heparin derivatives are efficiently absorbed in the gastrointestinal tract and can be used in oral dosage forms. Methods of using these amphiphilic heparin derivatives and similarly modified macromolecules for oral administration are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 14 OF 44 USPATFULL

ACCESSION NUMBER: 2002:12056 USPATFULL

TITLE: Bifidobacterium in the treatment of inflammatory disease

INVENTOR(S): Collins, John Kevin, Duncloyne, IRELAND  
O'Sullivan, Gerald Christopher, Cork, IRELAND  
O'Mahony, Liam, Cork, IRELAND  
Shanahan, Fergus, Kinsale, IRELAND

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002006432	A1	20020117
APPLICATION INFO.:	US 2001-903681	A1	20010713 (9)

Searcher : Shears 308-4994

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RELATED APPLN. INFO.: Continuation of Ser. No. WO 2000-IE8, filed on 17 Jan 2000, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	IE 1999-990033	19990115
	IE 1999-990782	19990920
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	JACOBSON, PRICE, HOLMAN & STERN, PROFESSIONAL LIMITED LIABILITY COMPANY, 400 SEVENTH STREET N.W., WASHINGTON, DC, 20004	
NUMBER OF CLAIMS:	54	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	13 Drawing Page(s)	
LINE COUNT:	1316	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A strain of Bifidobacterium isolated from resected and washed human gastrointestinal tract is significantly immunomodulatory following oral consumption in humans. The strain is useful in the prophylaxis and/or treatment of undesirable inflammatory activity, especially gastrointestinal inflammatory activity such as inflammatory bowel disease or irritable bowel syndrome. The inflammatory activity may also be due to cancer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 15 OF 44 USPATFULL

ACCESSION NUMBER: 2001:234987 USPATFULL  
TITLE: Therapeutic compositions for intranasal administration which include KETOROLAC.RTM.  
INVENTOR(S): Santus, Giancarlo, Milan, Italy  
Bottoni, Giuseppe, Bergamo, Italy  
Bilato, Ettore, Padua, Italy  
PATENT ASSIGNEE(S): Recordati, S.A. Chemical and Pharmaceutical Company, Chiasso, Switzerland (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6333044	B1	20011225
APPLICATION INFO.:	US 1995-383707		19950201 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1992-875700, filed on 29 Apr 1992, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	IT 1991-MI2024	19910722
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Dudash, Diana	
ASSISTANT EXAMINER:	Ostrup, Clinton	
LEGAL REPRESENTATIVE:	Darby & Darby	
NUMBER OF CLAIMS:	51	
EXEMPLARY CLAIM:	1	
LINE COUNT:	786	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB An analgesic/anti-inflammatory pharmaceutical dosage form which

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comprises an effective amount of an active ingredient selected from the group consisting of racemic 5-benzoyl-2,3-dihydro-1H-pyrrolizine-1-carboxylic acid, optically active forms thereof and pharmaceutically acceptable salts thereof, in combination with a pharmaceutically acceptable excipient or diluent, said dosage form being an intranasally administrable dosage form.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 16 OF 44 USPATFULL

ACCESSION NUMBER: 2001:229642 USPATFULL

TITLE: Medical emulsion for lubrication and delivery of drugs

INVENTOR(S): Lyons, Robert T., Laguna Hills, CA, United States  
Dillard, David H., Redmond, WA, United States  
Fiegggen, Bruce, Wayne, NJ, United States  
Rauker, Robert M., Ashland, MA, United States  
Bluni, Scott T., Sudbury, MA, United States

PATENT ASSIGNEE(S): SCIMED Life Systems, Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001051595	A1	20011213
	US 6391832	B2	20020521
APPLICATION INFO.:	US 2001-887039	A1	20010621 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2000-534056, filed on 24 Mar 2000, GRANTED, Pat. No. US 6281175		
	Continuation-in-part of Ser. No. US 1997-935698, filed on 23 Sep 1997, GRANTED, Pat. No. US 6054421		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	CHRISTENSEN, O'CONNOR, JOHNSON, KINDNESS, PLLC, 1420 FIFTH AVENUE, SUITE 2800, SEATTLE, WA, 98101-2347		
NUMBER OF CLAIMS:	41		
EXEMPLARY CLAIM:	1		
LINE COUNT:	955		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A medical lubricant suitable for injection into the blood stream of a patient. The lubricant is suitable for use with rotating equipment such as atherectomy drive shafts moving within sheaths and over guide wires and other minimally invasive medical devices introduced into a patient through a catheter like instrument. The lubricant is an oil-in-water emulsion including a surfactant, a co-surfactant, and a pH buffer. The lubricant can further include a cryogenic agent and a pH adjusting agent. One lubricant includes olive oil as an emulsified oil, egg yolk phospholipid as a surfactant, sodium deoxycholate as a co-surfactant, glycerin as a cryogenic agent, L-histidine as a pH buffer, and is pH adjusted using sodium hydroxide. The lubricant can also include a therapeutic agent. The lubricant can withstand freeze/thaw cycles as well as saline dilution, heating, and shear stress without significant creaming, separation, or unacceptable increases in oil droplet size. Compared to saline, the lubricant provides significantly increased lubrication efficiency for rapidly moving parts.

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CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 17 OF 44 USPATFULL

ACCESSION NUMBER: 2001:196576 USPATFULL  
TITLE: Aerosol formulations for buccal and pulmonary application  
INVENTOR(S): Modi, Pankaj, Ancaster, Canada  
PATENT ASSIGNEE(S): Generex Pharmaceuticals Incorporated, Toronto, Canada (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6312665	B1	20011106
APPLICATION INFO.:	US 1999-386284		19990831 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1999-251464, filed on 17 Feb 1999		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-113239P	19981221 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Bawa, Raj	
LEGAL REPRESENTATIVE:	Anderson, Debra Z.Eckert Seamans Cherin & Mellott LLC	
NUMBER OF CLAIMS:	28	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1126	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A mixed micellar aerosol pharmaceutical formulation is provided. The formulation comprises a pharmaceutical agent, an alkali metal alkyl sulphate, at least three micelle-forming compounds, a phenol and a propellant. The propellant provides enhanced absorption of the pharmaceutical agent in the buccal region. A process of making and a method of administering the composition are also included.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 18 OF 44 USPATFULL

ACCESSION NUMBER: 2001:190748 USPATFULL  
TITLE: Triglyceride-free compositions and methods for enhanced absorption of hydrophilic therapeutic agents  
INVENTOR(S): Patel, Mahesh V., Salt Lake City, UT, United States  
Chen, Feng-Jing, Salt Lake City, UT, United States  
PATENT ASSIGNEE(S): Lipocine Inc., Salt Lake City, UT, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6309663	B1	20011030
APPLICATION INFO.:	US 1999-375636		19990817 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Page, Thurman K.		
ASSISTANT EXAMINER:	Channavajjala, Lakshmi		

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LEGAL REPRESENTATIVE: Reed, Dianne E. Reed & Associates  
NUMBER OF CLAIMS: 170  
EXEMPLARY CLAIM: 1  
LINE COUNT: 4371

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to pharmaceutical compositions, pharmaceutical systems, and methods for enhanced absorption of hydrophilic therapeutic agents. Compositions and systems of the present invention include an absorption enhancing carrier, where the carrier is formed from a combination of at least two surfactants, at least one of which is hydrophilic. A hydrophilic therapeutic agent can be incorporated into the composition, or can be co-administered with the composition as part of a pharmaceutical system. The invention also provides methods of treatment with hydrophilic therapeutic agents using these compositions and systems.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 19 OF 44 USPATFULL

ACCESSION NUMBER: 2001:165448 USPATFULL  
TITLE: Pharmaceutical dosage form for oral administration of hydrophilic drugs, particularly low molecular weight heparin

INVENTOR(S): Chen, Feng-Jing, Salt Lake City, UT, United States  
Patel, Mahesh V., Salt Lake City, UT, United States  
Fikstad, David T., Salt Lake City, UT, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001024658	A1	20010927
	US 6458383	B2	20021001
APPLICATION INFO.:	US 2000-751968	A1	20001229 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1999-375636, filed on 17 Aug 1999, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	WO 2000-US18807	20000710
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	REED & ASSOCIATES, 800 MENLO AVENUE, SUITE 210, MENLO PARK, CA, 94025	
NUMBER OF CLAIMS:	80	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2150	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A delayed release pharmaceutical dosage form for oral administration of a hydrophilic drug, e.g., a polysaccharide drug such as low molecular weight heparin, are provided. The dosage form comprises a composition of: (a) a therapeutically effective amount of low molecular weight heparin; (b) a bile salt or bile acid; (c) at least one surfactant selected from hydrophilic surfactants, lipophilic surfactants, and mixtures thereof; and a means for delaying release of the composition from the dosage form

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following oral administration. Osmotic drug delivery systems for oral administration of a hydrophilic drug are also provided, wherein an osmotically activated device houses the drug, a bile salt or bile acid, and at least one surfactant selected from the group consisting of hydrophilic surfactants, lipophilic surfactants, and mixtures thereof. Methods for administering hydrophilic drugs, particularly polysaccharide drugs such as low molecular weight heparin, are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 20 OF 44 USPATFULL

ACCESSION NUMBER: 2001:157823 USPATFULL  
TITLE: Mixed liposome pharmaceutical formulation with amphiphiles and phospholipids  
INVENTOR(S): Modi, Pankaj, Ancaster, Canada  
PATENT ASSIGNEE(S): Generex Pharmaceuticals, Inc., Ontario, Canada (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6290987	B1	20010918
APPLICATION INFO.:	US 1999-391664		19990907 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1998-161447, filed on 27 Sep 1998, now patented, Pat. No. US 6193997, issued on 27 Feb 2001		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Bawa, Raj		
LEGAL REPRESENTATIVE:	Anderson, Debra Z.Eckert Seamans Cherin & Mellott, LLC		
NUMBER OF CLAIMS:	34		
EXEMPLARY CLAIM:	1		
LINE COUNT:	1134		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A mixed liposome pharmaceutical formulation with multilamellar vesicles is provided. The formulation comprises a pharmaceutical agent, water, an alkali metal alkyl sulfate, at least one membrane mimetic amphiphile, and at least one phospholipid. When aerosol delivery is intended, the formulation also comprises a propellant and a phenol. A metered dose dispenser containing the formulation, as well as a method of administering the formulation, are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 21 OF 44 USPATFULL

ACCESSION NUMBER: 2001:142312 USPATFULL  
TITLE: Medical emulsion for lubrication and delivery of drugs  
INVENTOR(S): Lyons, Robert T., Laguna Hills, CA, United States  
Dillard, David H., Redmond, WA, United States  
Fiegggen, Bruce, Wayne, NJ, United States  
PATENT ASSIGNEE(S): Scimed Life Systems, Inc., Maple Grove, MN, United States (U.S. corporation)  
Fresenius Kabi AB, Upsala, Sweden (non-U.S. corporation)

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	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6281175	B1	20010828
APPLICATION INFO.:	US 2000-534056		20000324 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1997-935698, filed on 23 Sep 1997, now patented, Pat. No. US 6054421		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	McAvoy, Ellen M.		
LEGAL REPRESENTATIVE:	Christensen O'Connor Johnson Kindness PLLC		
NUMBER OF CLAIMS:	22		
EXEMPLARY CLAIM:	1		
LINE COUNT:	853		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A medical lubricant suitable for injection into the blood stream of a patient. The lubricant is suitable for use with rotating equipment such as atherectomy drive shafts moving within sheaths and over guide wires and other minimally invasive medical devices introduced into a patient through a catheter like instrument. The lubricant is an oil-in-water emulsion including a surfactant, a co-surfactant, and a pH buffer. The lubricant can further include a cryogenic agent and a pH adjusting agent. One lubricant includes olive oil as an emulsified oil, egg yolk phospholipid as a surfactant, sodium deoxycholate as a co-surfactant, glycerin as a cryogenic agent, L-histidine as a pH buffer, and is pH adjusted using sodium hydroxide. The lubricant can also include a therapeutic agent. The lubricant can withstand freeze/thaw cycles as well as saline dilution, heating, and shear stress without significant creaming, separation, or unacceptable increases in oil droplet size. Compared to saline, the lubricant provides significantly increased lubrication efficiency for rapidly moving parts.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 22 OF 44 USPATFULL  
 ACCESSION NUMBER: 2001:121093 USPATFULL  
 TITLE: Clear oil-containing pharmaceutical compositions  
 INVENTOR(S): Chen, Feng-Jing, Salt Lake City, UT, United States  
 Patel, Mahesh V., Salt Lake City, UT, United States  
 PATENT ASSIGNEE(S): Lipocine Inc., Salt Lake City, UT, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6267985	B1	20010731
APPLICATION INFO.:	US 1999-345615		19990630 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Spear, James M.		
LEGAL REPRESENTATIVE:	Reed, Dianne E. Reed & Associates		
NUMBER OF CLAIMS:	184		
EXEMPLARY CLAIM:	1		
LINE COUNT:	3767		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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AB The present invention relates to pharmaceutical compositions and methods for improved solubilization of triglycerides and improved delivery of therapeutic agents. Compositions of the present invention include a triglyceride and a carrier, where the carrier is formed from a combination of at least two surfactants, at least one of which is hydrophilic. Upon dilution with an aqueous solvent, the composition forms a clear, aqueous dispersion of the triglyceride and surfactants. An optional therapeutic agent can be incorporated into the composition, or can be co-administered with the composition. The invention also provides methods of enhancing triglyceride solubility and methods of treatment with therapeutic agents using these compositions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 23 OF 44 USPATFULL

ACCESSION NUMBER: 2001:107463 USPATFULL

TITLE: Hydrophobic preparations containing medium chain monoglycerides

INVENTOR(S): New, Roger Randal Charles, London, United Kingdom  
Kirby, Christopher John, Berkshire, United Kingdom

PATENT ASSIGNEE(S): Provalis UK Limited, United Kingdom (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6258377	B1	20010710
APPLICATION INFO.:	US 1998-218289		19981222 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. WO 1997-GB1775, filed on 2 Jul 1997		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1996-13858	19960702
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Kishore, Gollamudi S.	
LEGAL REPRESENTATIVE:	Pennie & Edmonds LL	
NUMBER OF CLAIMS:	9	
EXEMPLARY CLAIM:	1	
LINE COUNT:	800	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Hydrophobic preparations which are useful as, among other things, pharmaceutical delivery systems comprise: (i) an oil phase comprising one or more medium chain monoglycerides, such as Akoline MCM.TM.; (ii) at least one amphiphile, preferably including a phospholipid such as phosphatidyl choline; and (iii) a hydrophilic species, which may be a protein such as insulin or calcitonin or another macromolecule, solubilized or otherwise dispersed in the one or more glycerides. The hydrophilic species is one that is not normally soluble in the glycerides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 24 OF 44 USPATFULL

ACCESSION NUMBER: 2001:97453 USPATFULL

Searcher : Shears 308-4994

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TITLE: Preparation of aqueous clear solution dosage  
forms with bile acids  
INVENTOR(S): Yoo, Seo Hong, 537 Spencer Dr., Wyckoff, NJ,  
United States 07481

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6251428	B1	20010626
APPLICATION INFO.:	US 1999-357549		19990720 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-94069P	19980724 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Cintins, Marianne M.	
ASSISTANT EXAMINER:	Kim, Vickie	
LEGAL REPRESENTATIVE:	Baker Botts L.L.P.	
NUMBER OF CLAIMS:	35	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	2 Drawing Figure(s); 2 Drawing Page(s)	
LINE COUNT:	1329	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compositions for pharmaceutical and other uses for preparing clear aqueous solutions containing bile acids which do not form precipitates over selected ranges of pH values of the aqueous solution and methods of making such solutions. The compositions of the invention comprise water; a bile acid in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and a high molecular weight aqueous soluble starch conversion product. The composition remains in solution without forming a precipitate over a range of pH values and, according to one embodiment, remains in solution for all pH values obtainable in an aqueous system. The composition, according to some embodiments, may further contain a pharmaceutical compound in a pharmaceutically effective amount.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 25 OF 44 USPATFULL

ACCESSION NUMBER: 2001:93131 USPATFULL  
TITLE: Solid carriers for improved delivery of active ingredients in pharmaceutical compositions  
INVENTOR(S): Patel, Mahesh V., Salt Lake City, UT, United States  
Chen, Feng-Jing, Salt Lake City, UT, United States  
PATENT ASSIGNEE(S): Lipocine, Inc., Salt Lake City, UT, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6248363	B1	20010619
APPLICATION INFO.:	US 1999-447690		19991123 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Spear, James M.		
LEGAL REPRESENTATIVE:	Reed, Dianne E. Reed & Associates		

Searcher : Shears 308-4994

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NUMBER OF CLAIMS: 57  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 4 Drawing Figure(s); 4 Drawing Page(s)  
LINE COUNT: 3302

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides solid pharmaceutical compositions for improved delivery of a wide variety of pharmaceutical active ingredients contained therein or separately administered. In one embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier including a substrate and an encapsulation coat on the substrate. The encapsulation coat can include different combinations of pharmaceutical active ingredients, hydrophilic surfactant, lipophilic surfactants and triglycerides. In another embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier being formed of different combinations of pharmaceutical active ingredients, hydrophilic surfactants, lipophilic surfactants and triglycerides. The compositions of the present invention can be used for improved delivery of hydrophilic or hydrophobic pharmaceutical active ingredients, such as drugs, nutrionals, cosmeceuticals and diagnostic agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 26 OF 44 USPATFULL

ACCESSION NUMBER: 2001:71118 USPATFULL  
TITLE: Mixed micellar delivery system and method of preparation  
INVENTOR(S): Modi, Pankaj, Ancaster, Canada  
PATENT ASSIGNEE(S): Genexer Pharmaceuticals Inc., Toronto, Canada (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6231882	B1	20010515
APPLICATION INFO.:	US 1998-216733		19981221 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1998-21114, filed on 10 Feb 1998		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Page, Thurman K.		
ASSISTANT EXAMINER:	Ware, Todd D.		
LEGAL REPRESENTATIVE:	Anderson, Debra Z.Eckert Seamans Cherin & Mellott, LLC		
NUMBER OF CLAIMS:	30		
EXEMPLARY CLAIM:	1		
LINE COUNT:	1264		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A mixed micellar pharmaceutical formulation includes a micellar proteinic pharmaceutical agent, an alkali metal C8 to C22 alkyl sulphate, alkali metal salicylate, a pharmaceutically acceptable edetate and at least one absorption enhancing compounds. The absorption enhancing compounds are selected from the group consisting of lecithin, hyaluronic acid, pharmaceutically acceptable salts of hyaluronic acid, octylphenoxypolyethoxyethanol, glycolic acid, lactic acid, chamomile extract, cucumber extract, oleic acid, linolenic acid, borage oil, evening of primrose oil, trihydroxy oxo cholanylglycine, glycerin, polyglycerin, lysine,

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polylysine, triolein and mixtures thereof. The amount of each absorption enhancing compound is present in a concentration of from 1 to 10 wt./wt. % of the total formulation, and the total concentration of absorption enhancing compounds are less than 50 wt./wt. % of the formulation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 27 OF 44 USPATFULL

ACCESSION NUMBER: 2001:29151 USPATFULL

TITLE: Proteinic drug delivery system using membrane mimetics

INVENTOR(S): Modi, Pankaj, Ancaster, Canada

PATENT ASSIGNEE(S): Generex Pharmaceuticals Inc., Toronto, Canada (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6193997	B1	20010227
APPLICATION INFO.:	US 1998-161447		19980927 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Page, Thurman K.		
ASSISTANT EXAMINER:	Dinola-Baron, Lillian		
LEGAL REPRESENTATIVE:	Anderson, Debra Z.Eckert Seamans Cherin & Mellott, LLC		
NUMBER OF CLAIMS:	16		
EXEMPLARY CLAIM:	1		
LINE COUNT:	837		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A mixed liposome pharmaceutical formulation with multilamellar vesicles, comprises a proteinic pharmaceutical agent, water, an alkali metal lauryl sulphate in a concentration of from 1 to 10 wt./wt. %, at least one membrane-mimetic amphiphile and at least one phospholipid. The membrane-mimetic amphiphile is hyaluronic acid, pharmaceutically acceptable salts of hyaluronic acid, lauramidopropyl betain, lauramide monoisopropanolamide, sodium cocoamphopropionate, bishydroxypropyl dihydroxypropyl stearammonium chloride, polyoxyethylene dihydroxypropyl stearammonium chloride, dioctadecyldimethylammonium chloride, sulphosuccinates, stearamide DEA, gamma-linoleic acid, borage oil, evening of primrose oil, monolein, sodium tauro dihydro fusidate, fusidic acid, alkali metal isostearyl lactylates, alkaline earth metal isostearyl lactylates, panthenyl triacetate, cocamidopropyl phosphatidyl PG-diammonium chloride, stearamidopropyl phosphatidyl PG-diammonium chloride, borage amidopropyl phosphatidyl PG-diammonium chloride, borage amidopropyl phosphatidylcholine, polysiloxo pyrrolidone linoleyl phospholipid, trihydroxy-oxo-cholanilylglycine and alkali metal salts thereof, and octylphenoxypolythoxyethanol, polydecanol X-lauryl ether, polydecanol X-oleyl ether, wherein X is from 9 to 20, or combinations thereof. The phospholipid is phospholipid GLA, phosphatidyl serine, phosphatidylethanolamine, inositolphosphatides, dioleoylphosphatidylethanolamine, sphingomyelin, ceramides, cephalin, triolein, lecithin, saturated lecithin and lysolecithin, or a combination thereof. The amount of each membrane mimetic amphiphile and phospholipid is present 1 to 10 wt./wt. % of the total formulation, and the total concentration

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of membrane mimetic amphiphiles and phospholipids is less than 50 wt./wt. % of the formulation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 28 OF 44 USPATFULL

ACCESSION NUMBER: 2000:164487 USPATFULL  
TITLE: Polypeptide composition for oral administration  
INVENTOR(S): Grass, George M., Mountain View, CA, United States  
Sweetana, Stephanie A., Indianapolis, IN, United States  
PATENT ASSIGNEE(S): G. D. Searle & Co., Skokie, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6156731		20001205
APPLICATION INFO.:	US 1995-567501		19951205 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1989-350067, filed on 10 May 1989, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Davenport, Avis M.		
LEGAL REPRESENTATIVE:	Fitzpatrick, Cella, Harper & Scinto		
NUMBER OF CLAIMS:	16		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	4 Drawing Figure(s); 4 Drawing Page(s)		
LINE COUNT:	1014		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There is disclosed a composition containing a biologically active polypeptide selected from LHRH, an LHRH analog, somatostatin and a somatostatin analog, in a therapeutically effective amount, a membrane permeability enhancing agent, and a protease enzyme inhibitor enveloped within an enteric coating. The composition possesses enhanced bioavailability upon oral administration.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 29 OF 44 USPATFULL

ACCESSION NUMBER: 1999:166615 USPATFULL  
TITLE: Powder formulations containing melezitose as a diluent  
INVENTOR(S): Backstrom, Kjell, Lund, Sweden  
Johansson, Ann, Lund, Sweden  
Linden, Helena, Lund, Sweden  
PATENT ASSIGNEE(S): Astra Aktiebolag, Sweden (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6004574		19991221
	WO 9619207		19960627
APPLICATION INFO.:	US 1996-617753		19960318 (8)
	WO 1995-SE1541		19951219
			19960318 PCT 371 date
			19960318 PCT 102(e) date

NUMBER DATE

Searcher : Shears 308-4994

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PRIORITY INFORMATION: SE 1994-4468 19941222  
DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Page, Thurman K.  
ASSISTANT EXAMINER: Benston, Jr., William E..  
LEGAL REPRESENTATIVE: Fish & Richardson P.C.  
NUMBER OF CLAIMS: 72  
EXEMPLARY CLAIM: 1  
LINE COUNT: 589

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A powder formulation for the administration of medically useful polypeptides, comprising a medically useful polypeptide with melezitose as diluent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 30 OF 44 USPATFULL

ACCESSION NUMBER: 1999:137219 USPATFULL  
TITLE: Pharmaceutical compositions for the nasal delivery of compounds useful for the treatment of osteoporosis

INVENTOR(S): Piazza, Christin Teresa, 3401 Hillview Ave., P.O. Box 10850, Palo Alto, CA, United States 94303  
Radomsky, Michael Lloyd, 3401 Hillview Ave., P.O. Box 10850, Palo Alto, CA, United States 94303  
Krstenansky, John Leonard, 3401 Hillview Ave., P.O. Box 10850, Palo Alto, CA, United States 94303  
Nestor, Jr., John Joseph, 3401 Hillview Ave., P.O. Box 10850, Palo Alto, CA, United States 94303  
Vickery, Brian Henry, 3401 Hillview Ave., P.O. Box 10850, Palo Alto, CA, United States 94303

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5977070		19991102
APPLICATION INFO.:	US 1995-521097		19950829 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1994-184328, filed on 18 Jan 1994 which is a continuation-in-part of Ser. No. US 1992-915247, filed on 14 Jul 1992, now patented, Pat. No. US 5589452		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Feisee, Lila		
ASSISTANT EXAMINER:	Lazar-Wesley, Eliane		
LEGAL REPRESENTATIVE:	Heller Ehrman White & McAuliffe		
NUMBER OF CLAIMS:	13		
EXEMPLARY CLAIM:	1		
LINE COUNT:	3471		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A pharmaceutical composition for the nasal delivery of compounds useful for treating osteoporosis, comprising an effective amount of a physiologically active truncated analog of PTH or PTHrp, or salt thereof, in which amino acid residues (22-31) form an amphipathic .alpha.-helix, said residues (22-31) selected from

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(SEQ ID NOS: 85, 86, 26, 27, 28, 29, and 30); an absorption enhancer selected from the group consisting of dimethyl-.beta.-cyclodextrin and the bile acid surfactants; and water is provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 31 OF 44 USPATFULL

ACCESSION NUMBER: 1999:21889 USPATFULL  
TITLE: Reduction of false positives in oral-fluid based immunoassays  
INVENTOR(S): Thieme, Thomas, Independence, OR, United States  
Klimkow, Nanette, Beaverton, OR, United States  
PATENT ASSIGNEE(S): Epitope, Inc., Beaverton, OR, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5871905		19990216
APPLICATION INFO.:	US 1996-707446		19960904 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Smith, Lynette F.		
ASSISTANT EXAMINER:	Nelson, Brett		
LEGAL REPRESENTATIVE:	Townsend and Townsend and Crew LLP		
NUMBER OF CLAIMS:	18		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	8 Drawing Figure(s); 5 Drawing Page(s)		
LINE COUNT:	1325		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to the use and composition of materials which, when added to oral fluid samples, make such samples suitable for use with microparticle-based immunoassays. In one embodiment, this invention provides a method of reducing false positives in assays for the detection of an analyte in an oral fluid sample. The method involves providing an oral fluid sample combined with a bile acid or salt where the bile acid or salt is present in a concentration sufficient to reduce the rate of occurrence of false positives in said oral fluid based immunoassays.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 32 OF 44 USPATFULL

ACCESSION NUMBER: 1998:135002 USPATFULL  
TITLE: Systemic administration of a therapeutic preparation  
INVENTOR(S): Backstrom, Kjell Goran Erik, Lund, Sweden  
Dahlback, Carl Magnus Olof, Lund, Sweden  
Edman, Peter, Bjarred, Sweden  
Johansson, Ann Charlotte Birgit, Lund, Sweden  
PATENT ASSIGNEE(S): Astra Aktiebolag, Sodertalje, Sweden (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5830853		19981103
APPLICATION INFO.:	US 1996-582702		19960104 (8)

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RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1994-265371,  
filed on 23 Jun 1994, now patented, Pat. No. US  
5506203

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Tsang, Cecilia J.  
ASSISTANT EXAMINER: Gupta, Anish  
LEGAL REPRESENTATIVE: Fish & Richardson P.C.  
NUMBER OF CLAIMS: 39  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 10 Drawing Figure(s); 7 Drawing Page(s)  
LINE COUNT: 930

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method of treating a patient in need of **insulin**  
treatment, including the steps of introducing into the lower  
respiratory tract of the patient an effective amount of a  
therapeutic preparation in the form of a dry powder containing (a)  
**insulin** and (b) an enhancer compound which enhances the  
absorption of **insulin** in the lungs of the patient.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 33 OF 44 USPATFULL

ACCESSION NUMBER: 1998:48363 USPATFULL  
TITLE: Therapeutic preparation for inhalation  
INVENTOR(S): Backstrom, Kjell Goran Erik, Lund, Sweden  
Dahlback, Carl Magnus Olof, Lund, Sweden  
Edman, Peter, Bjarred, Sweden  
Johansson, Ann Charlotte Birgit, Lund, Sweden  
PATENT ASSIGNEE(S): Astra Aktiebolag, Sodertalje, Sweden (non-U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5747445		19980505
APPLICATION INFO.:	US 1996-583205		19960104 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1994-265372, filed on 23 Jun 1994, now patented, Pat. No. US 5518998		

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Tsang, Cecilia J.  
ASSISTANT EXAMINER: Harle, Jennifer  
LEGAL REPRESENTATIVE: Fish & Richardson P.C.  
NUMBER OF CLAIMS: 35  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 9 Drawing Figure(s); 6 Drawing Page(s)  
LINE COUNT: 1002

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A therapeutic preparation for inhalation which comprises  
**insulin** and a substance which enhances the absorption of  
**insulin** in the lower respiratory tract, is provided in the  
form of a powder preparation suitable for inhalation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 34 OF 44 USPATFULL

ACCESSION NUMBER: 97:93872 USPATFULL

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TITLE: Aerosol drug formulations for use with non CFC propellants  
INVENTOR(S): Adjei, Akwete L., Wadsworth, IL, United States  
Gupta, Pramod K., Gurnee, IL, United States  
Lu, Mou-Ying Fu, Lake Bluff, IL, United States  
PATENT ASSIGNEE(S): Abbott Laboratories, Abbott Park, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5676931		19971014
APPLICATION INFO.:	US 1996-655275		19960515 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1993-161115, filed on 2 Dec 1993, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Bawa, Raj		
LEGAL REPRESENTATIVE:	Anand, Mona		
NUMBER OF CLAIMS:	22		
EXEMPLARY CLAIM:	1		
LINE COUNT:	620		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Pharmaceutical compositions for aerosol delivery comprising a medicament, a non-chlorofluorocarbon propellant and a protective colloid, as well as a method for preparing such compositions in which the aggregation of the particles is prevented without the use of surfactants or cosolvents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 35 OF 44 USPATFULL

ACCESSION NUMBER: 97:68165 USPATFULL  
TITLE: Liquid formulations for proteinic pharmaceuticals  
INVENTOR(S): Modi, Pankaj, 1928 Main St. W., Apt 608, Hamilton, Ontario, Canada L8S 1J4  
Chandarana, Subash, 2259 Kirkburn Drive, Burlington, Ontario, Canada L7P 4E8

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5653987		19970805
APPLICATION INFO.:	US 1995-442358		19950516 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Hulina, Amy		
NUMBER OF CLAIMS:	13		
EXEMPLARY CLAIM:	1		
LINE COUNT:	477		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A liquid pharmaceutical agent formulation suitable for oral or nasal delivery comprises a proteinic pharmaceutical agent, water and at least two absorption enhancing compounds. The absorption enhancing compounds are selected from sodium salicylate, sodium lauryl sulphate, disodium ethylenediaminetetraacetic acid (disodium EDTA), oleic acid, linoleic acid, monoolein, lecithin, lysolecithin, deoxycholate, sodium deoxycholate, chenodeoxycholate, taurodeoxycholate, glycochenodeoxycholate, polyoxyethylene X-lauryl ether wherein X is from 9 to 20, sodium

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tauro-24, 25-dihydrofusidate, polyoxyethylene ether, polyoxyethylene sorbitan esters, p-t-octylphenoxypolyoxyethylene, N-lauryl-.beta.-D-maltopyranoside, 1-dodecylazacycloheptane-2-azone and phospholipids, wherein the amount of each of the absorption enhancing compounds is present in a concentration of from 1 to 10 wt./wt. % of the total formulation. Preferably each of the absorption enhancing compounds is present in a concentration of from 1.5 to 3.5 wt./wt. % The formulation is particularly adapted to oral delivery of insulin.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 36 OF 44 USPATFULL

ACCESSION NUMBER: 94:9572 USPATFULL  
TITLE: Systemic delivery of polypeptides through the eye  
INVENTOR(S): Chiou, George C. Y., College Station, TX, United States  
PATENT ASSIGNEE(S): Orbon Corporation, Palo Alto, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5283236		19940201
APPLICATION INFO.:	US 1992-966706		19921026 (7)
RELATED APPLN. INFO.:	Division of Ser. No. US 1989-412979, filed on 26 Sep 1989, now patented, Pat. No. US 5182258 which is a continuation-in-part of Ser. No. US 1989-326200, filed on 20 Mar 1989, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Wityshyn, Michael G.		
ASSISTANT EXAMINER:	Koh, Choon		
LEGAL REPRESENTATIVE:	Morrison & Foerster		
NUMBER OF CLAIMS:	4		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	25 Drawing Figure(s); 16 Drawing Page(s)		
LINE COUNT:	1252		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compositions and methods for systemic delivery of polypeptides through the eyes are disclosed. The compositions include a systemically active polypeptide at a concentration such that the composition is substantially isotonic with tear fluid. The compositions may include a permeation-enhancing agent to aid systemic absorption of higher molecular weight polypeptides, as well as peptidase inhibitors. Therapeutically effective amounts of the polypeptide compositions can be administered to the eyes where the drug passes into the nasolacrimal duct and becomes absorbed into circulation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 37 OF 44 USPATFULL

ACCESSION NUMBER: 94:3765 USPATFULL  
TITLE: Systemic delivery of polypeptides through the eye  
INVENTOR(S): Chiou, George C. Y., College Station, TX, United States  
PATENT ASSIGNEE(S): Orbon Corporation, Palo Alto, CA, United States (U.S. corporation)

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	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5278142		19940111
APPLICATION INFO.:	US 1992-966877		19921026 (7)
RELATED APPLN. INFO.:	Division of Ser. No. US 1989-412979, filed on 26-Sep 1989, now patented, Pat. No. US 5182258 which is a continuation-in-part of Ser. No. US 1989-376200, filed on 20 Mar 1989, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Wityshyn, Michael G.		
ASSISTANT EXAMINER:	Kok, Choon		
LEGAL REPRESENTATIVE:	Morrison & Foerster		
NUMBER OF CLAIMS:	3		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	25 Drawing Figure(s); 16 Drawing Page(s)		
LINE COUNT:	1233		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compositions and methods for systemic delivery of polypeptides through the eyes are disclosed. The compositions include a systemically active polypeptide at a concentration such that the composition is substantially isotonic with tear fluid. The compositions may include a permeation-enhancing agent to aid systemic absorption of higher molecular weight polypeptides, as well as peptidase inhibitors. Therapeutically effective amounts of the polypeptide compositions can be administered to the eyes where the drug passes into the nasolacrimal duct and becomes absorbed into circulation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 38 OF 44 USPATFULL  
ACCESSION NUMBER: 93:7090 USPATFULL  
TITLE: Systemic delivery of polypeptides through the eye  
INVENTOR(S): Chiou, George C. Y., College Station, TX, United States  
PATENT ASSIGNEE(S): Orbon Corporation, Palo Alto, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5182258		19930126
APPLICATION INFO.:	US 1989-412979		19890926 (7)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1989-326200, filed on 20 Mar 1989, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Cashion, Jr., Merrell C.		
ASSISTANT EXAMINER:	Koh, Choon		
LEGAL REPRESENTATIVE:	Morrison & Foerster		
NUMBER OF CLAIMS:	9		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	25 Drawing Figure(s); 16 Drawing Page(s)		
LINE COUNT:	1226		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compositions and methods for systemic delivery of polypeptides through the eyes are disclosed. The compositions include a

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systemically active polypeptide at a concentration such that the composition is substantially isotonic with tear fluid. The compositions may include a permeation-enhancing agent to aid systemic absorption of higher molecular weight polypeptides, as well as peptidase inhibitors. Therapeutically effective amounts of the polypeptide compositions can be administered to the eyes where the drug passes into the nasolacrimal duct and becomes absorbed into circulation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 39 OF 44 USPATFULL

ACCESSION NUMBER: 92:48664 USPATFULL

TITLE: Apparatus and methods for use in administering medicaments by direct medicament contact to mucosal tissues

INVENTOR(S): Stanley, Theodore H., Salt Lake City, UT, United States

PATENT ASSIGNEE(S): University of Utah, Salt Lake City, UT, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5122127		19920616
APPLICATION INFO.:	US 1989-403743		19890905 (7)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1987-60045, filed on 8 Jun 1987, now patented, Pat. No. US 4863737, issued on 5 Sep 1989 which is a continuation-in-part of Ser. No. US 1985-729301, filed on 1 May 1985, now patented, Pat. No. US 4671953, issued on 9 Jun 1987		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Rosenbaum, C. Fred		
ASSISTANT EXAMINER:	Polutta, Mark O.		
LEGAL REPRESENTATIVE:	Workman, Nydegger and Jensen		
NUMBER OF CLAIMS:	36		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	20 Drawing Figure(s); 7 Drawing Page(s)		
LINE COUNT:	1395		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Apparatus and methods for the dose-to-effect transmucosal administration of medicaments are disclosed. The present invention relates to such apparatus and methods which are useful in administering medicaments in a dose-to-effect manner such that sufficient drug is administered to produce precisely a desired effect. The invention also relates to an apparatus capable of placement directly on the patient's buccal mucosa having the capability of adjusting the drug surface area in direct contact with the mucosal tissue thereby enabling the proper amount of therapeutic agent or drug to be administered while accounting for individual needs and susceptibilities of the drug.

Through the use of selected permeation enhancers, the present invention enables lipophilic and nonlipophilic medicaments, which are not suitable for oral administration, to be rapidly administered noninvasively. Employing the present invention the drug may be introduced into the patient's bloodstream almost as

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fast as through injection, and much faster than using the oral administration route, while avoiding the negative aspects of both of these methods.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 40 OF 44 USPATFULL  
ACCESSION NUMBER: 86:18545 USPATFULL  
TITLE: Pharmaceutical compositions containing  
insulin  
INVENTOR(S): Kidron, Miriam, Jerusalem, Israel  
Ziv, Ehud, Motza Ilit, Israel  
Bar-On, Hanoach, Jerusalem, Israel  
Eldor, Amiram, Jerusalem, Israel  
PATENT ASSIGNEE(S): Hadassah Medical Organization, Israel (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4579730		19860401
APPLICATION INFO.:	US 1984-608462		19840509 (6)

	NUMBER	DATE
PRIORITY INFORMATION:	IL 1983-68769	19830523
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Rose, Shep K.	
LEGAL REPRESENTATIVE:	Darby & Darby	
NUMBER OF CLAIMS:	4	
EXEMPLARY CLAIM:	1	
LINE COUNT:	411	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides a pharmaceutical composition for the oral administration of insulin comprising insulin, a bile acid or alkali metal salt thereof, the bile acid being selected from the group consisting of cholic acid, chenodeoxycholic acid, taurocholic acid, taurochenodeoxycholic acid, glycocholic acid, glycochenocholic acid, 3.beta.-hydroxy-12-ketocholic acid, 12.alpha.-3.beta.-dihydrocholic acid, and ursodesoxycholic acid, and a protease inhibitor, the composition being provided with an enterocoating to assure passage through the stomach and release in the intestine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 41 OF 44 USPATFULL  
ACCESSION NUMBER: 85:63938 USPATFULL  
TITLE: Ligand analog-irreversible enzyme inhibitor  
conjugates  
INVENTOR(S): Voss, Houston F., Libertyville, IL, United States  
Plattner, Jacob, Libertyville, IL, United States  
Herrin, Thomas R., Waukegan, IL, United States  
PATENT ASSIGNEE(S): Abbott Laboratories, North Chicago, IL, United States (U.S. corporation)

NUMBER	KIND	DATE
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PATENT INFORMATION: US 4550163 19851029  
APPLICATION INFO.: US 1981-228414 19810126 (6)  
RELATED APPLN. INFO.: Division of Ser. No. US 1979-9007, filed on 5 Feb  
1979, now patented, Pat. No. US 4273866  
DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Sutto, Anton H.  
LEGAL REPRESENTATIVE: Katz, Martin L., O'Brien, Margaret M.  
NUMBER OF CLAIMS: 25  
EXEMPLARY CLAIM: 1  
LINE COUNT: 1167  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention encompasses a method for determining ligands in test samples comprising intermixing with the test sample a ligand analog-irreversible enzyme inhibitor conjugate and a binding protein bindable to the ligand and the ligand analog-irreversible enzyme inhibitor conjugate and wherein the amount of ligand analog-irreversible enzyme inhibitor conjugate bound by the binding protein is related to the amount of ligand in the test sample, said binding protein inactivating the irreversible enzyme inhibitor when bound to the ligand analog portion of the conjugate; intermixing an enzyme which is irreversibly inhibited by the ligand analog-irreversible enzyme inhibitor conjugate unbound by the binding protein; and intermixing substrate to the enzyme and monitoring the enzyme substrate reaction.

The invention also includes ligand analog-irreversible enzyme inhibitor conjugates useful as reagents in practicing the method. Methods and reagents of the present are particularly useful in determining drugs, hormones, and the like in biological fluids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 42 OF 44 USPATFULL  
ACCESSION NUMBER: 81:33233 USPATFULL  
TITLE: Ligand analog-irreversible enzyme inhibitor  
conjugates and methods for use  
INVENTOR(S): Voss, Houston F., Libertyville, IL, United States  
Plattner, Jacob, Libertyville, IL, United States  
Herrin, Thomas R., Waukegan, IL, United States  
PATENT ASSIGNEE(S): Abbott Laboratories, North Chicago, IL, United  
States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4273866		19810616
APPLICATION INFO.:	US 1979-9007		19790205 (6)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Wiseman, Thomas G.		
LEGAL REPRESENTATIVE:	McDonnell, John J.		
NUMBER OF CLAIMS:	3		
EXEMPLARY CLAIM:	1		
LINE COUNT:	1154		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention encompasses a method for determining ligands in test samples comprising intermixing with the test sample a

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ligand analog-irreversible enzyme inhibitor conjugate and a binding protein bindable to the ligand and the ligand analog-irreversible enzyme inhibitor conjugate and wherein the amount of ligand analog-irreversible enzyme inhibitor conjugate bound by the binding protein is related to the amount of ligand in the test sample, said binding protein inactivating the irreversible enzyme inhibitor when bound to the ligand analog portion of the conjugate; intermixing an enzyme which is irreversibly inhibited by the ligand analog-irreversible enzyme inhibitor conjugate unbound by the binding protein; and intermixing substrate to the enzyme and monitoring the enzyme substrate reaction.

The invention also includes ligand analog-irreversible enzyme inhibitor conjugates useful as reagents in practicing the method. Methods and reagents of the present are particularly useful in determining drugs, hormones, and the like in biological fluids.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 43 OF 44 USPATFULL

ACCESSION NUMBER: 81:14970 USPATFULL  
TITLE: Preparation of solid substrate containing receptor and labeled form of ligand for assays  
INVENTOR(S): Rutner, Herman, Hackensack, NJ, United States  
Dodd, Thomas F., Bronx, NY, United States  
PATENT ASSIGNEE(S): Becton, Dickinson and Company, Paramus, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4256725		19810317
APPLICATION INFO.:	US 1978-879902		19780221 (5)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Padgett, Benjamin R.		
ASSISTANT EXAMINER:	Nucker, Christine M.		
LEGAL REPRESENTATIVE:	Marn, Louis E., Olstein, Elliot M.		
NUMBER OF CLAIMS:	20		
EXEMPLARY CLAIM:	1		
LINE COUNT:	308		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A solid substrate is simultaneously contacted with a labeled form of a ligand to be assayed, a receptor for the ligand to be assayed and a solution of an ionic salt to produce a solid substrate which contains the labeled form of the ligand and the receptor. In a subsequent assay for the ligand, the solid substrate is contacted with a sample containing the ligand, whereby the labeled form of the ligand is available for equilibration with the receptor in competition with the ligand to be assayed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 44 OF 44 USPATFULL

ACCESSION NUMBER: 81:14969 USPATFULL  
TITLE: Method for non-covalent coating of antibodies on solid substrates  
INVENTOR(S): Rutner, Herman, Hackensack, NJ, United States

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PATENT ASSIGNEE(S): Dodd, Thomas F., Bronx, NY, United States  
Becton, Dickinson and Company, Paramus, NJ,  
United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4256724		19810317
APPLICATION INFO.:	US 1978-879801		19780221 (5)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Padgett, Benjamin R.		
ASSISTANT EXAMINER:	Nucker, Christine M.		
LEGAL REPRESENTATIVE:	Marn, Louis E., Olstein, Elliot M.		
NUMBER OF CLAIMS:	31		
EXEMPLARY CLAIM:	1		
LINE COUNT:	303		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Antibodies to lipophilic haptens and antigens, such as the antibodies of bile acids are non-covalently coated on a solid substrate for use in solid phase immunoassays by including in the antibody coating solution an inorganic salt, such as ammonium sulfate, to increase the ionic strength of the solution.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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